=> file caplus
FILE 'CAPLUS' ENTERED AT 14:53:07 ON 04 JAN 2010

FILE COVERS 1907 - 4 Jan 2010 VOL 152 ISS 2
FILE LAST UPDATED: 3 Jan 2010 (20100103/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

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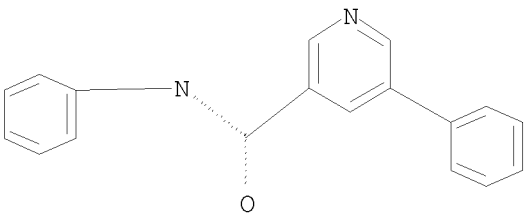
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L3 208 SEA FILE=REGISTRY SSS FUL L1
L4 37 SEA FILE=CAPLUS L3

=> d l4 1-37 ibib abs hitstr

L4 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:944279 CAPLUS
DOCUMENT NUMBER: 151:220846
TITLE: Preparation of (phenoxy)phenylalkanoic acid derivatives as CRTH2 antagonists for treatment of inflammatory diseases
INVENTOR(S): Terasaka, Tadashi; Matsuda, Hiroshi; Ito, Shinji; Tasaki, Mamoru

PATENT ASSIGNEE(S): Astellas Pharma Inc., Japan
SOURCE: PCT Int. Appl., 117pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

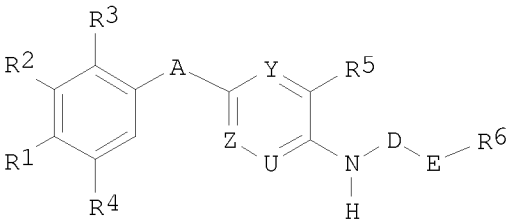
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009096526	A1	20090806	WO 2009-JP51587	20090130

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2008-22136 A 20080131
OTHER SOURCE(S): MARPAT 151:220846

GI



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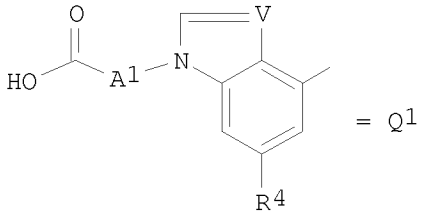


= Q1

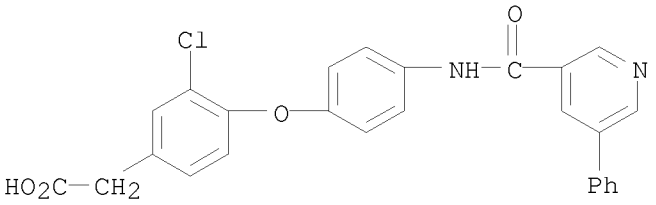
AB The title compds. I [R1 = (alkylene)-CO2H, H; when R1 is (alkylene)-CO2H, R2 is halo, H, and R3 is halo, alkyl, H, etc.; when R1 is H, R2 and R3 together with the benzene ring (to which R2 and R3 are connected) form Q1; A1 = (CH2)m; V = CH, N; m = integer from 1 to 6; R4 = halo, H; when R3 is H, R4 is halo; R5 = H, halo, alkyl; R6 = (un)substituted aryl, heteroaryl, heterocycloalkyl, etc.; A = O, S; D = CO, SO2; E = bond, alkylene, alkenylene; Y = CR5a, N; R5a = H, halo, alkyl; Z = CH, N; U = CR5b, N; R5b = H, halo, alkyl; (a proviso specifying that 7 specific compds. are excluded is given)] are prepared Thus, (3-chloro-4-(4-[(3,4-dichlorobenzoyl)amino]phenoxy)phenyl)acetic acid (II)

was prepared in a 2-step process starting from (4-(4-aminophenoxy)-3-chlorophenyl)acetic acid Et ester and 3,4-dichlorobenzoic acid. II showed IC50 value of 9.1 nM in a CRTH2 binding assay.

IT 1175651-33-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenoxy)phenylalkanoic acid derivs. as CRTH2 antagonists for treatment of inflammatory diseases)

RN 1175651-33-8 CAPLUS

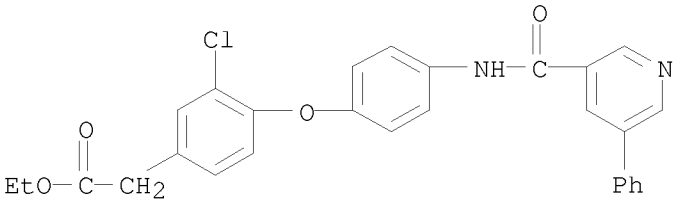
CN Benzeneacetic acid, 3-chloro-4-[4-[[(5-phenyl-3-pyridinyl)carbonyl]amino]phenoxy]- (CA INDEX NAME)



IT 1175654-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (phenoxy)phenylalkanoic acid derivs. as CRTH2 antagonists for treatment of inflammatory diseases)

RN 1175654-73-5 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-[4-[[(5-phenyl-3-pyridinyl)carbonyl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:695123 CAPLUS

DOCUMENT NUMBER: 151:211345

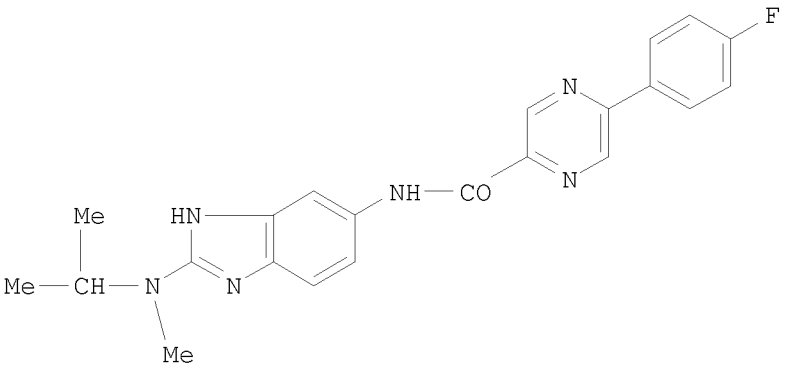
TITLE: Identification of 2-aminobenzimidazoles as potent melanin-concentrating hormone 1-receptor (MCH1R) antagonists

AUTHOR(S): Moriya, Minoru; Kishino, Hiroyuki; Sakuraba, Shunji; Sakamoto, Toshihiro; Suga, Takuya; Takahashi, Hidekazu; Suzuki, Takao; Ito, Masahiko; Ito, Junko; Moriya, Ryuichi; Takenaga, Norihiro; Iwaasa, Hisashi; Ishihara, Akane; Kanatani, Akio; Fukami, Takehiro

CORPORATE SOURCE: Tsukuba Research Institute, Banyu Pharmaceutical Co., Ltd, Okubo-3, Tsukuba, Ibaraki, 300-2611, Japan

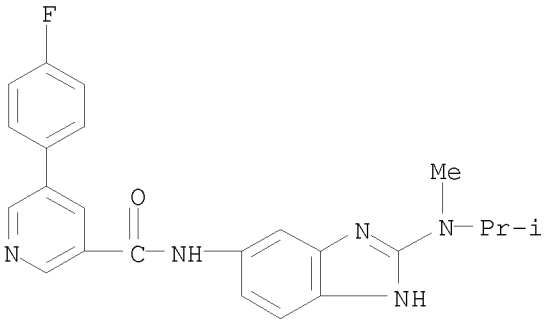
SOURCE: Bioorganic & Medicinal Chemistry Letters (2009),

19(13), 3568-3572
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of 2-aminobenzimidazole-based MCH1R antagonists was identified by core replacement of the aminoquinoline lead 1. Subsequent modification of the 2- and 5-positions led to improvement in potency and intrinsic clearance. Compound 25 (I) exhibited good plasma and brain exposure, and attenuated MCH induced food intake at 30 mg/kg PO in rats.
IT 1174936-18-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aminobenzimidazoles as melanin-concentrating hormone 1-receptor antagonists)
RN 1174936-18-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[2-[methyl(1-methylethyl)amino]-1H-benzimidazol-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS

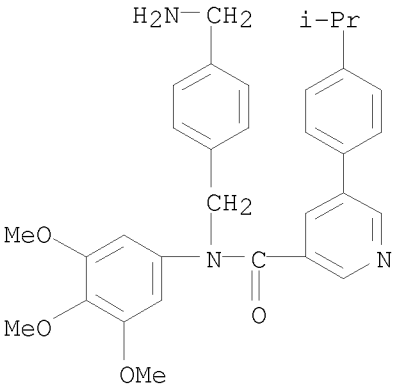
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:594820 CAPLUS
DOCUMENT NUMBER: 151:23967
TITLE: Identifying Novel Molecular Structures for Advanced Melanoma by Ligand-Based Virtual Screening
AUTHOR(S): Wang, Zhao; Lu, Yan; Seibel, William; Miller, Duane D.; Li, Wei
CORPORATE SOURCE: Department of Pharmaceutical Sciences, College of Pharmacy, University of Tennessee Health Science Center, Memphis, TN, 38163, USA
SOURCE: Journal of Chemical Information and Modeling (2009), 49(6), 1420-1427
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We recently discovered a new class of thiazole analogs that are highly potent against melanoma cells. To expand the structure-activity relationship study and to explore potential new mol. scaffolds, we performed extensive ligand-based virtual screening against a compound library containing 342 910 small mols. Two different approaches of virtual screening were carried out using the structure of our lead mol.: (1) connectivity-based search using Scitegic Pipeline Pilot from Accelerys and (2) mol. shape similarity search using Schrodinger software. Using a testing compound library, both approaches can rank similar compds. very high and rank dissimilar compds. very low, thus validating our screening methods. Structures identified from these searches were analyzed, and selected compds. were tested in vitro to assess their activity against melanoma cancer cell lines. Several mols. showed good anticancer activity. While none of the identified compds. showed better activity than our lead compound, they provided important insight into structural modifications for our lead compound and also provided novel platforms on which we can optimize new classes of anticancer compds. One of the newly synthesized analogs based on this virtual screening has improved potency and selectivity against melanoma.

IT 1160108-27-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identifying mol. structures for advanced melanoma by ligand-based virtual screening)

RN 1160108-27-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-(aminomethyl)phenyl]methyl]-5-[4-(1-methylethyl)phenyl]-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)

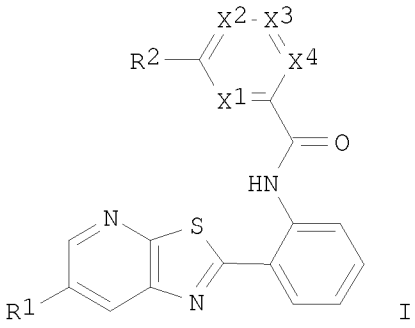


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1536622 CAPLUS
DOCUMENT NUMBER: 150:77670
TITLE: Preparation of 2-phenylthiazolo[5,4-b]pyridine derivatives as sirtuin modulators
INVENTOR(S): Bemis, Jean; Disch, Jeremy S.; Ng, Pui Yee; Oalmann, Christopher; Perni, Robert B.; Vu, Chi B.
PATENT ASSIGNEE(S): Sirtris Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 118pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156869	A2	20081224	WO 2008-US7776	20080620
WO 2008156869	A3	20090514		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20090105246	A1	20090423	US 2008-214805	20080620
PRIORITY APPLN. INFO.:			US 2007-936636P P	20070620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:77670
GI



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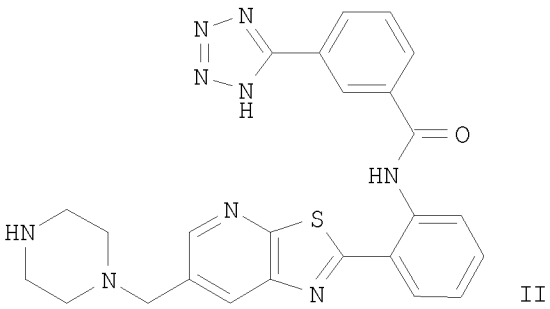


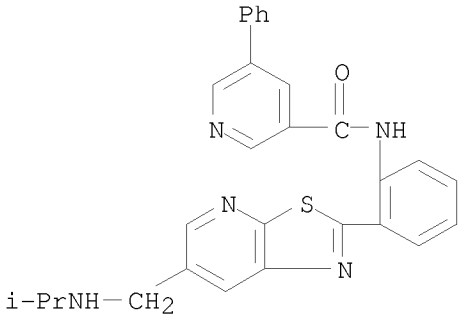
II

AB Title compds. represented by the formula I [wherein two of X1-X4 are selected from -CR- and -N-; the other two of X1-X4 are -CR-; R = independently H, halo or alkyl; R1 = a solubilizing group; R2 = (un)substituted Ph or heterocyclyl; or their salts thereof] were prepared as sirtuin modulators, especially SIRT1 modulators. For example, II was provided in a multi-step synthesis starting from the reaction of 5-amino-6-chloro-3-picoline with 2-nitrobenzoyl chloride. I were tested for inhibition of sirtuin activity. I may be used for increasing the lifespan of a cell, and treating and/or preventing a wide variety of diseases and disorders including, for example, diseases or disorders related to aging or stress, diabetes, obesity, neurodegenerative diseases, cardiovascular disease, blood clotting disorders, inflammation, cancer, and/or flushing as well as diseases or disorders that would benefit from increased mitochondrial activity. Also provided are compns. comprising a sirtuin-modulating compound in combination with another therapeutic agent.

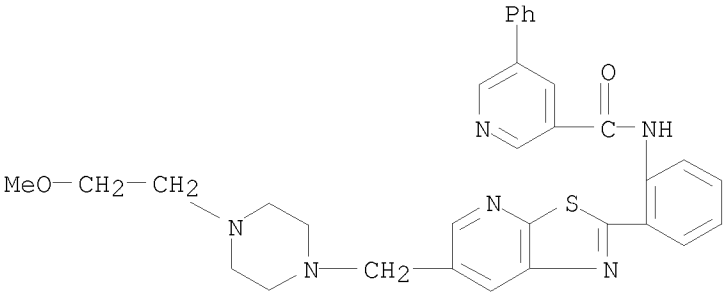
IT 1093623-32-5P 1093623-39-2P 1093623-55-2P
1093623-56-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-phenylthiazolo[5,4-b]pyridine derivs. as sirtuin modulators)

RN 1093623-32-5 CAPLUS

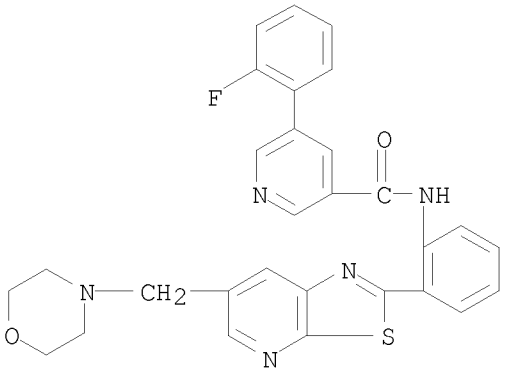
CN 3-Pyridinecarboxamide, N-[2-[6-[[(1-methylethyl)amino]methyl]thiazolo[5,4-b]pyridin-2-yl]phenyl]-5-phenyl- (CA INDEX NAME)



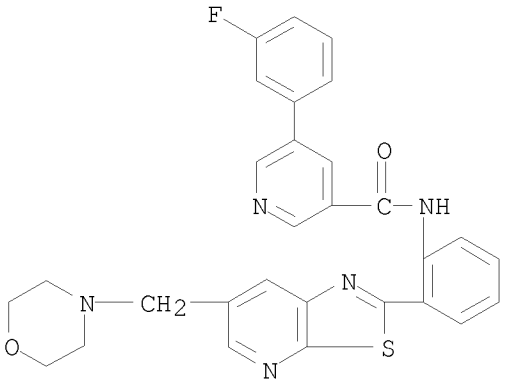
RN 1093623-39-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[6-[[4-(2-methoxyethyl)-1-piperazinyl]methyl]thiazolo[5,4-b]pyridin-2-yl]phenyl]-5-phenyl- (CA INDEX NAME)



RN 1093623-55-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[2-[6-(4-morpholinylmethyl)thiazolo[5,4-b]pyridin-2-yl]phenyl]- (CA INDEX NAME)



RN 1093623-56-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-fluorophenyl)-N-[2-[6-(4-morpholinylmethyl)thiazolo[5,4-b]pyridin-2-yl]phenyl]- (CA INDEX NAME)

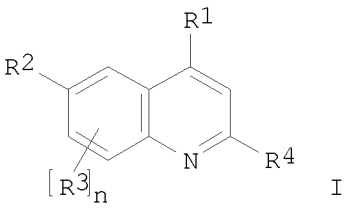


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1448267 CAPLUS
DOCUMENT NUMBER: 150:5608
TITLE: Preparation of quinoline derivatives as PI3 kinase inhibitors
INVENTOR(S): Adams, Nicholas D.; Burgess, Joelle Lorraine; Darcy, Michael Gerard; Donatelli, Carla A.; Knight, Steven David; Newlander, Kenneth Allen; Ridgers, Lance; Sarpong, Martha; Schmidt, Stanley J.
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 163pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008144463	A1	20081127	WO 2008-US63819	20080516
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008254915	A1	20081127	AU 2008-254915	20080516
US 20080300239	A1	20081204	US 2008-121891	20080516
PRIORITY APPLN. INFO.:			US 2007-938761P P	20070518
			WO 2008-US63819 W	20080516

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 150:5608; MARPAT 150:5608
GI



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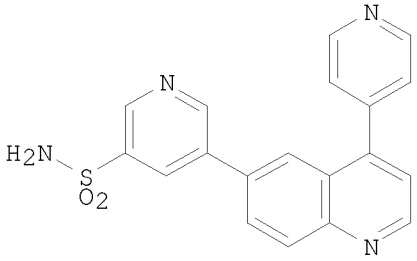


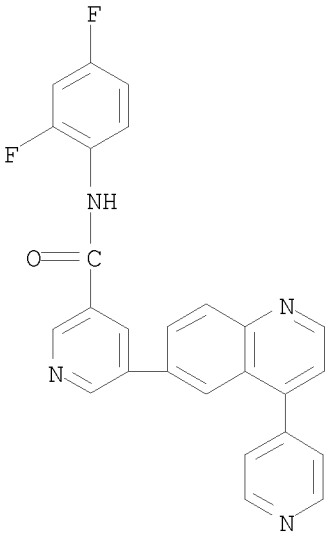
II

AB The title compds. I [R1 = (un)substituted heterocycloalkyl, (hetero)aryl; R2 = (un)substituted pyridinyl; R3, R4 = H, halo, acyl, etc.; n = 1-2], useful for inhibiting the activity/function of PI3 kinases, were prepared and formulated. That is, a multi-step synthesis of II, starting from 6-bromo-4-chloroquinoline, was given. Exemplified compds. I were tested and found active against PI3Kα (IC50's ranged from about 1 nM to 10 μM). Also invented is a method of treating one or more disease states selected from: autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, allergy, asthma, pancreatitis, multiorgan failure, kidney diseases, platelet aggregation, cancer, sperm motility, transplantation rejection, graft rejection and lung injuries by the administration of quinoline I.

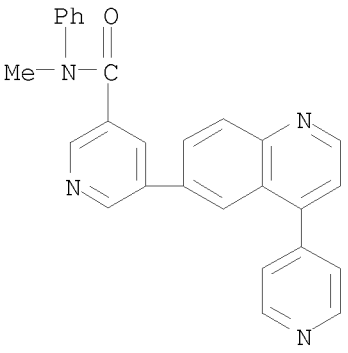
IT 1086060-63-0P 1086060-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as PI3 kinase inhibitors useful in treatment of diseases)

RN 1086060-63-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,4-difluorophenyl)-5-[4-(4-pyridinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 1086060-70-9 CAPLUS
CN 3-Pyridinecarboxamide, N-methyl-N-phenyl-5-[4-(4-pyridinyl)-6-quinolinyl]- (CA INDEX NAME)

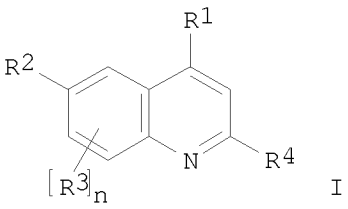


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1448266 CAPLUS
DOCUMENT NUMBER: 150:5607
TITLE: Preparation of quinoline derivatives as PI3 kinase inhibitors
INVENTOR(S): Adams, Nicholas D.; Chaudhari, Amita M.; Donatelli, Carla A.; Knight, Steven David; Newlander, Kenneth Allen; Parrish, Cynthia A.; Ridgers, Lance; Sarpong, Martha A.
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 165pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008144464	A1	20081127	WO 2008-US63821	20080516
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080300239	A1	20081204	US 2008-121891	20080516
PRIORITY APPLN. INFO.:			US 2007-938761P P	20070518

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:5607
GI



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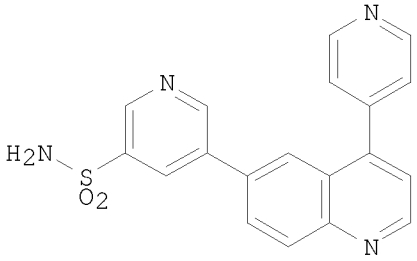


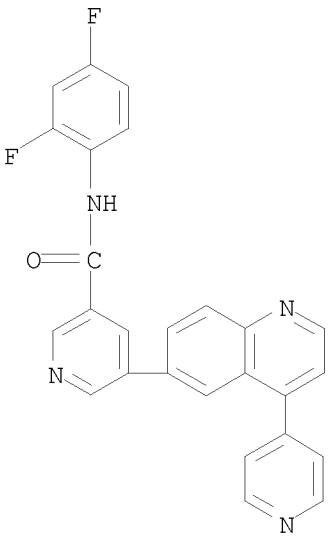
II

AB The title compds. I [R1 = (un)substituted heterocycloalkyl, (hetero)aryl; R2 = (un)substituted pyridinyl, pyrazolyl, etc.; R3, R4 = H, halo, acyl, etc.; n = 1-2; with the proviso], useful for inhibiting the activity/function of PI3 kinases, were prepared and formulated. That is, a multi-step synthesis of II, starting from 6-bromo-4-chloroquinoline, was given. Exemplified compds. I were tested and found active against PI3Kα (IC50's ranged from about 1 nM to 10 μM). Also invented is a method of treating one or more disease states selected from: autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, allergy, asthma, pancreatitis, multiorgan failure, kidney diseases, platelet aggregation, cancer, sperm motility, transplantation rejection, graft rejection and lung injuries by the administration of quinoline I.

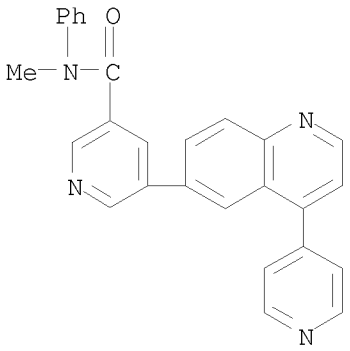
IT 1086060-63-0P 1086060-70-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline derivs. as PI3 kinase inhibitors useful in treatment of diseases)

RN 1086060-63-0 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,4-difluorophenyl)-5-[4-(4-pyridinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 1086060-70-9 CAPLUS
CN 3-Pyridinecarboxamide, N-methyl-N-phenyl-5-[4-(4-pyridinyl)-6-quinolinyl]- (CA INDEX NAME)

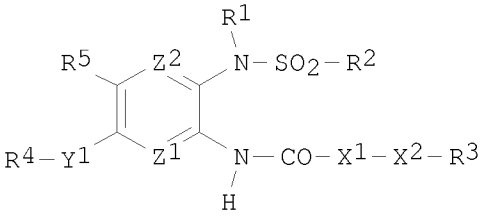


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1360516 CAPLUS
DOCUMENT NUMBER: 149:533929
TITLE: Preparation of sulfonamide derivatives as PGE2 production inhibitors
INVENTOR(S): Yokotani, Junichi; Taniguchi, Yoichi; Konishi, Yoshitake; Tada, Yukie; Yanai, Minori; Katai, Masaki
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 214pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008136378	A1	20081113	WO 2008-JP58015	20080425
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2007-118061 A 20070427
OTHER SOURCE(S): MARPAT 149:533929
GI



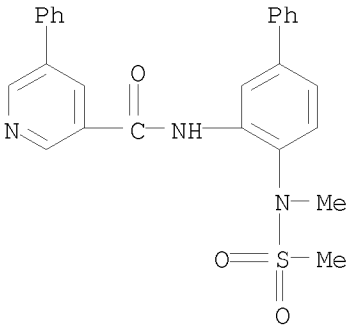
I

AB The title compds. I [R1 = H, (un)substituted alkyl, alkenyl, etc.; R2 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R3 = (un)substituted cycloalkyl, cycloalkenyl, aryl, etc.; R4 = (un)substituted cycloalkyl, cycloalkenyl, aryl, etc.; R5 = H, halo, cyano, etc.; X1 = (un)substituted alkylene, alkenylene, alkynylene, etc.; X2 = O, S, (protected) imino, etc.; Y1 = (protected) imino, (un)substituted alkylene, alkenylene, etc.; Z1 = N, CR6; R6 = H, halo, cyano, etc.; Z2 = N, CR7; R7 = H, halo, cyano, etc.; a proviso related to Z2 is given] are prepared Thus, N-(2-(methyl(methylsulfonyl)amino)-5-phenylphenyl)benzamide was prepared in a multistep process starting from 4-bromo-N-methyl-2-nitroaniline and phenylboronic acid. In an assay using cells, compds. of this invention at 0.1 μmol/L gave 62% to 96% inhibition against the production of prostaglandin E2.

IT 1078135-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as PGE2 production inhibitors)

RN 1078135-56-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[methyl(methylsulfonyl)amino][1,1'-biphenyl]-3-yl]-5-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1339223 CAPLUS

DOCUMENT NUMBER: 149:534228

TITLE: Preparation of aminodihydrothiazine derivatives as BACE1 inhibitors

INVENTOR(S): Tamura, Yuusuke; Suzuki, Shinji; Tada, Yukio; Yonezawa, Shuji; Fujikoshi, Chiaki; Matsumoto, Sae; Kooriyama, Yuuji; Ueno, Tatsuhiko

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

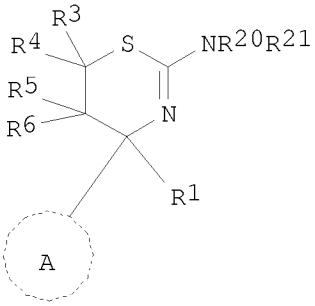
SOURCE: PCT Int. Appl., 255pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008133274	A1	20081106	WO 2008-JP57847	20080423
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008245082	A1	20081106	AU 2008-245082	20080423
CA 2683887	A1	20081106	CA 2008-2683887	20080423

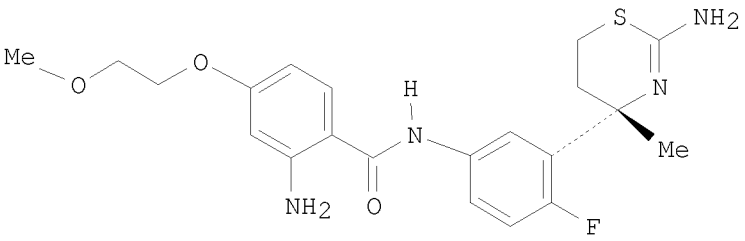
PRIORITY APPLN. INFO.: JP 2007-114288 A 20070424
JP 2007-290589 A 20071108
WO 2008-JP57847 W 20080423

OTHER SOURCE(S): MARPAT 149:534228

GI



I



II

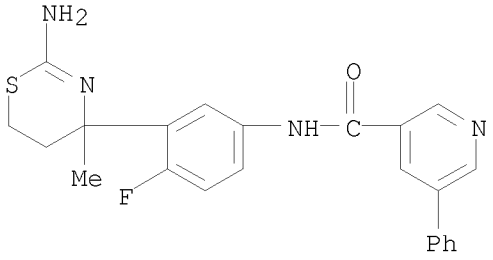
AB The title compds. I [ring A is an optionally substituted carbocyclic group or an optionally substituted heterocyclic group; R1 is optionally substituted lower alkyl, optionally substituted lower alkenyl, or

optionally substituted lower alkynyl, etc.; R20 and R21 are each independently hydrogen, optionally substituted lower alkyl, or optionally substituted acyl; and R3, R4, R5, and R6 are each independently hydrogen, halogeno, hydroxy, optionally substituted lower alkyl, etc.] are prepared The title compound II was prepared in a multistep process starting from 2'-fluoroacetophenone. Compds. of this invention showed IC50 values of 0.02 μM to 9.25 μM against β-secretase. Pharmaceutical formulations are given.

IT 1075225-24-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminodihydrothiazine derivs. as BACE1 inhibitors)

RN 1075225-24-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-(2-amino-5,6-dihydro-4-methyl-4H-1,3-thiazin-4-yl)-4-fluorophenyl]-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1043511 CAPLUS
DOCUMENT NUMBER: 149:307537
TITLE: Preparation of aryl and heteroaryl amides bearing a trihydroxyphenyl moiety as E-, P- or L-selectin ligands for treatment, diagnosis or prophylaxis of acute or chronic inflammatory disorders
INVENTOR(S): Aydt, Ewald M.; Kranich, Remo
PATENT ASSIGNEE(S): Revotar Biopharmaceuticals A.-G., Germany
SOURCE: U.S. Pat. Appl. Publ., 27pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080207741	A1	20080828	US 2008-67059	20080501
WO 2007039112	A1	20070412	WO 2006-EP9153	20060920

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2005-30509 A 20050920
WO 2006-EP9153 W 20060920
EP 2005-205095 A 20050920

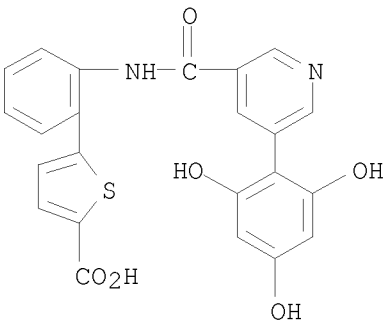
OTHER SOURCE(S): MARPAT 149:307537
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. e.g., I [X = (CH2)n(NH)mCO, (hetero)arylaminocarbonyl, etc.; m = 0, 1; n = 1-3; Y = substituted phenyl(amino), pyridyl(amino), pyrimidinyl(amino), piperazinyl, etc.], were prepared Thus, a solution of 2-(2,4,6-trimethoxyphenyl)acetic acid in CH2Cl2 was coupled with Me 3-aminobenzoate in the presence of EDC hydrochloride, Et3N and DMAP overnight at rt followed by workup to give II in 95% yield. The ester II was saponified with LiOH in THF/H2O for 40 h at room temperature (99%) then treated with BBr3 in CH2Cl2 at -78° to give 22% 3-[2-(2,4,6-trimethoxyphenyl)acetylamino]benzoic acid III. III inhibited binding of E-, P-, and L-selectin in the sialyl Lewis tyrosine sulfate assay with IC50 = 12.4 μM, 20.7 μM, and 22.1 μM, resp.

IT 929112-15-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aryl amides bearing a trihydroxyphenyl moiety as E-, P- or L-selectin ligands for treatment, diagnosis or prophylaxis of acute or chronic inflammatory disorders)

RN 929112-15-2 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[2-[[[5-(2,4,6-trihydroxyphenyl)-3-pyridinyl]carbonyl]amino]phenyl]- (CA INDEX NAME)



L4 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:223700 CAPLUS
DOCUMENT NUMBER: 148:285056
TITLE: Preparation of N-pyridinyl benzamides derivatives as cytokine inhibitors

INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio Garrido; Larson, Christopher; Lum, Christopher; Pei, Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 309pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

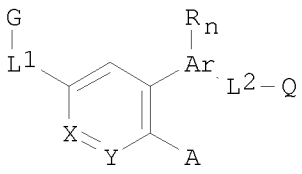
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-838795P P 20060817
US 2007-891470P P 20070223

OTHER SOURCE(S): MARPAT 148:285056
GI



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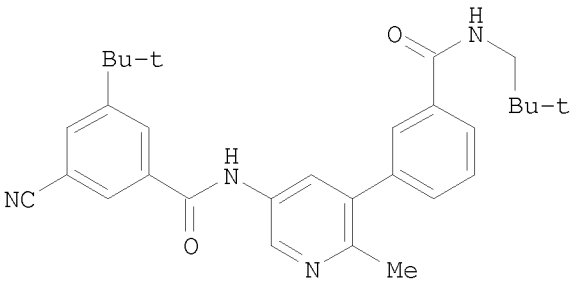


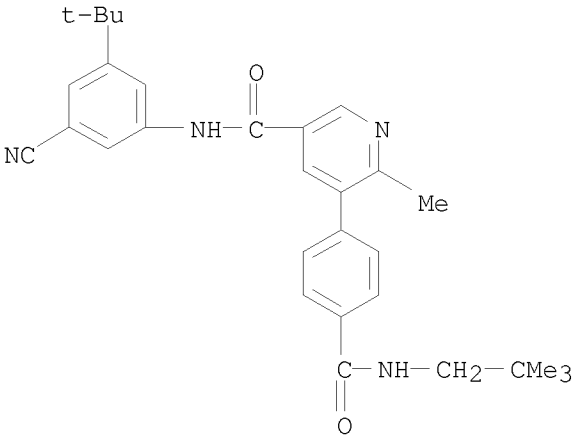
II

AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R

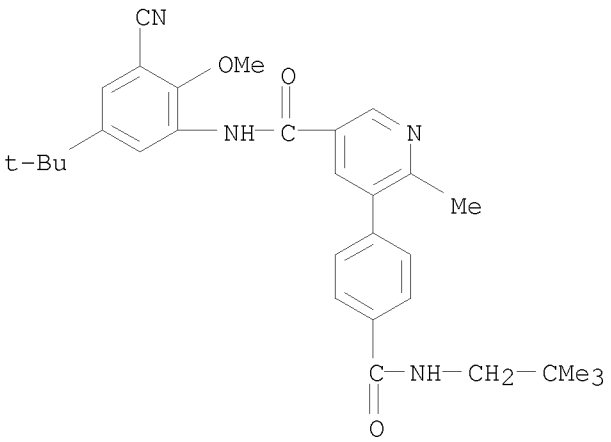
= H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNFα ELISA assay and was found to have activity therein, with most compds. having IC50s below 10 μM in this assay. In particular, I are useful as anti-inflammatory agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008137-45-8P 1008137-46-9P 1008137-47-0P
1008137-48-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

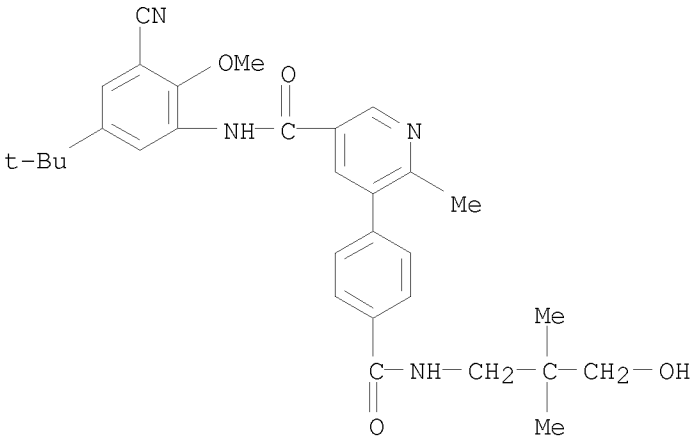
RN 1008137-45-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyano-5-(1,1-dimethylethyl)phenyl]-5-[4-[[(2,2-dimethylpropyl)amino]carbonyl]phenyl]-6-methyl- (CA INDEX NAME)



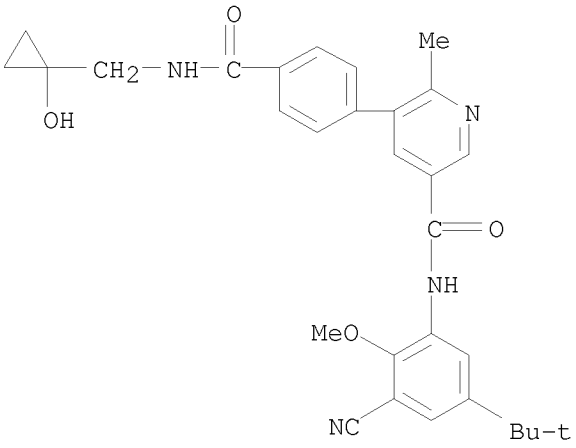
RN 1008137-46-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyano-5-(1,1-dimethylethyl)-2-methoxyphenyl]-5-[4-[[(2,2-dimethylpropyl)amino]carbonyl]phenyl]-6-methyl- (CA INDEX NAME)



RN 1008137-47-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyano-5-(1,1-dimethylethyl)-2-methoxyphenyl]-5-[4-[[(3-hydroxy-2,2-dimethylpropyl)amino]carbonyl]phenyl]-6-methyl- (CA INDEX NAME)



RN 1008137-48-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyano-5-(1,1-dimethylethyl)-2-methoxyphenyl]-5-[4-[[[(1-hydroxycyclopropyl)methyl]amino]carbonyl]phenyl]-6-methyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1454593 CAPLUS
DOCUMENT NUMBER: 148:70192
TITLE: Therapy using cytokine inhibitors
INVENTOR(S): Crowley, Constance A.; Delaet, Nancy G. J.; Ernst, Justin; Grove, Carrie Gail; Hepburn, Bonnie; King, Bernard; Larson, Christopher J.; Miller, Stephen; Pryor, Kent; Shuster, Lewis J.
PATENT ASSIGNEE(S): Kemia Inc., USA
SOURCE: PCT Int. Appl., 251pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007146712	A2	20071221	WO 2007-US70547	20070606
WO 2007146712	A3	20081127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007257959	A1	20071221	AU 2007-257959	20070606
EP 2035005	A2	20090318	EP 2007-798190	20070606
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.:
US 2006-812268P P 20060609
US 2006-833078P P 20060724
US 2006-835270P P 20060803
WO 2007-US70547 W 20070606

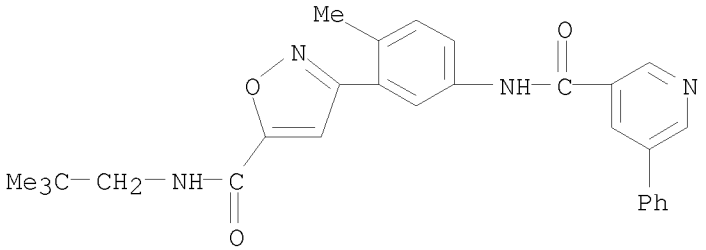
OTHER SOURCE(S): MARPAT 148:70192

AB The invention discloses methods for treating, preventing, modifying and managing cytokine-mediated disorders or related disorders, which comprise the administration of a compound, such as a cytokine inhibitor, alone or in combination with known therapeutics. The invention also relates to pharmaceutical compns. and dosing regimens using the disclosed compds. In particular, the invention relates to the use of compds. as disclosed herein, optionally in conjunction with other therapies, for the treatment of autoimmune diseases, inflammatory diseases, cardiovascular diseases, and cancer.

IT 943639-59-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapy using cytokine inhibitors)

RN 943639-59-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[5-[[(2,2-dimethylpropyl)amino]carbonyl]-3-isoxazolyl]-4-methylphenyl]-5-phenyl- (CA INDEX NAME)



L4 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:729095 CAPLUS
DOCUMENT NUMBER: 147:143408
TITLE: Arylisoxazolecarboxamides as cytokine inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cytokine-mediated diseases
INVENTOR(S): Boman, Erik; Montalban, Antonio Garrido; Pei, Yazhong; Larson, Christopher; Wang, Zhijun; Urban, Jan; Deleat, Nancy G.L.; Sebo, Lubomir; Lum, Christopher; Ernst, Justin
PATENT ASSIGNEE(S): Kemia, Inc., USA
SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007075896	A2	20070705	WO 2006-US48803	20061220
WO 2007075896	A3	20080306		

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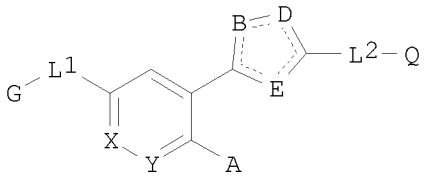
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:	US 2005-753634P	P	20051222
	US 2006-787362P	P	20060330
	US 2006-842051P	P	20060901

OTHER SOURCE(S): MARPAT 147:143408
GI



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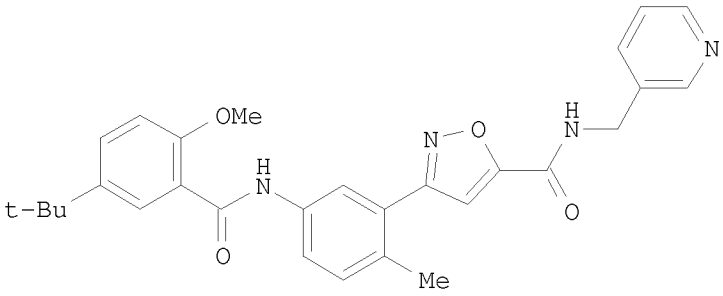


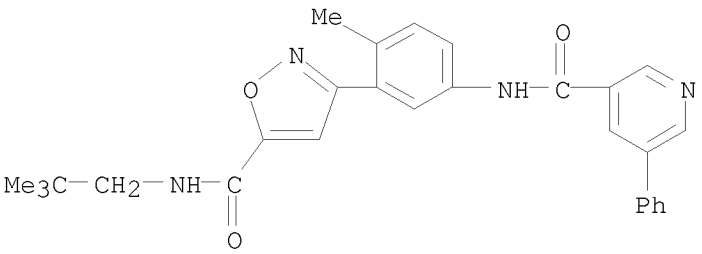
II

AB The invention provides low mol. weight compds. of formula I useful as cytokine inhibitors, and compns. thereof. Compds. of formula I wherein X and Y are independently CH and N; A is F, Cl, Br, I, NH2 and derivs., C1-3 (halo)alkyl and O-C1-3 (halo)alkyl; B, D, and E are independently N, NH and derivs., O, S, CH and (un)substituted C-alkyl; G is (un)substituted (hetero)aryl; L1 is CONH; L2 is (un)substituted (alkyl)amino(alkyl), (un)substituted alkyl-acyl, acyl, etc.; Q is H, (un)substituted alkyl, cycloalkyl, aryl and heterocyclyl; dotted lines are single and double bonds; and their stereoisomers, tautomers, solvates, prodrugs and pharmaceutically acceptable salts thereof, are claimed. In particular, compds. of the invention are useful as anti-inflammatory, anti-pain or anti-cancer agents. There are further provided methods for the preparation of such agents and their use in preventing or treating conditions mediated by cytokines. Example compound II was prepared by condensation of 2-methyl-5-nitrobenzaldehyde with hydroxylamine hydrochloride; the resulting 2-methyl-5-nitrobenzaldehyde oxime underwent cyclization with tert-Bu propiolate to give tert-Bu 3-(2-methyl-5-nitrophenyl)isoxazole-5-carboxylate, which underwent hydrolysis to give the corresponding isoxazole-5-carboxylic acid, which underwent amidation with 2-(aminomethyl)pyridine to give 3-(2-methyl-5-nitrophenyl)-N-(pyridin-3-yl)methylisoxazole-5-carboxamide, which underwent reduction to give 3-(5-amino-2-methylphenyl)-N-(pyridin-3-yl)methylisoxazole-5-carboxamide, which underwent amidation with 5-tert-butyl-2-methoxybenzoic acid to give compound II. All the invention compds. were evaluated for their cytokine inhibitory activity.

IT 943639-59-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of arylisoxazolecarboxamides as cytokine inhibitors useful in treatment and prevention of cytokine-mediated diseases)

RN 943639-59-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-[5-[[(2,2-dimethylpropyl)amino]carbonyl]-3-isoxazolyl]-4-methylphenyl]-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

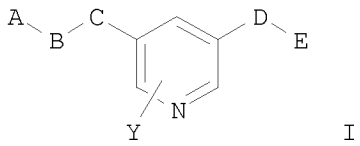
L4 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:619333 CAPLUS
DOCUMENT NUMBER: 147:72639
TITLE: Pyridine derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use as selective kinase inhibitors
INVENTOR(S): Kling, Marcel Robert; Burns, Chris John
PATENT ASSIGNEE(S): Cytopia Research Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 72pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007062459	A1	20070607	WO 2006-AU1799	20061129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: AU 2005-906667 A 20051129
OTHER SOURCE(S): MARPAT 147:72639
GI



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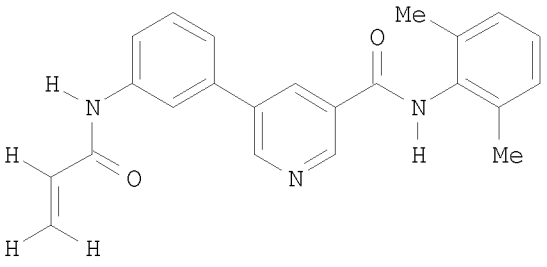


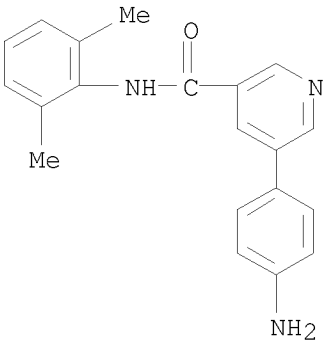
II

AB The invention relates to pyridine derivs. I, processes for preparing them, pharmaceutical prepns. comprising them, and their pharmaceutical use. I are selective inhibitors of the enzyme Janus kinase 3, useful for the treatment of tyrosine kinase-associated diseases. In compds. I, A is H, CH2=CHC(O)NH-, etc.; B is (un)substituted (hetero)aryl; C is a bond, NH, C(O), etc.; D is a bond, NH, O, S, etc.; E is (un)substituted alkyl, (hetero)aryl, etc.; Y is halo, OH, alkyl, etc.; including pharmaceutically acceptable prodrugs, salts, hydrates, solvates, crystal forms, and isomeric forms thereof. For instance, the invention compound II was prepared by substitution of 5-bromonicotinoyl chloride with 2,6-dimethylaniline followed by cross-coupling with 3-aminophenylboronic acid and condensation with acrylic acid. Representative examples of I exhibited a capacity to inhibit 50% of JAK activity at a concentration of 20 μM.

IT 1044945-81-4 1044945-82-5
RL: PRPH (Prophetic)
(Pyridine derivatives, processes for preparing them, pharmaceutical compositions containing them, and their use as selective kinase inhibitors)

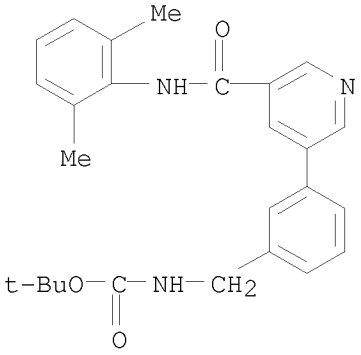
RN 1044945-81-4 CAPLUS

CN 3-Pyridinecarboxamide, 5-(4-aminophenyl)-N-(2,6-dimethylphenyl)- (CA INDEX NAME)



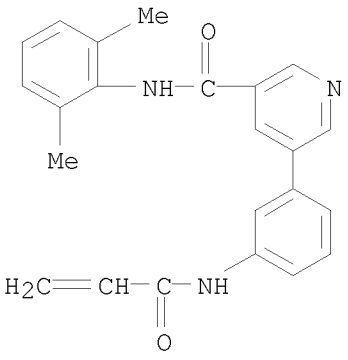
RN 1044945-82-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

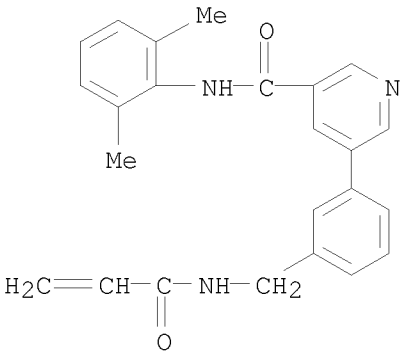


IT 940866-07-9P 940866-08-0P 940866-09-1P
940866-10-4P 940866-11-5P 940866-12-6P
940866-13-7P 940866-14-8P 940866-15-9P
940866-16-0P 940866-17-1P 940866-18-2P
940866-19-3P 940866-20-6P 940866-21-7P
940866-22-8P 940866-23-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; selective kinase-inhibiting compds. useful in treatment of tyrosine kinase - associated diseases)

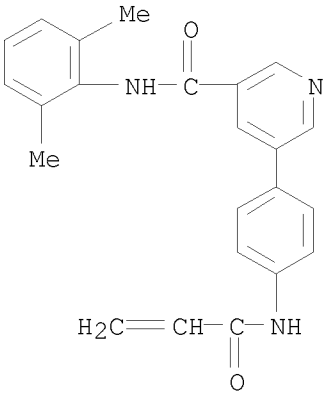
RN 940866-07-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[3-[(1-oxo-2-propen-1-yl)amino]phenyl]- (CA INDEX NAME)



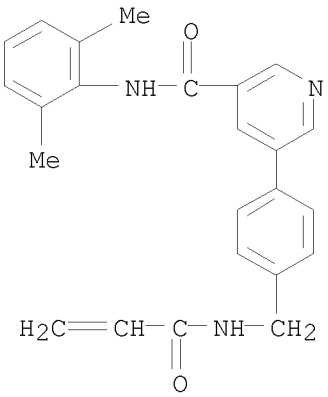
RN 940866-08-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[3-[[(1-oxo-2-propen-1-yl)amino]methyl]phenyl]- (CA INDEX NAME)



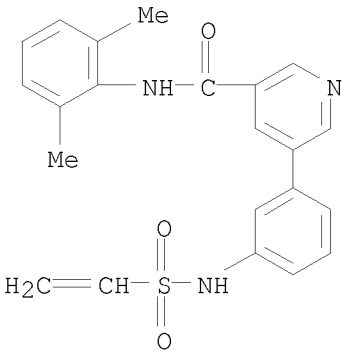
RN 940866-09-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[4-[(1-oxo-2-propen-1-yl)amino]phenyl]- (CA INDEX NAME)



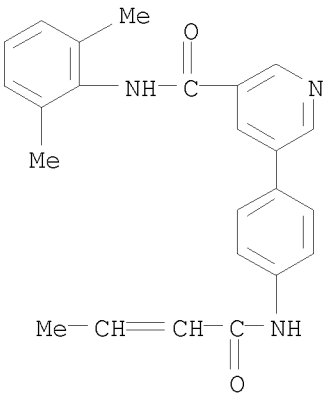
RN 940866-10-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[4-[[(1-oxo-2-propen-1-yl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 940866-11-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[3-[(ethenylsulfonyl)amino]phenyl]- (CA INDEX NAME)



RN 940866-12-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[4-[(1-oxo-2-buten-1-yl)amino]phenyl]- (CA INDEX NAME)



RN 940866-13-7 CAPLUS
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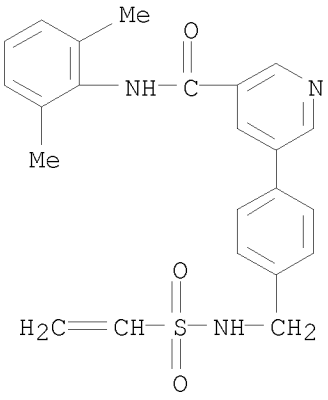
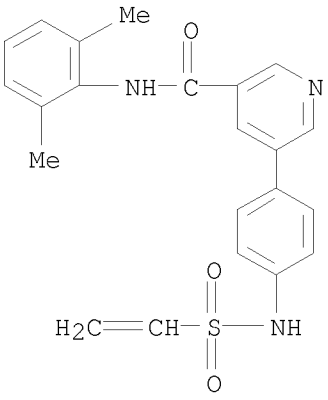


RN 940866-14-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[4-

[(ethenylsulfonyl)amino]phenyl]- (CA INDEX NAME)



RN 940866-15-9 CAPLUS
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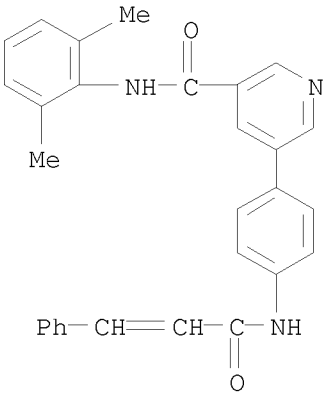

RN 940866-16-0 CAPLUS
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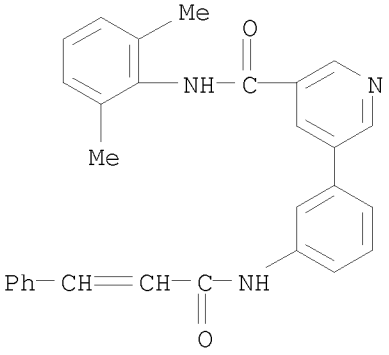
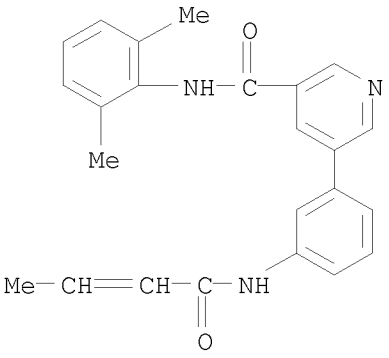
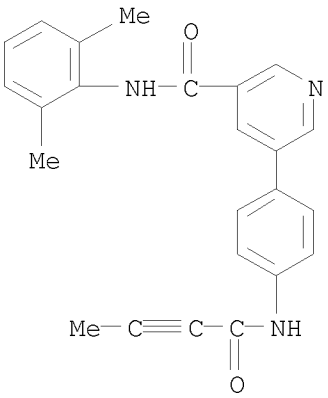


RN 940866-17-1 CAPLUS

CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[3-[(1-oxo-2-buten-1-yl)amino]phenyl]- (CA INDEX NAME)



RN 940866-18-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[4-[(1-oxo-2-butyn-1-yl)amino]phenyl]- (CA INDEX NAME)



RN 940866-19-3 CAPLUS
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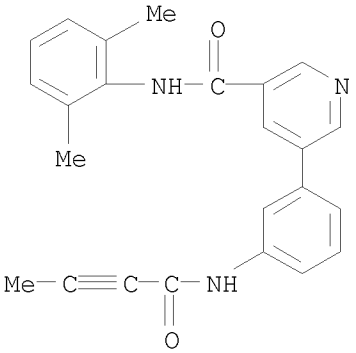

RN 940866-20-6 CAPLUS
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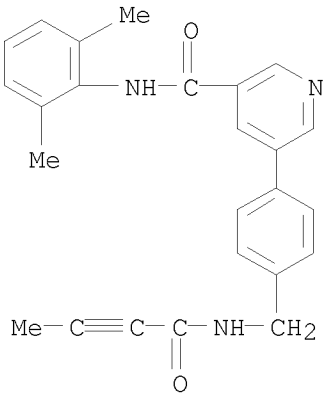
yl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 940866-21-7 CAPLUS
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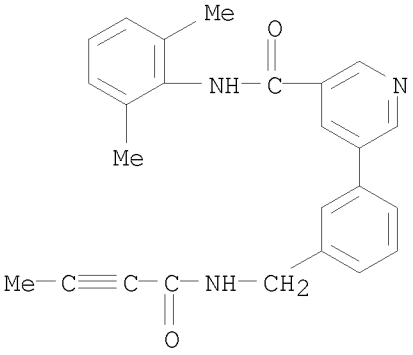
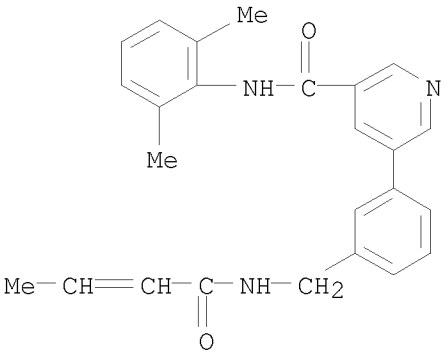


RN 940866-22-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,6-dimethylphenyl)-5-[3-[[(1-oxo-2-buten-1-yl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 940866-23-9 CAPLUS
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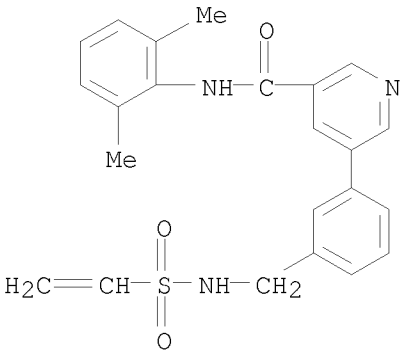
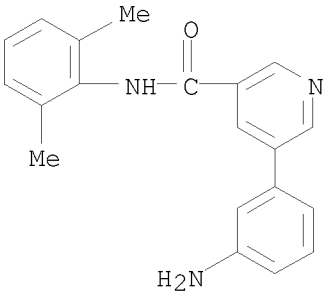
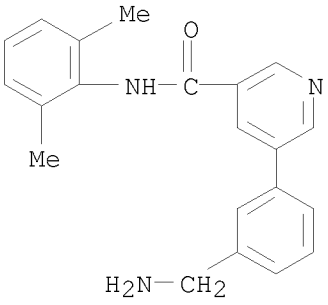


IT 940866-24-0P, 5-(3-Aminophenyl)-N-(2,6-dimethylphenyl)nicotinamide 940866-25-1P, 5-[3-(Aminomethyl)phenyl]-N-(2,6-dimethylphenyl)nicotinamide 940866-26-2P 940866-27-3P, 5-[4-(Aminomethyl)phenyl]-N-(2,6-dimethylphenyl)nicotinamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; selective kinase-inhibiting compds. useful in treatment of tyrosine kinase - associated diseases)

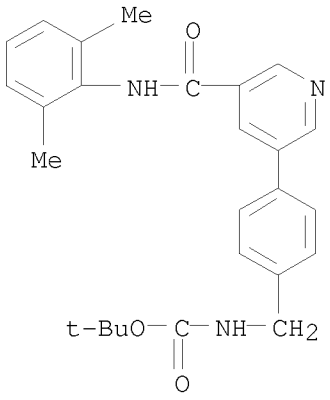
RN 940866-24-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-aminophenyl)-N-(2,6-dimethylphenyl)- (CA INDEX NAME)



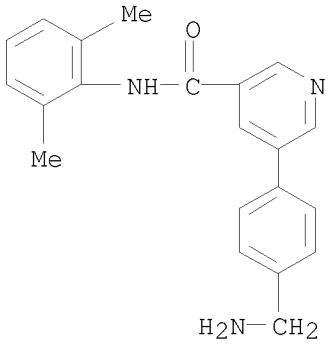
RN 940866-25-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-[3-(aminomethyl)phenyl]-N-(2,6-dimethylphenyl)- (CA INDEX NAME)



RN 940866-26-2 CAPLUS
CN Carbamic acid, N-[[4-[5-[[(2,6-dimethylphenyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



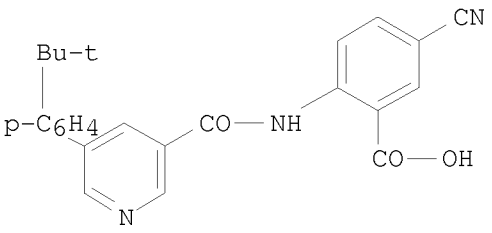
RN 940866-27-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(aminomethyl)phenyl]-N-(2,6-dimethylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:526098 CAPLUS
DOCUMENT NUMBER: 147:45202
TITLE: Preparation of novel anthranilic acids as antibacterial agents: Extensive evaluation of structural and physical properties on antibacterial activity and human serum albumin affinity
AUTHOR(S): Thorarensen, Atli; Li, Jianke; Wakefield, Brian D.; Romero, Donna L.; Marotti, Keith R.; Sweeney, Michael T.; Zurenko, Gary E.; Sarver, Ronald W.
CORPORATE SOURCE: Medicinal Chemistry and Infectious Diseases Biology, Pharmacia Corporation, Kalamazoo, MI, 49001, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(11), 3113-3116
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:45202
GI



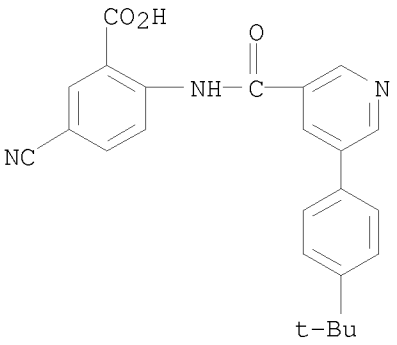
I

AB In the past few years a significant effort has been devoted by Pharmacia toward the discovery of novel antibiotics. We describe the preparation of several selected analogs such as I to probe the dependency of this template for antibacterial activity and the affinity these compds. have for human serum albumin (HSA). These analogs illustrate that decreased affinity for HSA can be achieved while retaining relevant antibacterial activity. The most important factor for reduced HSA affinity is decrease in log P rather than a structural change.

IT 668976-15-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial activity and human serum albumin affinity of anthranilic acids)

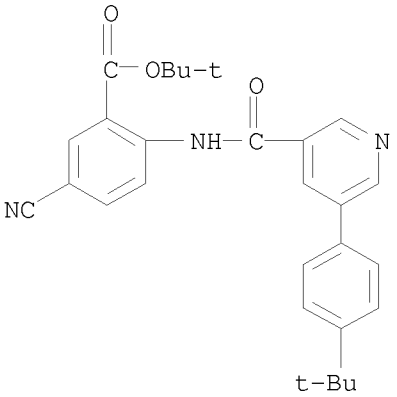
RN 668976-15-6 CAPLUS

CN Benzoic acid, 5-cyano-2-[[[5-[4-(1,1-dimethylethyl)phenyl]-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



IT 939791-54-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antibacterial activity and human serum albumin affinity of anthranilic

acids)
RN 939791-54-5 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-[4-(1,1-dimethylethyl)phenyl]-3-pyridinyl]carbonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:322889 CAPLUS
DOCUMENT NUMBER: 146:344355
TITLE: Novel phloroglucinol derivatives having selectin ligand activity
INVENTOR(S): Kranich, Remo; Aydt, Ewald M.
PATENT ASSIGNEE(S): Revotar Biopharmaceuticals AG, Germany
SOURCE: Eur. Pat. Appl., 36pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

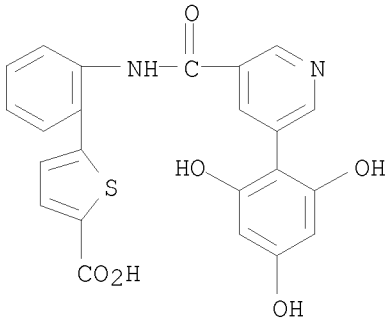
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1764096	A1	20070321	EP 2005-20509	20050920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2006299182	A1	20070412	AU 2006-299182	20060920
CA 2622467	A1	20070412	CA 2006-2622467	20060920
EP 1937237	A1	20080702	EP 2006-792184	20060920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
MX 2008003700	A	20080616	MX 2008-3700	20080314
IN 2008CN01360	A	20081128	IN 2008-CN1360	20080319
CN 101312719	A	20081126	CN 2006-80043183	20080519
PRIORITY APPLN. INFO.:			EP 2005-20509 A	20050920
			WO 2006-EP9153 W	20060920

OTHER SOURCE(S): CASREACT 146:344355; MARPAT 146:344355
AB Pharmaceutical compns. comprising at least one compound containing a 2,4,6-trihydroxyphenyl subunit, pharmaceutically acceptable salts, esters,

or amides and prodrugs thereof, useful in medicine are described. The compds. are applied to modulate the in vitro and in vivo binding processes mediated by E-, P- or L-selectin for the treatment, diagnosis or prophylaxis of inflammatory disorders and other conditions where selectin-mediated processes play a role. Thus, 3-[2-(2,4,6-trihydroxyphenyl)acetylamino]benzoic acid was prepared (yield 22%) and assayed for its ability to inhibit the binding of P-, L-, or E-selectin chimeric mols. to sLex and tyrosine sulfate residues linked to a polymeric matrix as a PSGL-1 substitute. The IC50-values for P-, L-, and E-selectin binding were 41.2 μM, 37.1 μM, and 35.1 μM, resp.

IT 929112-15-2P
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phloroglucinol derivs. having selectin ligand activity for treatment, diagnosis or prophylaxis of inflammatory disorders)

RN 929112-15-2 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[2-[[[5-(2,4,6-trihydroxyphenyl)-3-pyridinyl]carbonyl]amino]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:322859 CAPLUS
DOCUMENT NUMBER: 146:323555
TITLE: Novel nitrocatechol derivatives having selectin ligand activity
INVENTOR(S): Aydt, Ewald M.; Kranich, Remo
PATENT ASSIGNEE(S): Revotar Biopharmaceuticals AG, Germany
SOURCE: Eur. Pat. Appl., 45pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1764095	A1	20070321	EP 2005-20508	20050920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
AU 2006299184	A1	20070412	AU 2006-299184	20060920
CA 2622935	A1	20070412	CA 2006-2622935	20060920
WO 2007039114	A1	20070412	WO 2006-EP9155	20060920

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1937238	A1	20080702	EP 2006-805784		20060920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR					
JP 2009508902	T	20090305	JP 2008-531609		20060920
MX 2008003698	A	20080606	MX 2008-3698		20080314
IN 2008CN01354	A	20081128	IN 2008-CN1354		20080319
CN 101374508	A	20090225	CN 2006-80038782		20080417
US 20090105280	A1	20090423	US 2008-67341		20080501
PRIORITY APPLN. INFO.:			EP 2005-20508	A	20050920
			WO 2006-EP9155	W	20060920

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

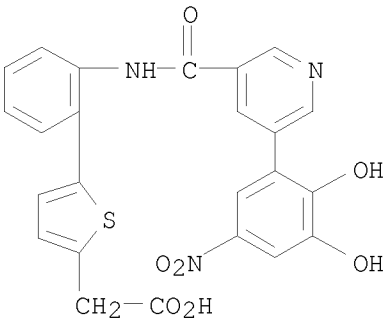
OTHER SOURCE(S): MARPAT 146:323555

AB Pharmaceutical compns. comprising at least one nitrocatechol-based compound or the pharmaceutically acceptable salts, esters or amides and prodrugs thereof and a pharmaceutically acceptable carrier, useful in a medicine are described. The compds. are applied to modulate the in vitro and in vivo binding processes mediated by E-, P- or L-selectin for the treatment, diagnosis or prophylaxis of inflammatory disorders and other conditions where selectin-mediated processes play a role. Thus, compds. of the present invention were assayed for their ability to inhibit the binding of P-, L-, or E-selectin chimeric mols. to sLex and tyrosine sulfate residues linked to a polymeric matrix as a PSGL-1 substitute.

IT 929019-69-2P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nitrocatechol derivs. having selectin ligand activity for treatment, diagnosis or prophylaxis of inflammatory disorders)

RN 929019-69-2 CAPLUS

CN 2-Thiopheneacetic acid, 5-[2-[[[5-(2,3-dihydroxy-5-nitrophenyl)-3-pyridinyl]carbonyl]amino]phenyl]- (CA INDEX NAME)



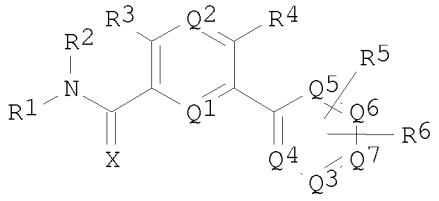
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:83548 CAPLUS
DOCUMENT NUMBER: 146:184364
TITLE: Preparation of nicotinamides as inhibitors of mitotic kinesin
INVENTOR(S): Pinkerton, Anthony B.; David, Robert L.
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011760	A2	20070125	WO 2006-US27450	20060713
WO 2007011760	A3	20070907		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-699523P P 20050715
OTHER SOURCE(S): MARPAT 146:184364
GI



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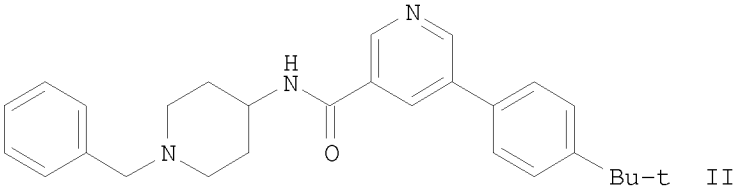


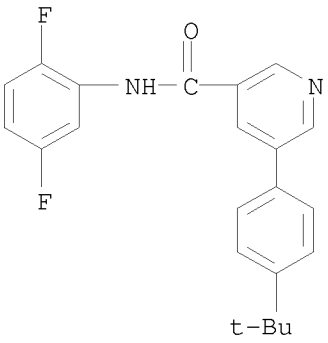
II

AB The title compds. I [R1, R2 = H, alkyl, alkoxyalkyl, etc.; or NR1R2 = (un)substituted heterocycloalkyl; R3-R7 = H, carboxy, alkoxycarbonyl, etc.; X = O or S; Q1, Q2 = CR7 and N (with the proviso that only one of Q1 and Q2 = CR7); Q3-Q7 = CR7 and N], useful as inhibitors of KSP for the

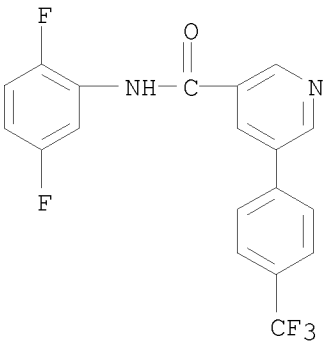
treatment or prevention of cellular proliferative diseases, were prepared E.g., a 2-step synthesis of II, starting from 5-bromonicotinic acid and 1-benzylpiperidin-4-ylamine, was given. Exemplified compds. I were tested in in vitro KSP ATP depletion assay. For example, II showed IC50 of ≤20 μM in that assay. Pharmaceutical composition comprising the compound I as well as a method of treatment of a KSP-mediated disease comprising the administration of compound I in combination with another therapeutic agents are disclosed.

IT 1057089-71-0 1057089-78-7 1057089-81-2
1057089-82-3
RL: PRPH (Prophetic)
(Preparation of nicotinamides as inhibitors of mitotic kinesin)

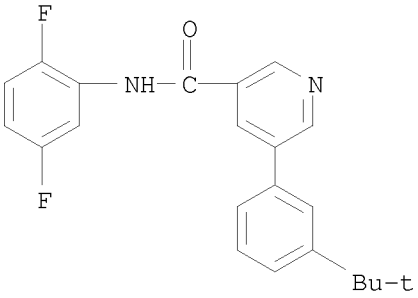
RN 1057089-71-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-difluorophenyl)-5-[4-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



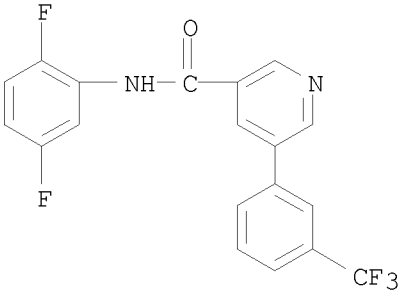
RN 1057089-78-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-difluorophenyl)-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



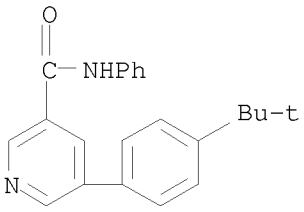
RN 1057089-81-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-difluorophenyl)-5-[3-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



RN 1057089-82-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-difluorophenyl)-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 921612-32-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamides as inhibitors of mitotic kinesin useful in treatment and prevention of proliferative diseases)
RN 921612-32-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(1,1-dimethylethyl)phenyl]-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:982164 CAPLUS
DOCUMENT NUMBER: 145:356811
TITLE: Preparation of fused heterocyclic kinase inhibitors
INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.; Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai, Zhen-Wei

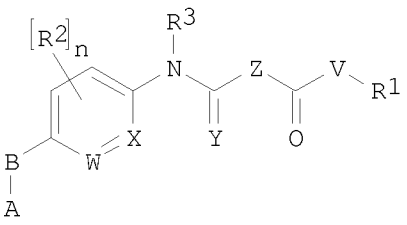
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 141 pp., Cont.-in-part of U.S. Ser. No. 167,043.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060211695	A1	20060921	US 2005-292358	20051201
US 7439246	B2	20081021		
US 20050288290	A1	20051229	US 2005-167043	20050624
AU 2005259894	A1	20060112	AU 2005-259894	20050628
AU 2005259894	B2	20090319		
AU 2005260056	A1	20060112	AU 2005-260056	20050628
AU 2005260056	B2	20090827		
CA 2571680	A1	20060112	CA 2005-2571680	20050628
EP 1761268	A2	20070314	EP 2005-791275	20050628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, MK, YU				
EP 1768983	A2	20070404	EP 2005-764291	20050628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, MK, YU				
EP 1771177	A2	20070411	EP 2005-790229	20050628
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV, MK, YU				
CN 1993130	A	20070704	CN 2005-80025519	20050628
CN 101005843	A	20070725	CN 2005-80027728	20050628
CN 101027305	A	20070829	CN 2005-80027173	20050628
JP 2008504366	T	20080214	JP 2007-519322	20050628
JP 2008504367	T	20080214	JP 2007-519390	20050628
JP 2008504368	T	20080214	JP 2007-519416	20050628
BR 2005012722	A	20080401	BR 2005-12722	20050628
IN 2006DN07597	A	20070803	IN 2006-DN7597	20061215
IN 2006DN07602	A	20070803	IN 2006-DN7602	20061215
MX 2006015032	A	20070208	MX 2006-15032	20061219
MX 2006015192	A	20070228	MX 2006-15192	20061220
IN 2006DN07759	A	20070817	IN 2006-DN7759	20061220
ZA 2006010780	A	20081126	ZA 2006-10780	20061220
KR 2007028458	A	20070312	KR 2006-727376	20061227
KR 2007037448	A	20070404	KR 2006-727370	20061227
NO 2007000453	A	20070124	NO 2007-453	20070124
NO 2007000506	A	20070214	NO 2007-506	20070126
NO 2007000514	A	20070312	NO 2007-514	20070126

PRIORITY APPLN. INFO.:

US 2004-583459P	P	20040628
US 2004-612563P	P	20040923
US 2005-167043	A2	20050624
WO 2005-US22682	W	20050628
WO 2005-US23099	W	20050628
WO 2005-US23198	W	20050628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 145:356811
GI



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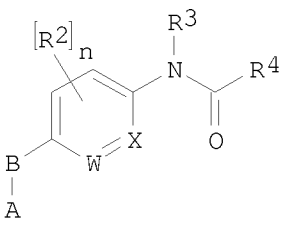

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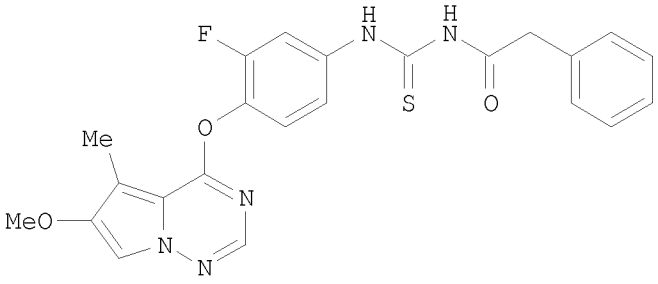

III

AB The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 μM. Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

IT 888719-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888719-13-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-fluoro-4-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)phenyl]-1,2-dihydro-2-oxo-5-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 888719-12-8
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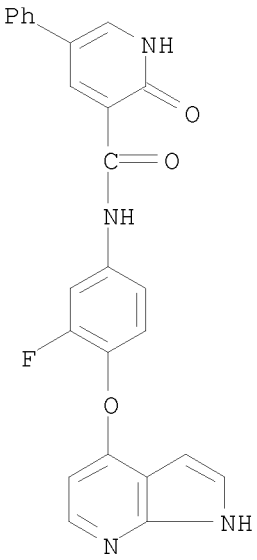

CM 2

CRN 76-05-1
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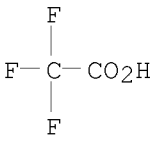

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:608560 CAPLUS
DOCUMENT NUMBER: 145:83228
TITLE: Preparation of pyrid-2-ones useful as inhibitors of Tec family protein kinases for the treatment of inflammatory, proliferative and immunologically-mediated diseases
INVENTOR(S): Charrier, Jean-Damien; Durrant, Steven; Ramaya, Sharn; Jimenez, Juan-Miguel; Rutherford, Alistair
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065946	A1	20060622	WO 2005-US45336	20051215

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2005316540	A1	20060622	AU 2005-316540		20051215
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IN 2007KN02260	A	20070817	IN 2007-KN2260		20070619
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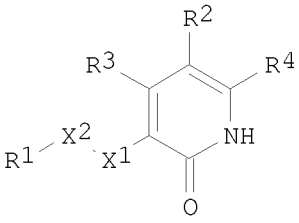
JP 2009062391	A	20090326	JP 2008-287171		20081107
PRIORITY APPLN. INFO.:			US 2004-636754P	P	20041216
			US 2005-673870P	P	20050422
			JP 2007-546878	A3	20051215
			WO 2005-US45336	W	20051215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:83228; MARPAT 145:83228
GI



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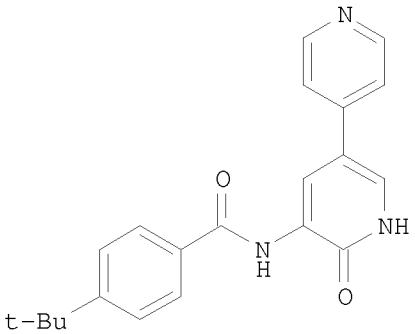


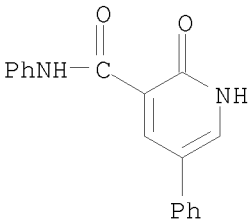
II

AB The title compds. I [R3, R4 = H, halo or alkyl optionally substituted with halo, alkyl, OCH3, NO2, NH2, CN, NHCH3, SCH3, or N(CH)2; R2 = 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S; X1, X2 = C(O), NR, or SO2 (wherein one of X1 or X2 = NR and other of X1 or X2 = C(O) or SO2); R1 = TQ (T = a bond or alkylene wherein up tp 3 methylene units are optionally replaced by O, S, CS, etc.; Q = H, alkyl, 3-8 membered saturated,

partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S)] which are effective as inhibitors of Tec family (e.g., Tec, Btk, Itk/Emt/Tsk, Bmx, Txk/Rlk) protein kinases, were prepared Thus, reacting amrinone with 4-tert-butylbenzoyl chloride afforded 9% II which showed Ki between 0.1 μM and 1 μM against ITK. The compds. I and their pharmaceutically acceptable compns. are useful for treating or preventing a variety of diseases, disorders or conditions, including, but not limited to, an autoimmune, inflammatory, proliferative, or hyperproliferative disease or an immunol.-mediated disease.

IT 893439-37-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridones as inhibitors of Tec family protein kinases useful for treating and preventing inflammatory, proliferative, hyperproliferative, autoimmune or immunol.-mediated disease)

RN 893439-37-7 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-2-oxo-N,5-diphenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:534761 CAPLUS
DOCUMENT NUMBER: 145:28024
TITLE: Preparation of fused heterocyclic kinase inhibitors
INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Huynh, Tram N.; Vaccaro, Wayne; Chen, Xiao-Tao; Kim, Kyoung S.; Cai, Zhen-Wei
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S. Pat. Appl. Publ., 141 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050288290	A1	20051229	US 2005-167043	20050624
AU 2005259894	A1	20060112	AU 2005-259894	20050628
AU 2005259894	B2	20090319		
AU 2005260056	A1	20060112	AU 2005-260056	20050628
AU 2005260056	B2	20090827		
CA 2571680	A1	20060112	CA 2005-2571680	20050628

WO 2006004636 A2 20060112 WO 2005-US22682 20050628
WO 2006004636 A3 20060526
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WO 2006004833 A2 20060112 WO 2005-US23099 20050628
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EP 1761268 A2 20070314 EP 2005-791275 20050628
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EP 1768983 A2 20070404 EP 2005-764291 20050628
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EP 1771177 A2 20070411 EP 2005-790229 20050628
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CN 1993130 A 20070704 CN 2005-80025519 20050628
CN 101005843 A 20070725 CN 2005-80027728 20050628
CN 101027305 A 20070829 CN 2005-80027173 20050628
JP 2008504366 T 20080214 JP 2007-519322 20050628
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BR 2005012722	A	20080401	BR 2005-12722		20050628
US 20060211695	A1	20060921	US 2005-292358		20051201
US 7439246	B2	20081021			
IN 2006DN07597	A	20070803	IN 2006-DN7597		20061215
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MX 2006015032	A	20070208	MX 2006-15032		20061219
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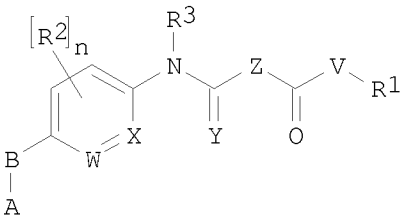
			US 2004-612563P	P	20040923
			US 2005-167043	A2	20050624
			WO 2005-US22682	W	20050628
			WO 2005-US23099	W	20050628
			WO 2005-US23198	W	20050628

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:28024; MARPAT 145:28024
GI



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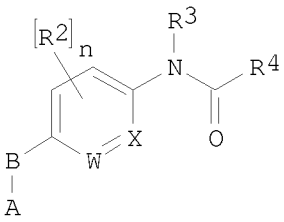
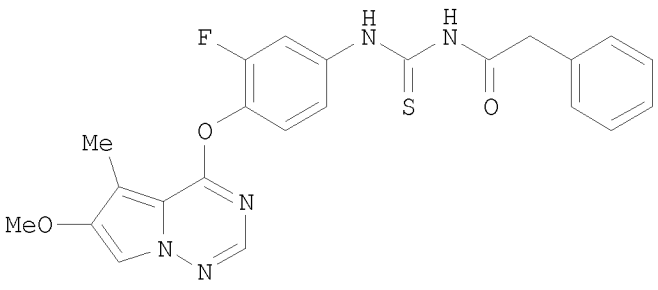


II



III

AB The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-

carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 μM. Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

IT 888719-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888719-13-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-fluoro-4-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)phenyl]-1,2-dihydro-2-oxo-5-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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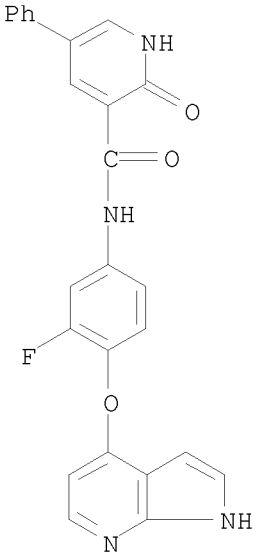

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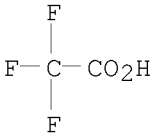

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:534671 CAPLUS
DOCUMENT NUMBER: 145:28023
TITLE: Preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer
INVENTOR(S): Borzilleri, Robert M.; Chen, Zhong; Hunt, John T.; Huynh, Tram; Poss, Michael A.; Schroeder, Gretchen M.; Vaccaro, Wayne; Wong, Tai W.; Chen, Xiao-Tao; Kim, Kyoung S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S. Pat. Appl. Publ., 135 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060004006	A1	20060105	US 2005-167049	20050624
US 7173031	B2	20070206		
AU 2005259894	A1	20060112	AU 2005-259894	20050628
AU 2005259894	B2	20090319		
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AU 2005260056	B2	20090827		
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WO 2006004636	A3	20060526		
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WO 2006004833	A3	20060713		
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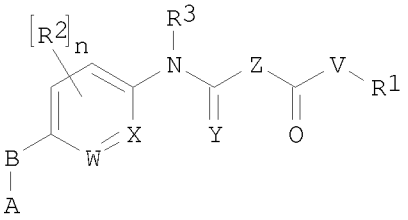
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 145:28023
GI



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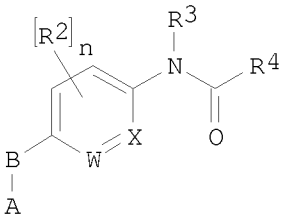

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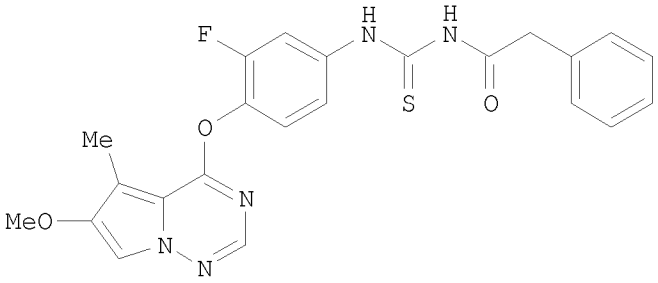

III

AB The title compds. I and II [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; B = O, NR8, S, SO, SO2, CR9C10; V = NR11 or (CR47R48)p; W or X = C or N; Y = O, S, NR12; Z = CR13R14, (CR13R14)mNR15; m = 0-2; n = 0-4; p = 0-4, provided that if p = 0, R1 is not Ph; A = substituted pyrrolo[2,1-f][1,2,4]triazin-4-yl, pyrrolo[1,2-b]pyridazin-4-yl, pyrrolo[2,3-b]pyridin-4-yl, etc.; R3, R8, R11, R15 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl, heterocycloalkyl; R9, R10 = H, halo, alkyl, etc.; R12 = H, alkyl, CN, etc.; R13-R15, R47, R48 = H, halo, alkyl, etc.; and their pharmaceutically acceptable salts], useful as protein kinase inhibitors for treating cancer and other protein kinase mediated diseases, were prepared E.g., a multi-step synthesis of III, starting from Et 5-methyl-4-oxo-3,4-dihydropyrrolo[2,1-f][1,2,4]triazine-6-carboxylate, was given. Compds. I and II inhibit the Met kinase with IC50 values between 0.01 to 100 μM. Pharmaceutical compns. comprising the compound I or II alone or in combination with other antitumor agent are disclosed.

IT 888719-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyridines and pyrrolotriazines as kinase inhibitors for treating cancer)

RN 888719-13-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-fluoro-4-(1H-pyrrolo[2,3-b]pyridin-4-yloxy)phenyl]-1,2-dihydro-2-oxo-5-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 888719-12-8
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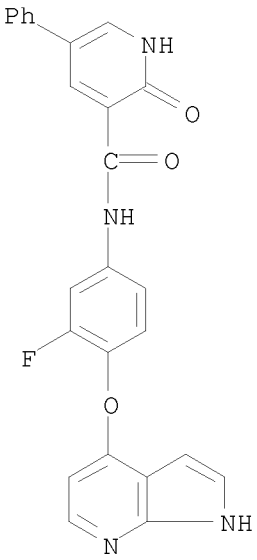

CM 2

CRN 76-05-1
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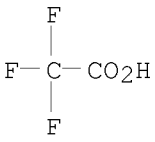


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 205 THERE ARE 205 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:333943 CAPLUS
DOCUMENT NUMBER: 145:62755
TITLE: Polymer-Supported Synthesis of Pyridone-Focused Libraries as Inhibitors of Anaplastic Lymphoma Kinase
AUTHOR(S): Zhu, Tong; Yan, Zheng; Chucholowski, Alexander; Webb, Thomas R.; Li, Rongshi
CORPORATE SOURCE: Department of High Throughput Medicinal Chemistry, ChemBridge Research Laboratories, San Diego, CA, 92127, USA
SOURCE: Journal of Combinatorial Chemistry (2006), 8(3), 401-409
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:62755

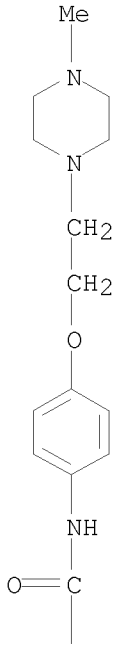
AB Two series of arylpyridonecarboxamides were prepared by solid-phase synthesis as potential inhibitors of anaplastic lymphoma kinase.

IT 890652-04-7P 890652-05-8P 890652-06-9P
890652-07-0P 890652-08-1P 890652-12-7P
890652-13-8P 890652-14-9P 890652-15-0P
890652-16-1P 890652-17-2P 890652-18-3P
890652-19-4P 890652-23-0P 890652-29-6P
890652-33-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(polymer-supported synthesis of pyridone-focused libraries as inhibitors of anaplastic lymphoma kinase)

RN 890652-04-7 CAPLUS

CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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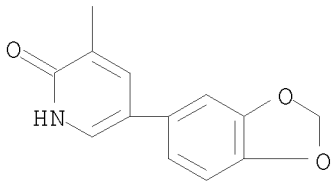
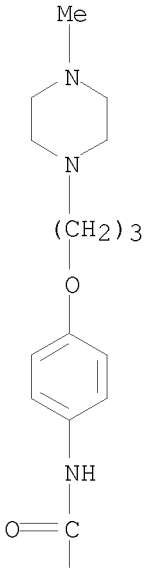


RN 890652-05-8 CAPLUS

CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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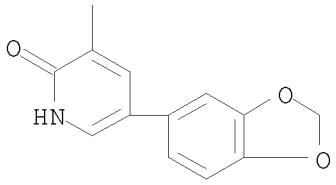

RN 890652-06-9 CAPLUS
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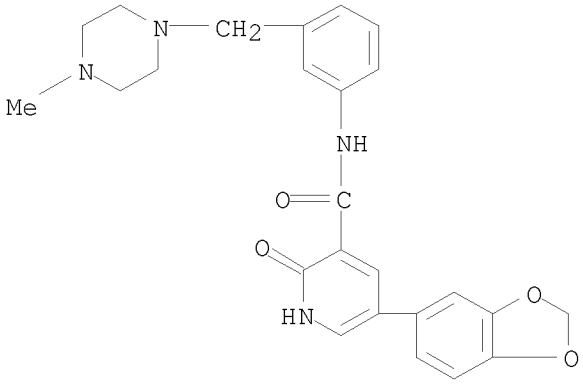
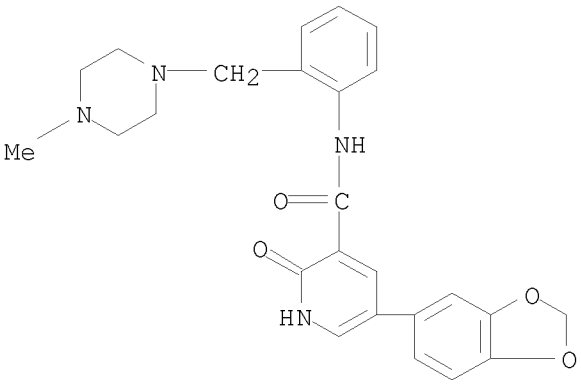
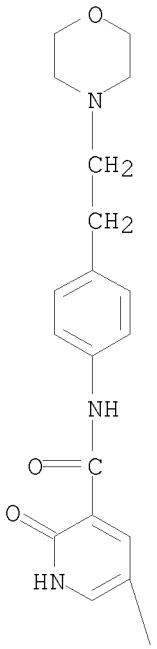


RN 890652-07-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[2-[(4-methyl-1-piperazinyl)methyl]phenyl]-2-oxo- (CA INDEX NAME)



RN 890652-08-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[2-(4-morpholinyl)ethyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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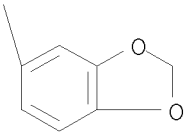
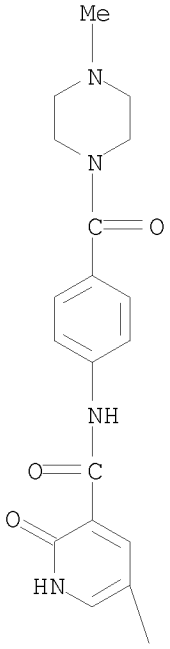


RN 890652-12-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[(4-methyl-1-piperazinyl)carbonyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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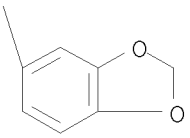
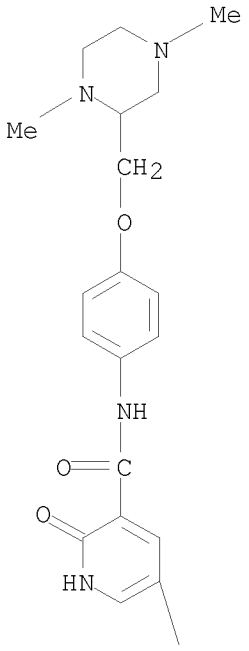


RN 890652-13-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-[4-[(1,4-dimethyl-2-piperazinyl)methoxy]phenyl]-1,2-dihydro-2-oxo- (CA INDEX NAME)

PAGE 1-A



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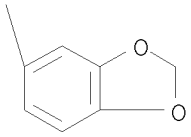

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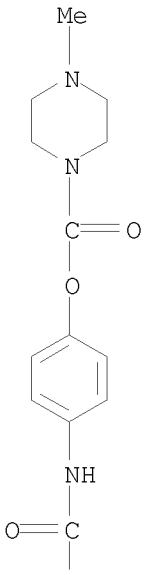
CN 1-Piperazinecarboxylic acid, 4-methyl-, 4-[[[5-(1,3-benzodioxol-5-yl)-1,2-dihydro-2-oxo-3-pyridinyl]carbonyl]amino]phenyl ester (CA INDEX NAME)

PAGE 1-A



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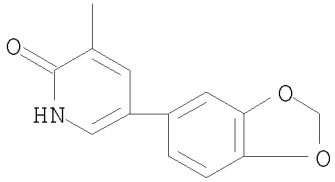

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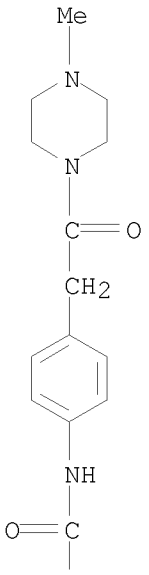
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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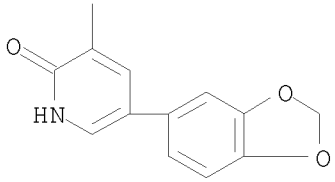

RN 890652-16-1 CAPLUS
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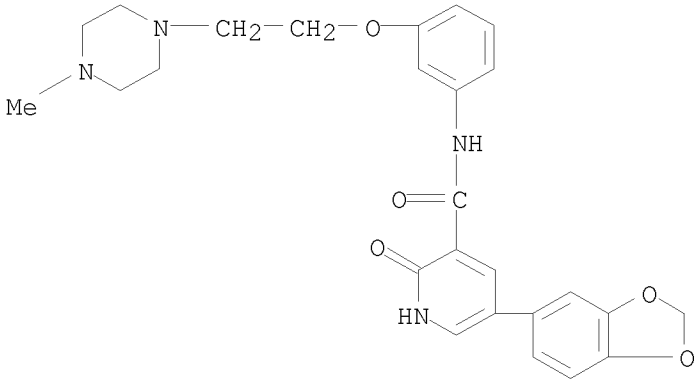
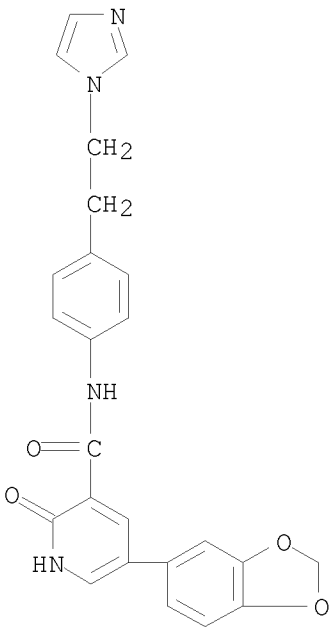


RN 890652-17-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[2-(1H-imidazol-1-yl)ethyl]phenyl]-2-oxo- (CA INDEX NAME)



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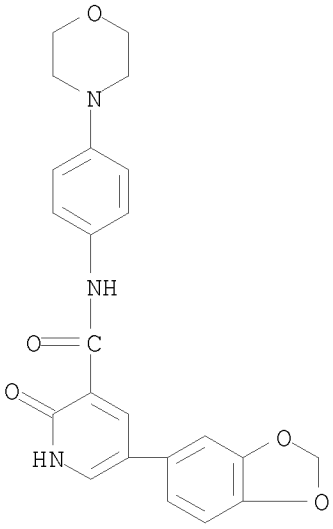

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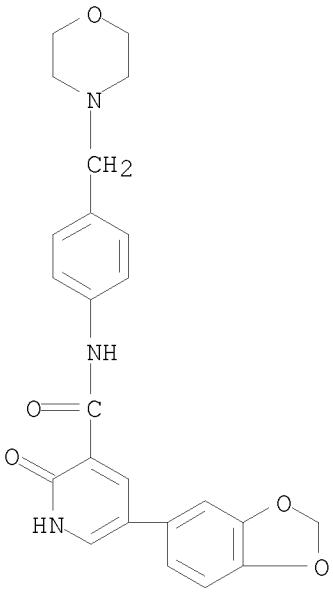

RN 890652-23-0 CAPLUS
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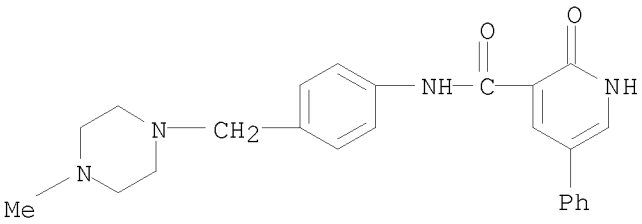

RN 890652-29-6 CAPLUS
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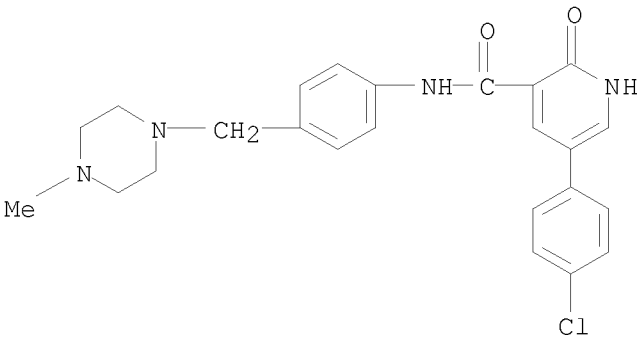

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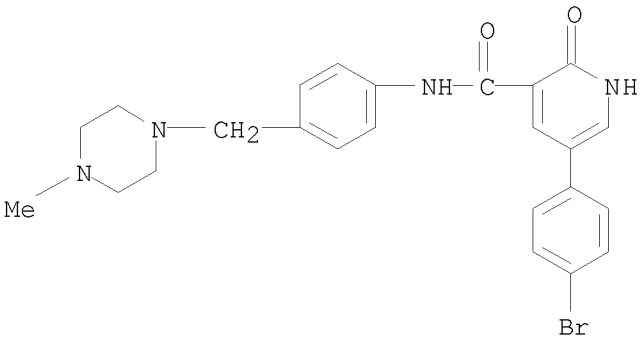

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REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

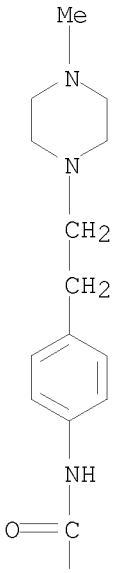
L4 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:49622 CAPLUS
DOCUMENT NUMBER: 144:304498
TITLE: Design and Synthesis of 5-Aryl-pyridone-carboxamides as Inhibitors of Anaplastic Lymphoma Kinase
AUTHOR(S): Li, Rongshi; Xue, Liquan; Zhu, Tong; Jiang, Qin; Cui, Xiaoli; Yan, Zheng; McGee, Danny; Wang, Jian; Gantla, Vidyasagar Reddy; Pickens, Jason C.; McGrath, Doug; Chucholowski, Alexander; Morris, Stephan W.; Webb, Thomas R.
CORPORATE SOURCE: ChemBridge Research Laboratories and ChemBridge Corporation, San Diego, CA, 92127, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 1006-1015
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:304498
AB Anaplastic lymphoma kinase (ALK) is a promising new target for therapy of certain cancers such as anaplastic large-cell lymphoma (ALCL) and inflammatory myofibroblastic tumor (IMT). The authors have identified a series of novel pyridones as kinase inhibitors of ALK by application of a stepwise process involving in vitro screening of a novel targeted library followed by iterative template modification based on medicinal chemical insights and computational ranking of virtual libraries. Using this process, the authors discovered ALK-selective inhibitors with improved potency and selectivity. Herein the details of the design process and synthesis of these novel pyridones, along with their enzymic and cell-based activity, are discussed.
IT 879490-51-4P 879490-52-5P 879490-53-6P
879490-54-7P 879490-56-9P 879490-57-0P
879490-58-1P 879490-60-5P 879490-61-6P
879490-70-7P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(design and synthesis of 5-aryl-pyridone-carboxamides as inhibitors of

anaplastic lymphoma kinase in relation to antitumor activity)

RN 879490-51-4 CAPLUS

CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[2-(4-methyl-1-piperazinyl)ethyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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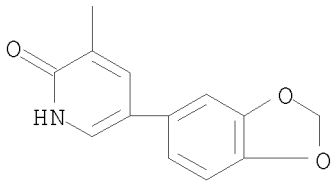

RN 879490-52-5 CAPLUS

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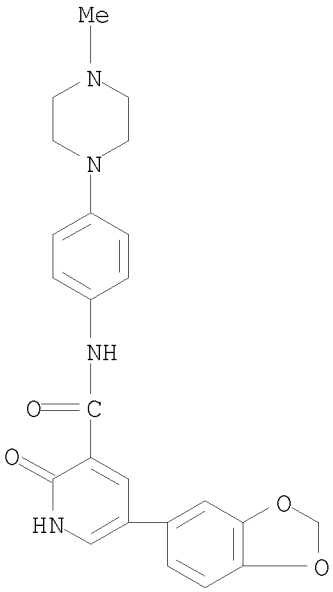
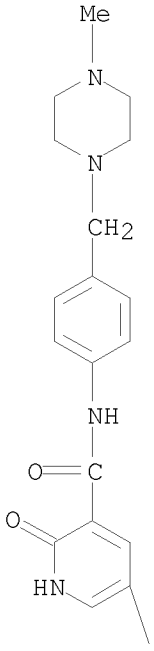


RN 879490-53-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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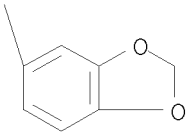
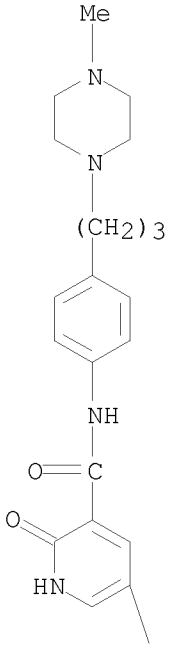


RN 879490-54-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[4-[3-(4-methyl-1-piperazinyl)propyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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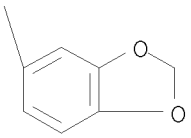

RN 879490-56-9 CAPLUS
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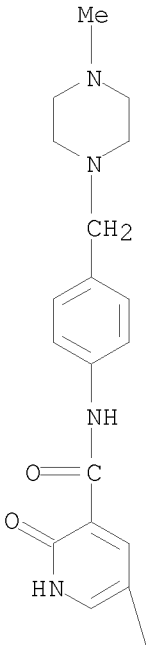
PAGE 1-A



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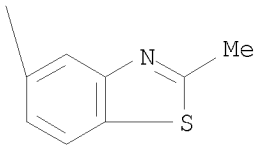

RN 879490-57-0 CAPLUS
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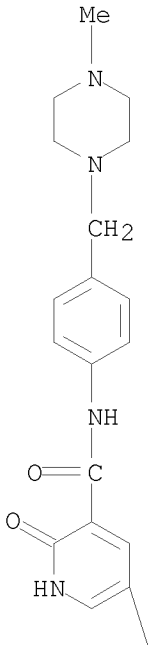
PAGE 1-A



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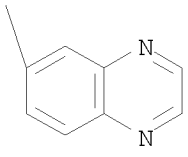

RN 879490-58-1 CAPLUS
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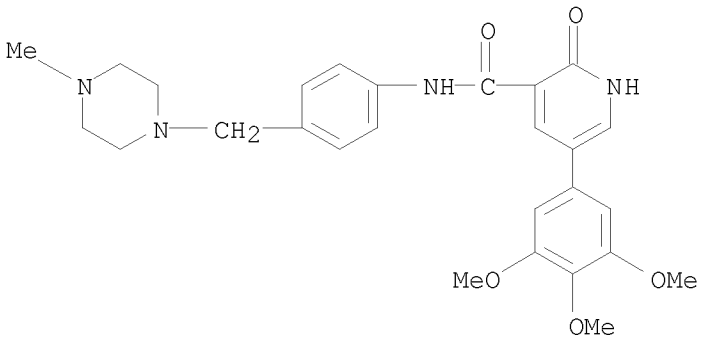
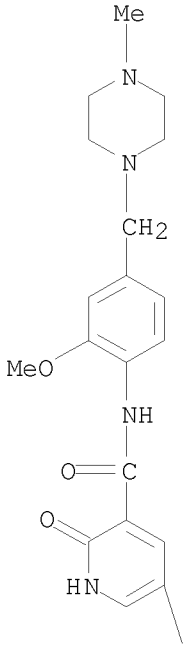


RN 879490-60-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[2-methoxy-4-

[(4-methyl-1-piperazinyl)methyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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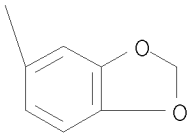
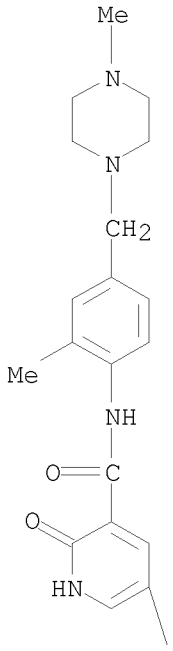


RN 879490-61-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-[2-methyl-4-[(4-methyl-1-piperazinyl)methyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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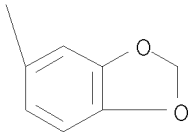
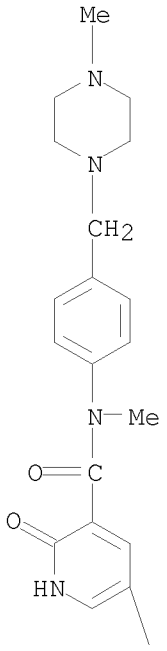


RN 879490-70-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-1,2-dihydro-N-methyl-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-2-oxo- (CA INDEX NAME)

PAGE 1-A



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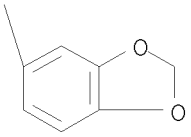

IT 879490-62-7P 879490-64-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis of 5-aryl-pyridone-carboxamides as inhibitors of anaplastic lymphoma kinase in relation to antitumor activity)

RN 879490-62-7 CAPLUS

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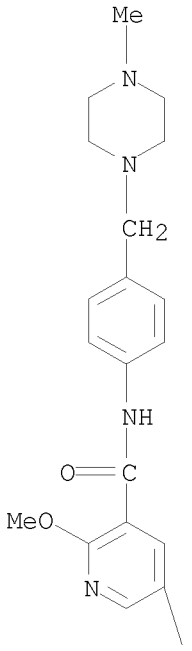
PAGE 1-A



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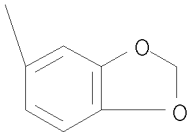

RN 879490-64-9 CAPLUS
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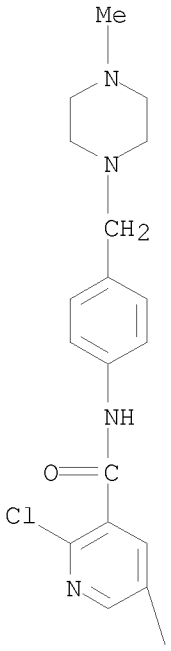
PAGE 1-A



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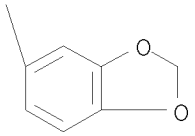

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:696342 CAPLUS
DOCUMENT NUMBER: 141:225302
TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.
INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany; Aventis Pharma GmbH
SOURCE: PCT Int. Appl., 390 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072025	A2	20040826	WO 2004-EP1342	20040213
WO 2004072025	A3	20041223		
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DE 10306250	A1	20040909	DE 2003-10306250	20030214
AU 2004212145	A1	20040826	AU 2004-212145	20040213
CA 2516118	A1	20040826	CA 2004-2516118	20040213
EP 1597228	A2	20051123	EP 2004-710808	20040213
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BR 2004007504	A	20060214	BR 2004-7504	20040213
CN 1774418	A	20060517	CN 2004-80009860	20040213
CN 100506792	C	20090701		
JP 2006517563	T	20060727	JP 2006-501827	20040213
NZ 541823	A	20090131	NZ 2004-541823	20040213
US 20040220191	A1	20041104	US 2004-779853	20040217
US 7223788	B2	20070529		
MX 2005008449	A	20060525	MX 2005-8449	20050810
ZA 2005006369	A	20060726	ZA 2005-6369	20050810
IN 2005CN01902	A	20070406	IN 2005-CN1902	20050811
NO 2005004220	A	20051028	NO 2005-4220	20050912
US 20070207991	A1	20070906	US 2007-622028	20070111

PRIORITY APPLN. INFO.:	DE 2003-10306250	A	20030214
	US 2003-488545P	P	20030718
	WO 2004-EP1342	A	20040213
	US 2004-779853	A1	20040217

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:225302

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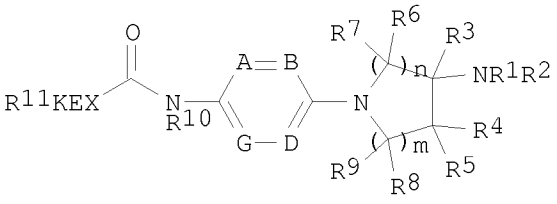


I

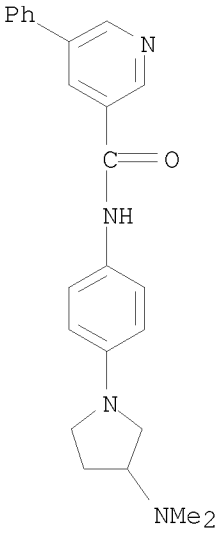
AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 =

(unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

IT 748175-43-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-arylheterocycles as MCH antagonists)

RN 748175-43-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:534176 CAPLUS
DOCUMENT NUMBER: 141:89017
TITLE: A preparation of nicotinamide-based tyrosine kinase inhibitors
INVENTOR(S): Burns, Christopher John; Kling, Marcel Robert
PATENT ASSIGNEE(S): Cytopia Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054977	A1	20040701	WO 2003-AU1666	20031215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2508171	A1	20040701	CA 2003-2508171		20031215
AU 2003291839	A1	20040709	AU 2003-291839		20031215
AU 2003291839	B2	20090122			
EP 1569907	A1	20050907	EP 2003-767297		20031215
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JP 2006510737	T	20060330	JP 2005-502389		20031215
US 20070060619	A1	20070315	US 2006-537719		20061011
PRIORITY APPLN. INFO.:			AU 2002-953330	A	20021213
			AU 2002-953385	A	20021217
			US 2003-483400P	P	20030626
			WO 2003-AU1666	W	20031215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:89017
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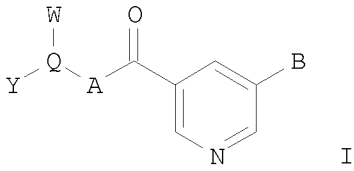

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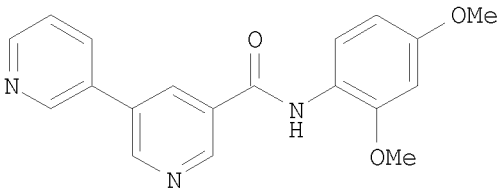

II

AB The invention relates to a preparation of nicotinamide derivs. of formula I [wherein: A is O, S, NH, or N-C1-4alkyl; B is (un)substituted (hetero)aryl; Q is a bond or C1-4alkyl; W is H, (un)substituted C1-4alkyl or C2-6alkenyl; Y is H or (un)substituted (hetero)aryl], useful as kinase inhibitors. Compds. of formula I are useful in the treatment of tyrosine kinase-associated diseases such as carcinoma, cancer, and Alzheimer disease. For instance, pyridineamide derivative II at a concentration of 10 μM inhibited 50% or greater of jak2, jak3, and fms enzyme activities.

IT 713521-00-7P 713521-04-1P 713521-09-6P
713521-16-5P 713521-18-7P 713521-30-3P
713521-42-7P 713521-73-4P 713521-78-9P

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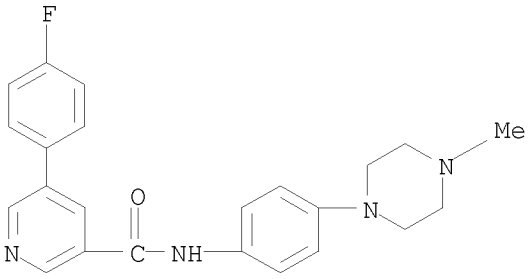
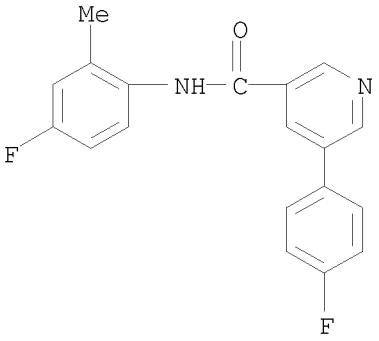
713523-56-9P 713523-58-1P 713523-59-2P
713523-60-5P 713523-61-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamide-based kinase inhibitors)

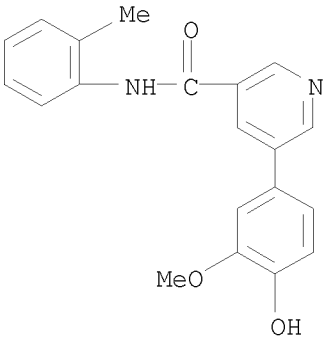
RN 713521-00-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[4-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)



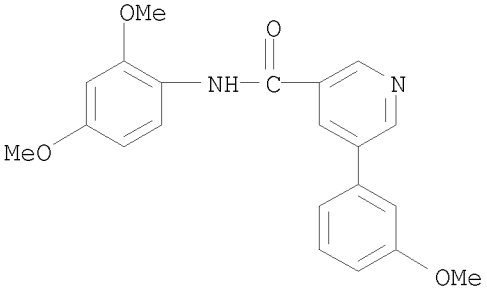
RN 713521-04-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-fluoro-2-methylphenyl)-5-(4-fluorophenyl)- (CA INDEX NAME)



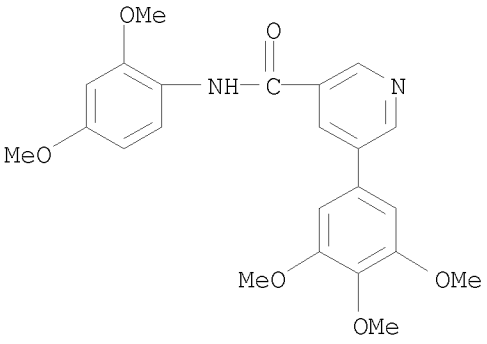
RN 713521-09-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxy-3-methoxyphenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



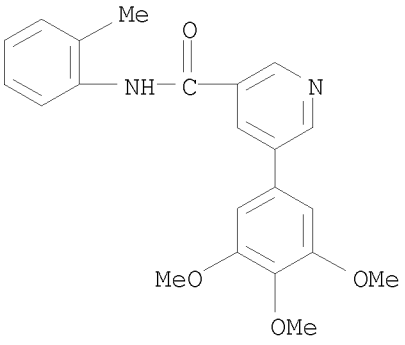
RN 713521-16-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,4-dimethoxyphenyl)-5-(3-methoxyphenyl)- (CA INDEX NAME)



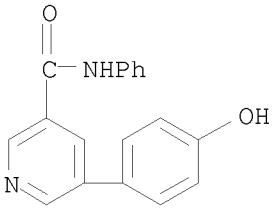
RN 713521-18-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,4-dimethoxyphenyl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



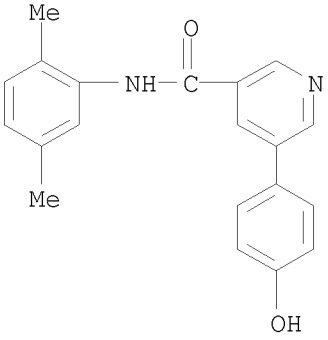
RN 713521-30-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-methylphenyl)-5-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



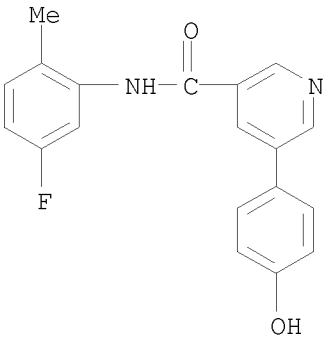
RN 713521-42-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxyphenyl)-N-phenyl- (CA INDEX NAME)



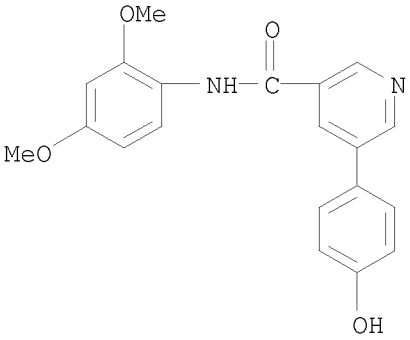
RN 713521-73-4 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-dimethylphenyl)-5-(4-hydroxyphenyl)- (CA INDEX NAME)



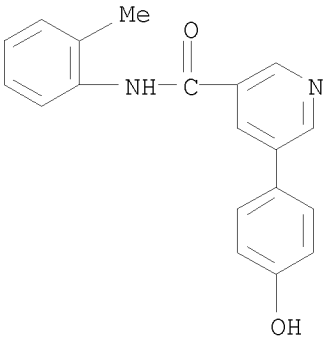
RN 713521-78-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(5-fluoro-2-methylphenyl)-5-(4-hydroxyphenyl)- (CA INDEX NAME)



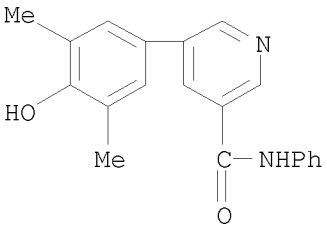
RN 713521-84-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,4-dimethoxyphenyl)-5-(4-hydroxyphenyl)- (CA INDEX NAME)



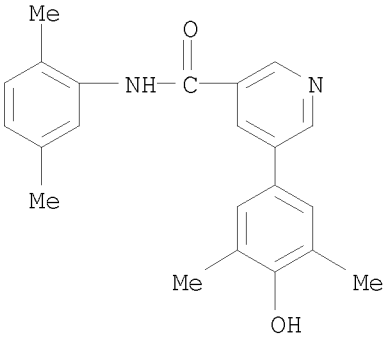
RN 713521-87-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxyphenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



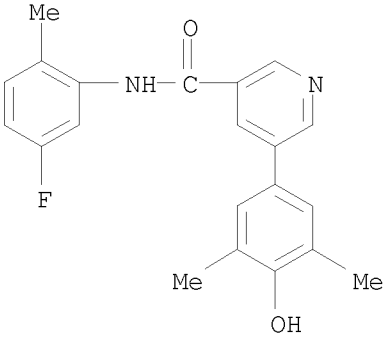
RN 713521-98-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxy-3,5-dimethylphenyl)-N-phenyl- (CA INDEX NAME)



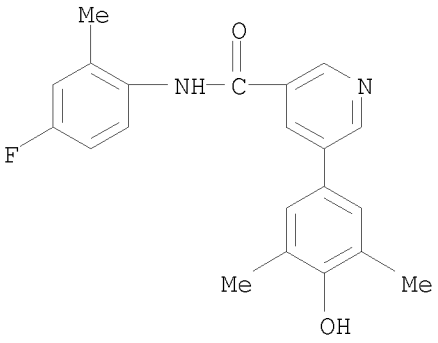
RN 713522-15-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-dimethylphenyl)-5-(4-hydroxy-3,5-dimethylphenyl)- (CA INDEX NAME)



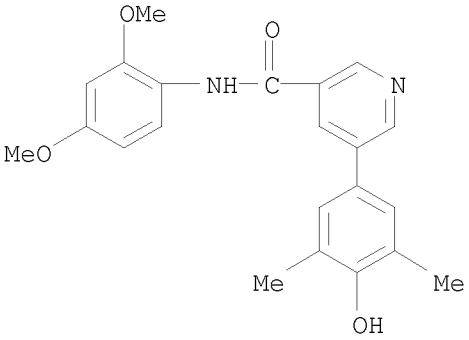
RN 713522-18-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(5-fluoro-2-methylphenyl)-5-(4-hydroxy-3,5-dimethylphenyl)- (CA INDEX NAME)



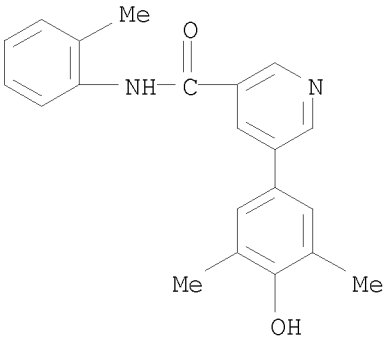
RN 713522-21-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-fluoro-2-methylphenyl)-5-(4-hydroxy-3,5-dimethylphenyl)- (CA INDEX NAME)



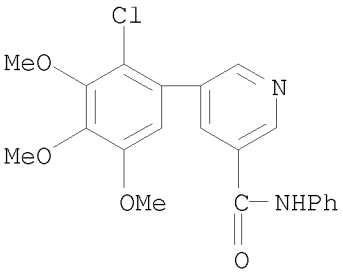
RN 713522-27-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,4-dimethoxyphenyl)-5-(4-hydroxy-3,5-dimethylphenyl)- (CA INDEX NAME)



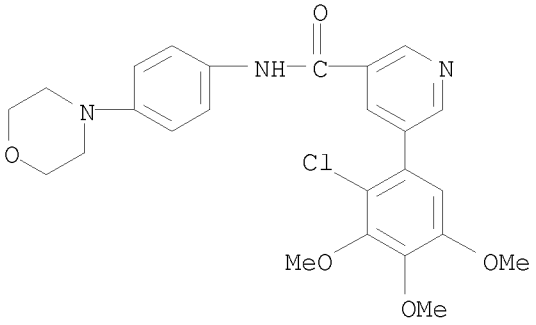
RN 713522-30-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxy-3,5-dimethylphenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



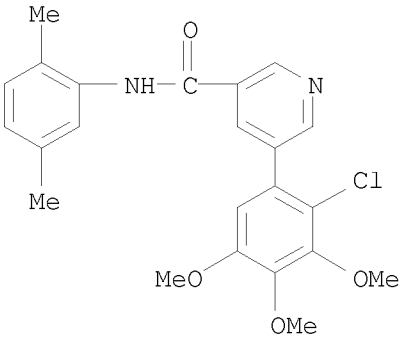
RN 713522-39-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-phenyl- (CA INDEX NAME)



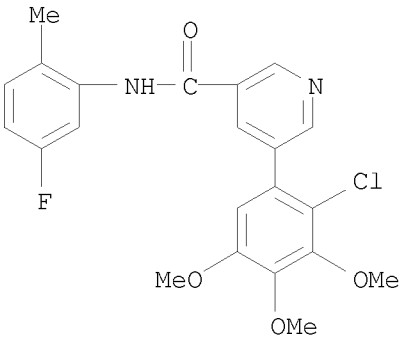
RN 713522-56-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-[4-(4-morpholinyl)phenyl]- (CA INDEX NAME)



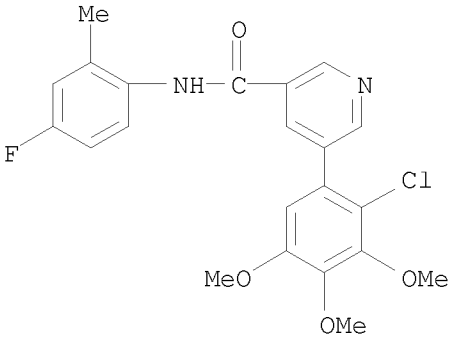
RN 713522-58-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(2,5-dimethylphenyl)- (CA INDEX NAME)



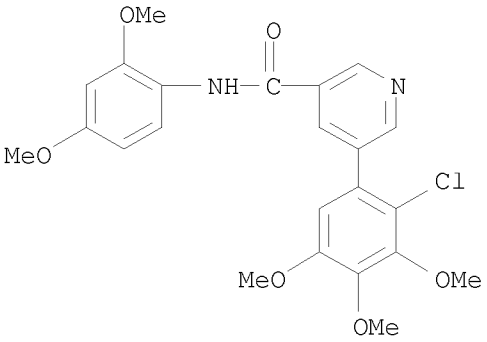
RN 713522-61-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(5-fluoro-2-methylphenyl)- (CA INDEX NAME)



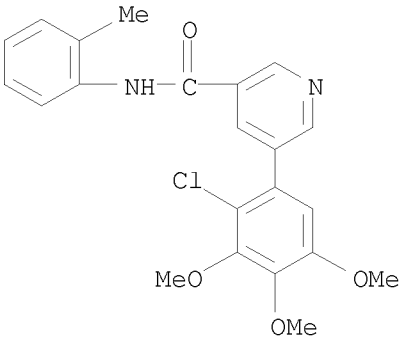
RN 713522-64-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(4-fluoro-2-methylphenyl)- (CA INDEX NAME)



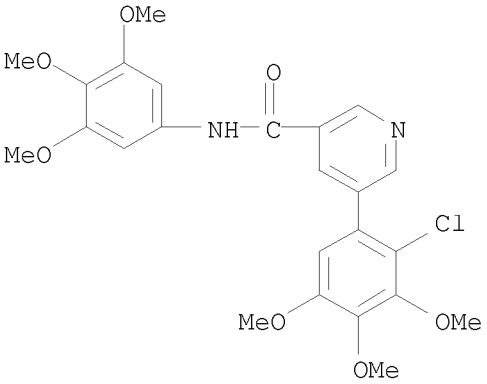
RN 713522-68-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



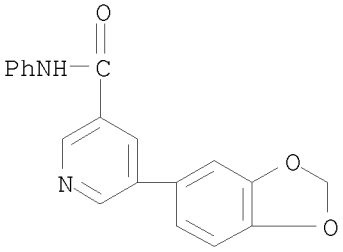
RN 713522-70-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



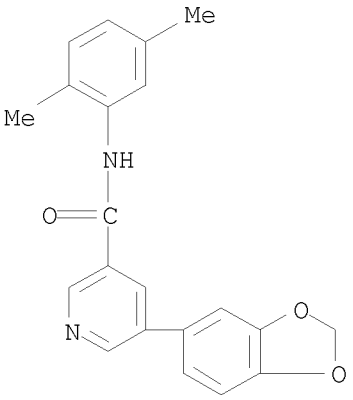
RN 713522-72-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



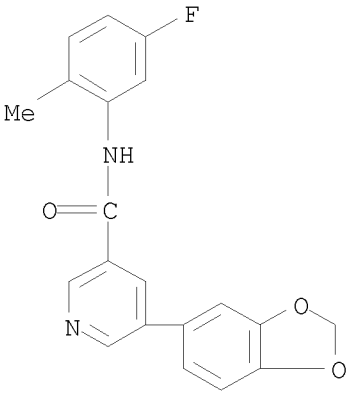
RN 713522-86-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-phenyl- (CA INDEX NAME)



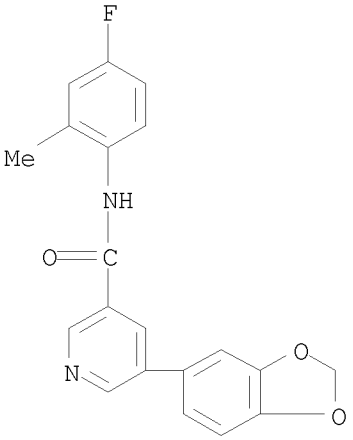
RN 713522-95-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(2,5-dimethylphenyl)- (CA INDEX NAME)



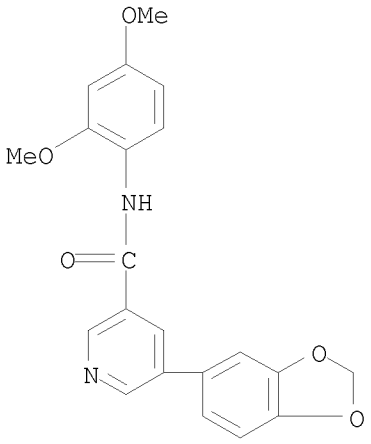
RN 713522-97-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(5-fluoro-2-methylphenyl)- (CA INDEX NAME)



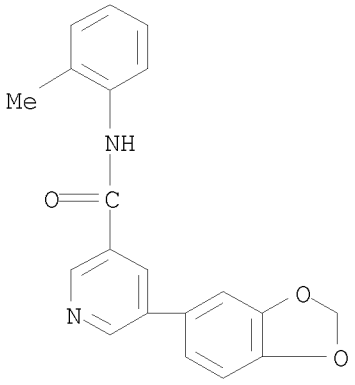
RN 713522-98-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(4-fluoro-2-methylphenyl)- (CA INDEX NAME)



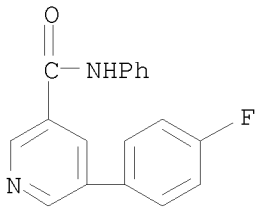
RN 713522-99-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



RN 713523-00-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(2-methylphenyl)- (CA INDEX NAME)

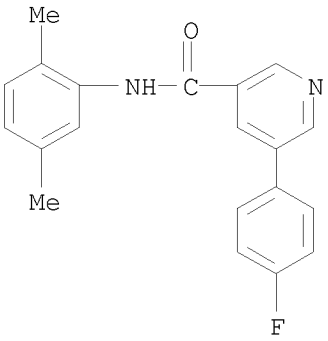


RN 713523-28-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-phenyl- (CA INDEX NAME)

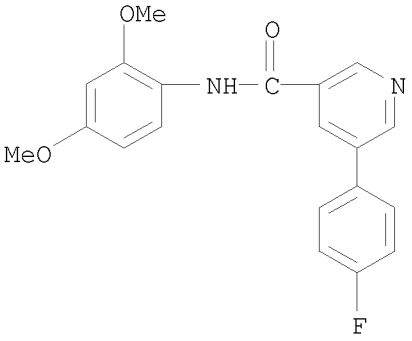


RN 713523-34-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-dimethylphenyl)-5-(4-fluorophenyl)- (CA

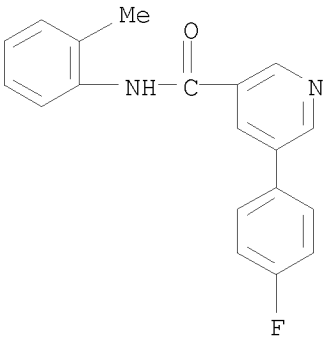
INDEX NAME)



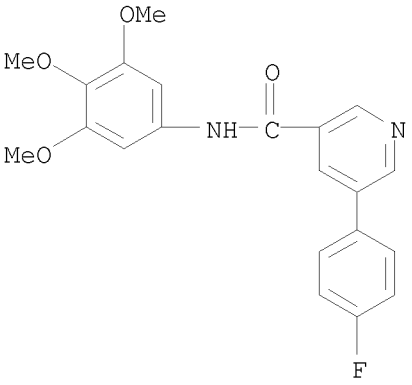
RN 713523-37-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,4-dimethoxyphenyl)-5-(4-fluorophenyl)- (CA INDEX NAME)



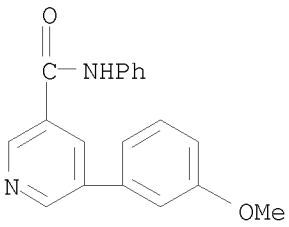
RN 713523-38-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



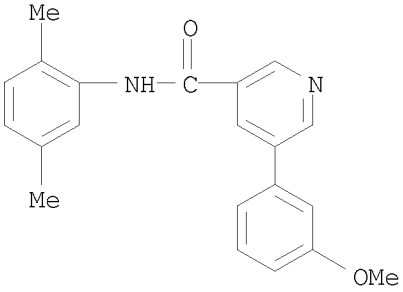
RN 713523-39-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



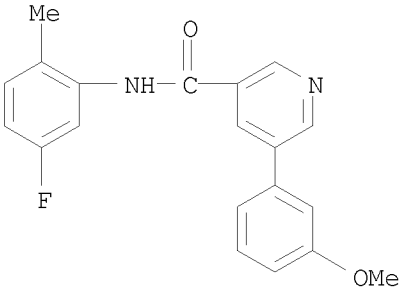
RN 713523-47-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-phenyl- (CA INDEX NAME)



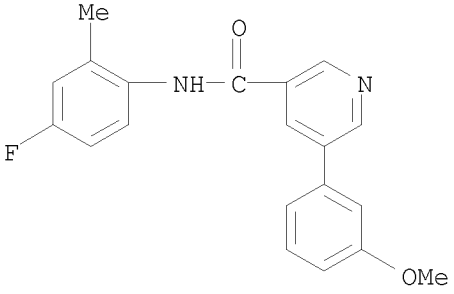
RN 713523-54-7 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-dimethylphenyl)-5-(3-methoxyphenyl)- (CA INDEX NAME)



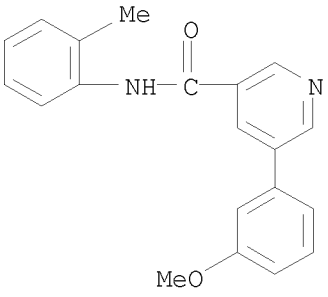
RN 713523-55-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(5-fluoro-2-methylphenyl)-5-(3-methoxyphenyl)- (CA INDEX NAME)



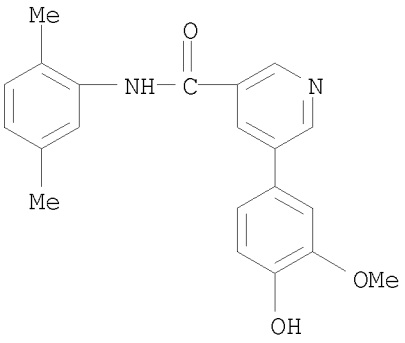
RN 713523-56-9 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-fluoro-2-methylphenyl)-5-(3-methoxyphenyl)- (CA INDEX NAME)



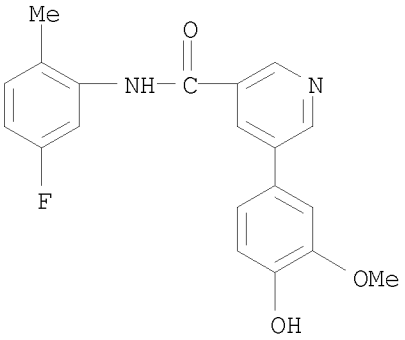
RN 713523-58-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-(2-methylphenyl)- (CA INDEX NAME)



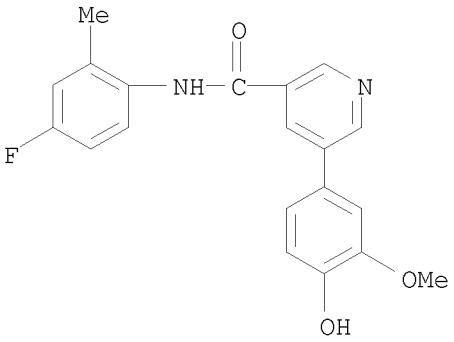
RN 713523-59-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(2,5-dimethylphenyl)-5-(4-hydroxy-3-methoxyphenyl)- (CA INDEX NAME)



RN 713523-60-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(5-fluoro-2-methylphenyl)-5-(4-hydroxy-3-methoxyphenyl)- (CA INDEX NAME)



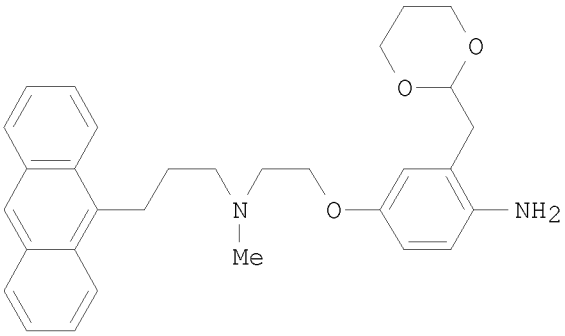
RN 713523-61-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-fluoro-2-methylphenyl)-5-(4-hydroxy-3-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:241203 CAPLUS

DOCUMENT NUMBER: 141:53787
TITLE: A novel phase-switching protecting group for multi-step parallel solution phase synthesis
AUTHOR(S): Li, Xin; Abell, Chris; Congreve, Miles S.; Warrington, Brian H.; Ladlow, Mark
CORPORATE SOURCE: University Chemical Laboratory, GlaxoSmithKline Cambridge Technology Centre, Cambridge, CB2 1EW, UK
SOURCE: Organic & Biomolecular Chemistry (2004), 2(7), 989-998
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:53787
GI



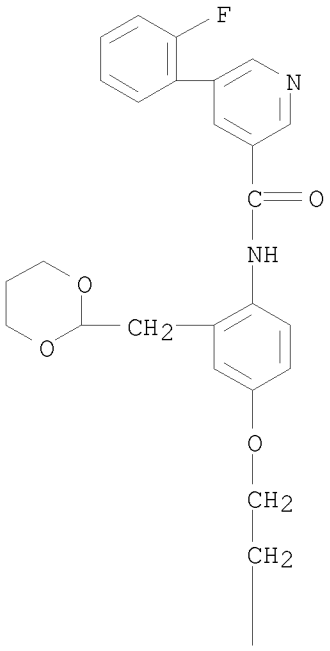
I

AB A new phase-tag I which facilitates the parallel solution phase synthesis of carboxylic acids, esters, and carboxamides is reported. The new phase tag assists compound purification by enabling the selective resin capture of reaction products in either a reversible pH dependent manner (solid-phase extraction), or irreversibly in a Diels-Alder reaction.

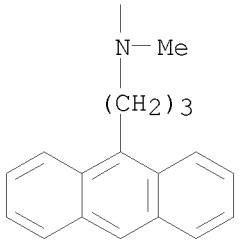
IT 705961-69-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(development of bifunctional tertiary amine phase-tags with demonstrated applications to solution phase synthesis of carboxylic acids, esters and carboxamides)

RN 705961-69-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-[[3-(9-anthracenyl)propyl]methylamino]ethoxy]-2-(1,3-dioxan-2-ylmethyl)phenyl]-5-(2-fluorophenyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

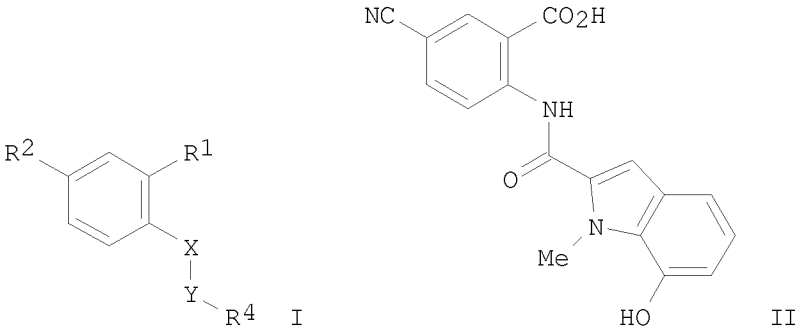
L4 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:182843 CAPLUS
DOCUMENT NUMBER: 140:235498
TITLE: Preparation of antibacterial benzoic acid derivatives
INVENTOR(S): Thorarensen, Atli; Ruble, Craig J.; Fisher, Jed F.; Romero, Donna L.; Beauchamp, Thomas J.; Northuis, Jill M.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 500 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018428	A1	20040304	WO 2003-US24796	20030822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040110802	A1	20040610	US 2003-645802	20030820
AU 2003264005	A1	20040311	AU 2003-264005	20030822
PRIORITY APPLN. INFO.:			US 2002-405429P P	20020823
			US 2002-430592P P	20021203
			WO 2003-US24796 W	20030822

OTHER SOURCE(S): MARPAT 140:235498

GI



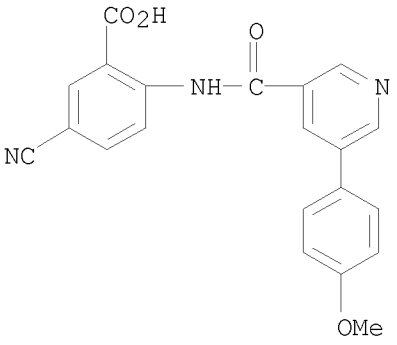
I II

AB Title compds. I [X = NH; Y = CO, CS, C(NCN), or X and Y together form an alkene or cycloalkyl; R1 = CO2H; R2 = electron withdrawing group; R4 = (un)substituted heterocycle, provided that the heterocycle is not simultaneously substituted with a sulfonamide and a urea or thiourea] and their pharmaceutically acceptable salts are prepared and disclosed as antibacterial agents. Thus, e.g., II was prepared via conversion of 7-(benzyloxy)-1-methyl-1H-indole-2-carboxylic acid (preparation given) to the acid chloride which is reacted with tert-butyl-2-amino-5-cyanobenzoate then subjected to hydrolysis. For compds. of the invention, the min. inhibitory concentration was determined and found to correspond to a range of 0.0075 - >128 μg/mL. The invention provides antimicrobial agents and methods of using the agents for sterilization, sanitation, antisepsis, disinfection, and treatment of infections in mammals.

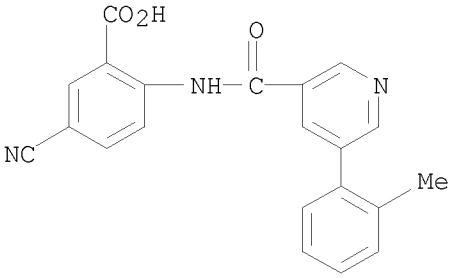
IT 668976-09-8P 668976-13-4P 668976-14-5P
668976-15-6P 668976-16-7P 668976-69-0P
668976-70-3P 668976-72-5P 668976-80-5P
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid derivs. as antibacterial agents)

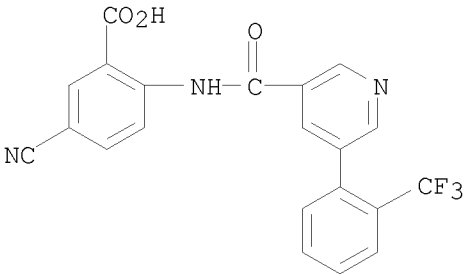
RN 668976-09-8 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-(4-methoxyphenyl)-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



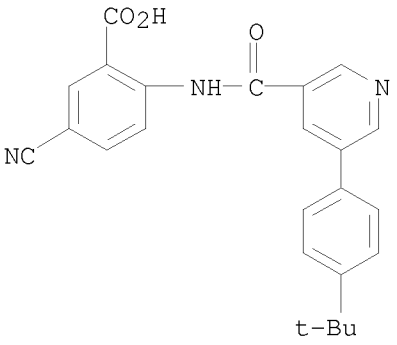
RN 668976-13-4 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-(2-methylphenyl)-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



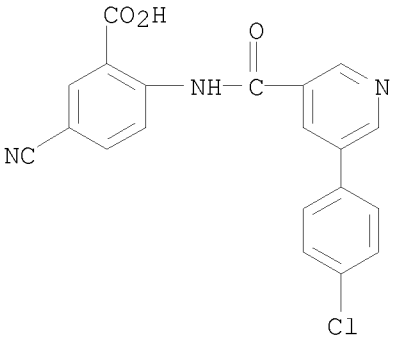
RN 668976-14-5 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



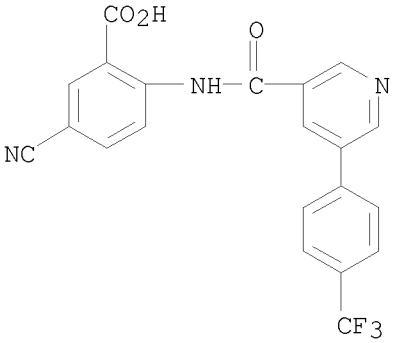
RN 668976-15-6 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-[4-(1,1-dimethylethyl)phenyl]-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



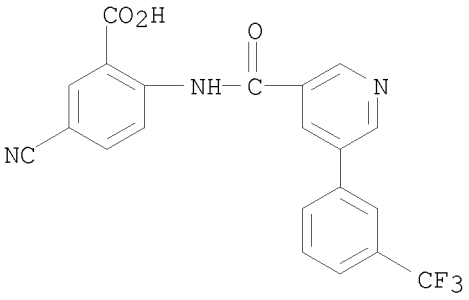
RN 668976-16-7 CAPLUS
CN Benzoic acid, 2-[[[5-(4-chlorophenyl)-3-pyridinyl]carbonyl]amino]-5-cyano-
(CA INDEX NAME)



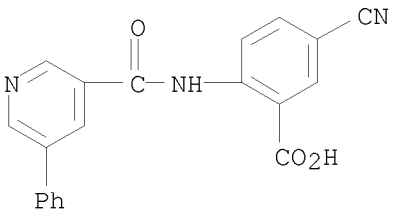
RN 668976-69-0 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-[4-(trifluoromethyl)phenyl]-3-
pyridinyl]carbonyl]amino]- (CA INDEX NAME)



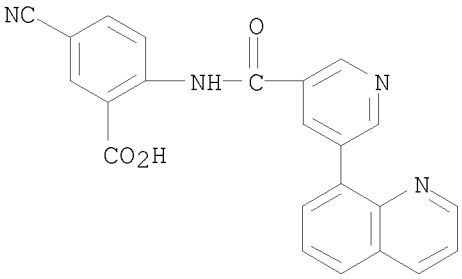
RN 668976-70-3 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-[3-(trifluoromethyl)phenyl]-3-
pyridinyl]carbonyl]amino]- (CA INDEX NAME)



RN 668976-72-5 CAPLUS
CN Benzoic acid, 5-cyano-2-[[(5-phenyl-3-pyridinyl)carbonyl]amino]- (CA INDEX NAME)



RN 668976-80-5 CAPLUS
CN Benzoic acid, 5-cyano-2-[[[5-(8-quinolinyl)-3-pyridinyl]carbonyl]amino]- (CA INDEX NAME)



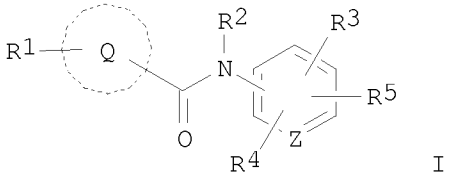
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:20650 CAPLUS
DOCUMENT NUMBER: 140:77035
TITLE: Preparation of (4-hydroxypiperidin-1-yl)arylcarboxamides as interleukin-4 production inhibitors for treatment of allergic diseases
INVENTOR(S): Naito, Youichiro; Ushio, Hiroyuki; Hoshino, Yukio; Kagoshima, Masahiko; Oshita, Kouichi; Kataoka, Hirotoshi; Chiba, Kenji
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

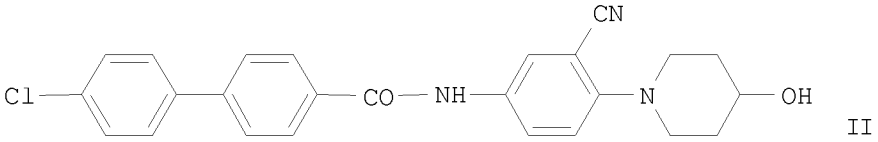
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002948	A1	20040108	WO 2002-JP6606	20020628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002313309	A1	20040119	AU 2002-313309	20020628

PRIORITY APPLN. INFO.: WO 2002-JP6606 A 20020628
OTHER SOURCE(S): MARPAT 140:77035
GI



I



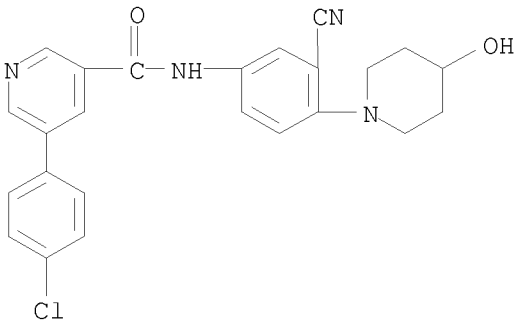
II

AB The title arylcarboxamides I [wherein R1 = halo, alkyl, alkoxy, NO2, OH, (un)substituted amino, aryl, aralkyl, heteroaryl, heteroaralkyl, cycloalkyl, or cycloalkenyl; ring Q = (un)substituted benzene, cyclohexane, pyridine, pyrazine, pyridazine, furan, thiophene, oxazole, thiazole, or imidazole; R2 = H, alkyl, hydroxyalkyl, acyloxyalkyl, hydroxycarbonylalkyl, alkoxycarbonylalkyl, or (un)substituted aminoalkyl; Z = CH or N; R3 = halo, CN, NO2,NH2, alkyl, alkoxy, CO2H, alkoxycarbonyl, carbamoyl, alkenyl, alkynyl, or haloalkyl; R4 = H, halo, CN, or NO2; R5 = alkyl, hydroxyalkyl, hydroxycarbonylalkyl, alkoxy, haloalkoxy, aryloxy, cycloalkyloxy, hydroxyalkoxy, hydroxycarbonylalkoxy, SH, alkylthio, hydroxyalkylthio, hydroxycarbonylalkylthio, (un)substituted aminoalkyl, aminoalkoxy, aminoalkylthio, OH, or NH2] or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.049 μM against interleukin-4 production in rat. The compds. I are highly effective in inhibiting interleukin-4 production in type-2 helper T cells, and are useful for the treatment of allergic diseases (no data). Formulations containing I as an

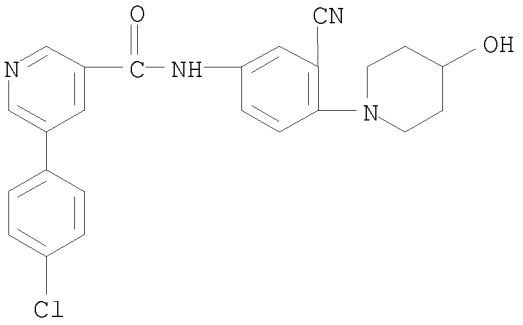
active ingredient were also described.

IT 476342-69-5P 640272-84-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of (hydroxypiperidinyl)arylcarboxamides for treatment of allergic diseases)

RN 476342-69-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[3-cyano-4-(4-hydroxy-1-piperidinyl)phenyl]- (CA INDEX NAME)



RN 640272-84-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[3-cyano-4-(4-hydroxy-1-piperidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:950057 CAPLUS
DOCUMENT NUMBER: 140:16647
TITLE: Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
INVENTOR(S): Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; DiPietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.;

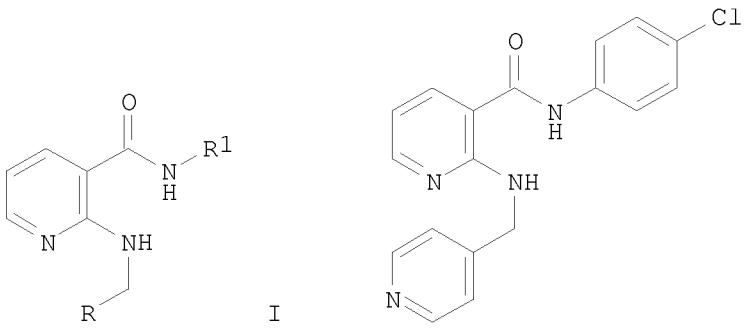
Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030225106	A1	20031204	US 2002-197974	20020717
US 6878714	B2	20050412		
US 20030125339	A1	20030703	US 2002-46681	20020110
US 6995162	B2	20060207		
AT 361288	T	20070515	AT 2002-717325	20020111
PT 1358184	E	20070531	PT 2002-717325	20020111
EP 1798230	A1	20070620	EP 2007-3413	20020111
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
ES 2284849	T3	20071116	ES 2002-717325	20020111
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
CA 2492100	A1	20040122	CA 2003-2492100	20030715
WO 2004007458	A1	20040122	WO 2003-US22417	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003252011	A1	20040202	AU 2003-252011	20030715
AU 2003252011	B2	20071122		
EP 1537084	A1	20050608	EP 2003-764794	20030715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501195	T	20060112	JP 2004-521959	20030715
BG 108012	A	20041130	BG 2003-108012	20030721
US 20050261313	A1	20051124	US 2004-14184	20041215
MX 2005000584	A	20050419	MX 2005-584	20050113
US 20060040956	A1	20060223	US 2005-234713	20050923
JP 2009286777	A	20091210	JP 2009-97317	20090413

PRIORITY APPLN. INFO.:

US 2001-261339P	P	20010112
US 2001-323764P	P	20010919
US 2002-46681	A2	20020110
EP 2002-717325	A3	20020111
JP 2002-565984	A3	20020111
US 2002-197974	A	20020717
WO 2003-US22417	W	20030715

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:16647
GI



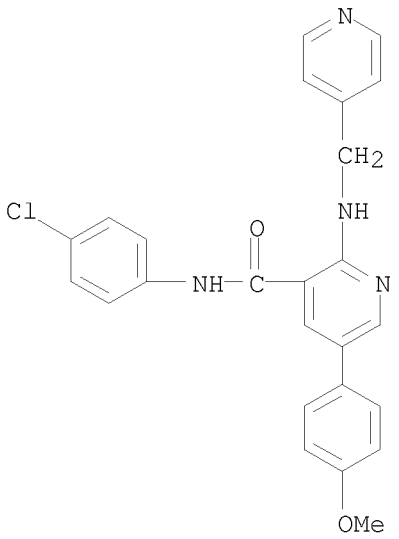
I II

AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepared Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed.

IT 453561-26-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453561-26-7 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-5-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS

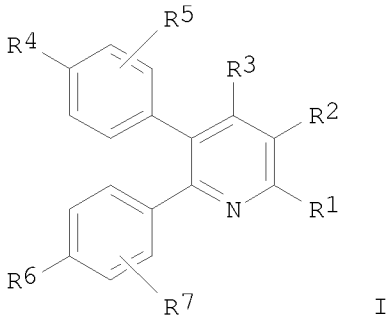
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:796416 CAPLUS
DOCUMENT NUMBER: 139:307686
TITLE: Preparation of 2,3-diphenylpyridines as cannabinoid-1 receptor antagonists and inverse agonists
INVENTOR(S): Finke, Paul E.; Meurer, Laura C.; Debenham, John S.; Toupence, Richard B.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082191	A2	20031009	WO 2003-US9005	20030324
WO 2003082191	A3	20040115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479744	A1	20031009	CA 2003-2479744	20030324
AU 2003225964	A1	20031013	AU 2003-225964	20030324
AU 2003225964	B2	20081120		
EP 1492784	A2	20050105	EP 2003-745578	20030324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531520	T	20051020	JP 2003-579734	20030324
US 20050182103	A1	20050818	US 2004-508043	20040917
US 7271266	B2	20070918		

PRIORITY APPLN. INFO.:	US 2002-368334P	P	20020328
	WO 2003-US9005	W	20030324

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:307686
GI



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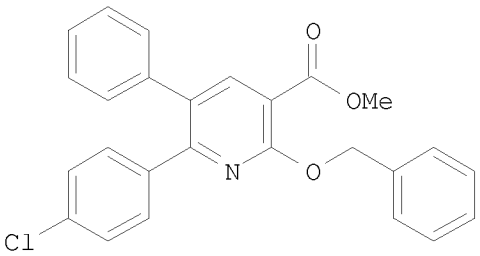
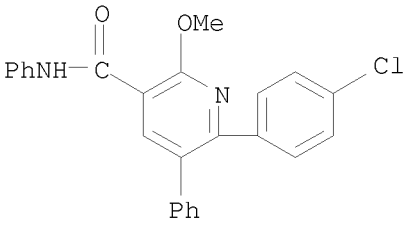


II

AB Title compds. I [wherein R1 = H, halo, CN, or (un)substituted alkyl, heterocycloalkyl(alkyl), heteroaryl, (hetero)arylalkyl, acyl, carboxy, (thio)ether, amino, carbamoyl, acylamino, carboxyamino, or ureido; R2 = H, CN, carboxy, halo, NO2, CF3, or (un)substituted carbamoyl; provided that R1 and R2 are not both H; R3 = H, CF3, or (un)substituted (cyclo)alkyl; R4-R7 = independently H, halo, amino, carboxy, alkyl, alkoxy, aryl(alkyl), OH, CF3, alkanoyloxy, or carbamoyloxy; provided that R6 and R7 are not both H; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid-1 (CB1) receptor antagonists and/or inverse agonists (no data). For example, benzyl 4-chlorophenyl ketone was condensed with DMF dimethylacetal in DMF to give 3-(dimethylamino)-1-(4-chlorophenyl)-2-phenylprop-2-en-1-one. Cyclocondensation of the vinyl ketone with cyanoacetamide using NaH in DMF and MeOH provided the 3-cyano-2-pyridone. Conversion of the nitrile to the carboxylic acid with 50% H2SO4, followed by esterification using HCl in MeOH gave Me 6-(4-chlorophenyl)-5-phenyl-2-oxo-1,2-dihydropyridine-3-carboxylate. O-alkylation of the pyridone with benzyl bromide in the presence of Cs2CO3 in DMF afforded the title 2,3-diphenylpyridine II. Compds. of the invention and their pharmaceutical compns. serve as centrally acting drugs for the treatment, prevention, and suppression of diseases mediated by the CB1 receptor, such as psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome, the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia (no data). I are also useful for the treatment of substance abuse disorders, obesity or eating disorders, asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver (no data).

IT 611218-06-5P, N-Phenyl-2-methoxy-6-(4-chlorophenyl)-5-phenylpyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(CB1 modulator; preparation of diphenylpyridines as CB1 antagonists and inverse agonists for treatment of eating disorders and other CB1 mediated diseases)
RN 611218-06-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-(4-chlorophenyl)-2-methoxy-N,5-diphenyl- (CA INDEX NAME)

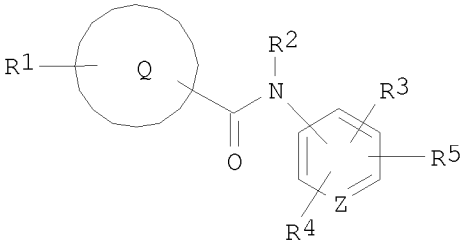


OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:900790 CAPLUS
DOCUMENT NUMBER: 137:384757
TITLE: Preparation of N-[(hydroxypiperidinyl)phenyl]benzamides as pharmaceuticals for treatment of atopic dermatitis, asthma, and allergic rhinitis
INVENTOR(S): Naito, Yoichiro; Ushio, Hiroyuki; Hoshino, Yukio; Kakoshima, Masahiko; Oshita, Koichi; Kataoka, Hirotoshi; Chiba, Kenji
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002338537	A	20021127	JP 2001-146915	20010516
PRIORITY APPLN. INFO.:			JP 2001-146915	20010516

OTHER SOURCE(S): MARPAT 137:384757
GI



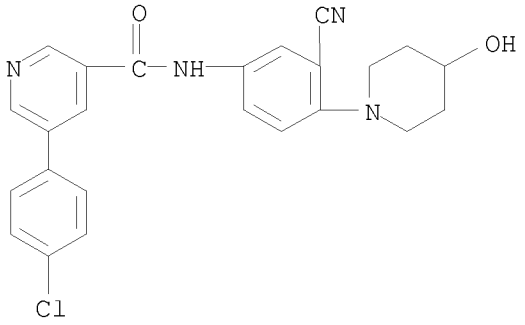
I

AB Amides I [R1 = halo, alkyl, alkoxy, NO2, amino, etc.; ring Q = (un)substituted benzene, cyclohexane, heterocyclic aromatic ring; R2 = H, alkyl, hydroxyalkyl, acyloxyalkyl, aminoalkyl, etc.; Z = CH, N; R3 = halo, cyano, NO2, amino, alkyl, alkoxy, CO2H, etc.; R4 = H, halo, cyano, NO2; R5 = alkyl, hydroxyalkyl, hydroxycarbonylalkyl, substituted aminoalkyl, OH, alkoxy, etc.] or their pharmaceutically acceptable salts are prepared The compds. are useful for inhibitors of interleukin 4 production from type 2 helper T cell. 5-Amino-2-(4-hydroxypiperidin-1-yl)benzonitrile (5 g) was reacted with 4-iodobenzoic acid in the presence of 1-hydroxybenzotriazole monohydrate and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in DMF at room temperature for 2 days to give 9.3 g N-[3-cyano-4-(4-hydroxypiperidin-1-yl)phenyl]-4-benzamide. The compds. controlled ovalbumin-induced edema in mice.

IT 476342-70-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [(hydroxypiperidinyl)phenyl]benzamides as pharmaceuticals for treatment of atopic dermatitis, asthma, and allergic rhinitis)

RN 476342-70-8 CAPLUS

CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[3-cyano-4-(4-hydroxy-1-piperidinyl)phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

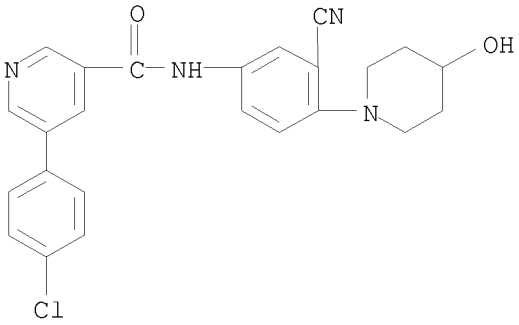


● x HCl

IT 476342-69-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(hydroxypiperidinyl)phenyl]benzamides as pharmaceuticals for treatment of atopic dermatitis, asthma, and allergic rhinitis)

RN 476342-69-5 CAPLUS

CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[3-cyano-4-(4-hydroxy-1-piperidinyl)phenyl]- (CA INDEX NAME)



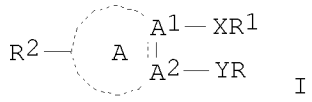
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:658116 CAPLUS
DOCUMENT NUMBER: 137:201332
TITLE: Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases
INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; DiPietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 502 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066470	A1	20020829	WO 2002-US743	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030125339	A1	20030703	US 2002-46681	20020110
US 6995162	B2	20060207		
CA 2434277	A1	20020829	CA 2002-2434277	20020111
CA 2434277	C	20090602		
AU 2002248340	A1	20020904	AU 2002-248340	20020111
AU 2002248340	B2	20051103		
BR 2002006435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111
EP 1358184	B1	20070502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002598		A2	20031128	HU 2003-2598	20020111
EE 200300324		A	20031215	EE 2003-324	20020111
JP 2004531484		T	20041014	JP 2002-565984	20020111
NZ 526868		A	20050429	NZ 2002-526868	20020111
CN 1671700		A	20050921	CN 2002-806202	20020111
CN 1313464		C	20070502		
AT 361288		T	20070515	AT 2002-717325	20020111
PT 1358184		E	20070531	PT 2002-717325	20020111
EP 1798230		A1	20070620	EP 2007-3413	20020111
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
ES 2284849		T3	20071116	ES 2002-717325	20020111
IL 156751		A	20090504	IL 2002-156751	20020111
ZA 2003005197		A	20040319	ZA 2003-5197	20030704
MX 2003006179		A	20031211	MX 2003-6179	20030710
NO 2003003181		A	20030911	NO 2003-3181	20030711
IN 2003CN01070		A	20050422	IN 2003-CN1070	20030711
KR 848429		B1	20080728	KR 2003-709274	20030711
BG 108012		A	20041130	BG 2003-108012	20030721
HK 1060131		A1	20071012	HK 2004-103164	20040505
US 20060040956		A1	20060223	US 2005-234713	20050923
AU 2006200437		A1	20060223	AU 2006-200437	20060201
AU 2006200437		B2	20091112		
IN 2008CN03234		A	20090306	IN 2008-CN3234	20080623
JP 2009286777		A	20091210	JP 2009-97317	20090413
PRIORITY APPLN. INFO.:				US 2001-261339P	P 20010112
				US 2001-323764P	P 20010919
				US 2002-46681	A 20020110
				AU 2002-248340	A3 20020111
				EP 2002-717325	A3 20020111
				JP 2002-565984	A3 20020111
				WO 2002-US743	W 20020111
				IN 2003-CN1070	A3 20030711

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 137:201332
GI



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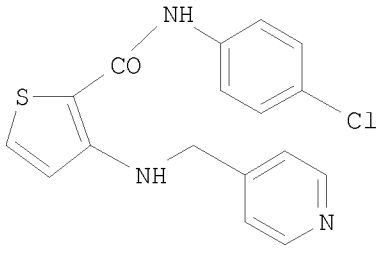


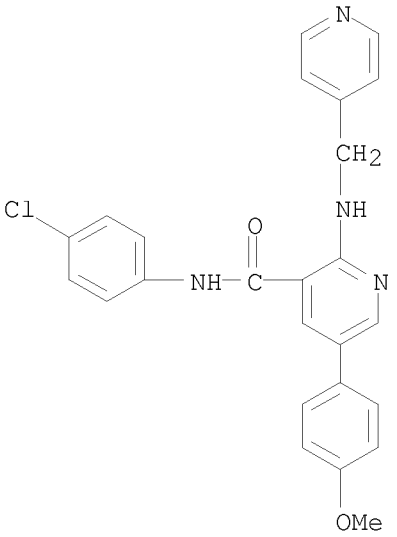
II

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compound II was prepared from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

IT 453561-26-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)

RN 453561-26-7 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-chlorophenyl)-5-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

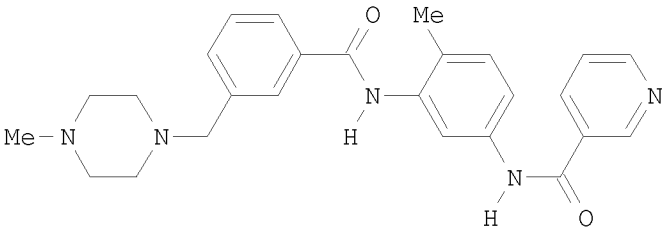
L4 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:227634 CAPLUS
DOCUMENT NUMBER: 132:265091
TITLE: Preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2340454	A1	20000406	CA 1999-2340454	19990921
AU 9961034	A	20000417	AU 1999-61034	19990921
AU 761361	B2	20030605		
BR 9913947	A	20010612	BR 1999-13947	19990921
EP 1115707	A1	20010718	EP 1999-947653	19990921
EP 1115707	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100840	T2	20011022	TR 2001-840	19990921
HU 2001004060	A2	20020328	HU 2001-4060	19990921
HU 2001004060	A3	20020429		
JP 2002525358	T	20020813	JP 2000-572198	19990921
NZ 509836	A	20030630	NZ 1999-509836	19990921
AT 254105	T	20031115	AT 1999-947653	19990921
RU 2219171	C2	20031220	RU 2001-111320	19990921
CN 1146542	C	20040421	CN 1999-811296	19990921
PT 1115707	E	20040430	PT 1999-947653	19990921
ES 2211172	T3	20040701	ES 1999-947653	19990921
IL 141979	A	20060820	IL 1999-141979	19990921
SK 285520	B6	20070301	SK 2001-421	19990921
IN 2001MN00193	A	20050304	IN 2001-MN193	20010220
MX 2001002385	A	20010613	MX 2001-2385	20010306
ZA 2001002185	A	20020618	ZA 2001-2185	20010315
NO 2001001492	A	20010523	NO 2001-1492	20010323
NO 318800	B1	20050509		
US 6455520	B1	20020924	US 2001-787882	20010323
HK 1038556	A1	20040430	HK 2001-107980	20011113

PRIORITY APPLN. INFO.:	GB 1998-20770	A	19980925
	GB 1998-26938	A	19981209
	GB 1999-5969	A	19990317
	WO 1999-GB3144	W	19990921

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:265091
GI



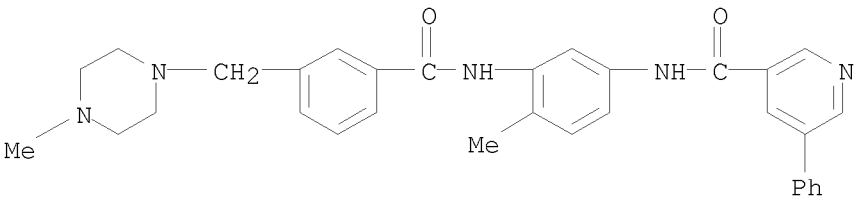
II

AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond , O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepared as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after reduction and pyridine-3-carbonyl chloride amidation, title compound
II. Data for biol. activity of I were given.

IT 263269-09-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors)

RN 263269-09-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-methyl-3-[[3-[(4-methyl-1-piperazinyl)methyl]benzoyl]amino]phenyl]-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:995215 CAPLUS

DOCUMENT NUMBER: 124:117098

ORIGINAL REFERENCE NO.: 124:21809a,21812a

TITLE: Preparation of pyridylanilide derivatives as fungicides

INVENTOR(S): Riordan, Peter Dominic; Boddy, Ian Kenneth; Osbourn, Susan Elisabeth

PATENT ASSIGNEE(S): Agrevo UK Ltd., UK

SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

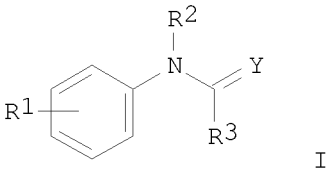
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525723	A1	19950928	WO 1995-GB570	19950316
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9518981	A	19951009	AU 1995-18981	19950316
AU 688473	B2	19980312		
EP 750611	A1	19970102	EP 1995-911403	19950316
EP 750611	B1	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1143954	A	19970226	CN 1995-192131	19950316
HU 74778	A2	19970228	HU 1996-2547	19950316
HU 214292	B	19980302		
BR 9507105	A	19970909	BR 1995-7105	19950316
JP 09510471	T	19971021	JP 1995-524455	19950316
AT 168099	T	19980715	AT 1995-911403	19950316
ZA 9502205	A	19951031	ZA 1995-2205	19950317
US 5756524	A	19980526	US 1996-714149	19960918
PRIORITY APPLN. INFO.:			GB 1994-5347 A	19940318
			WO 1995-GB570 W	19950316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 124:117098
GI



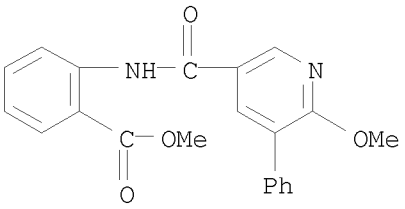
I

AB Title compds. I [X = O, S; R1, R2 = H, alkyl, cycloalkyl, alkenyl, etc.; R3 = (substituted) pyridyl, pyrimidinyl, pyrazinyl, etc.] were prepared Condensation of 6-methoxynicotinoyl chloride with Me anthranilate in the presence of Et3N in THF afforded I (X = O; R1 = R2 = H; R3 = 6-methoxy-3-pyridyl) which showed activity against barley powdery mildew, rice blast and apple scab at ≤ 500 ppm.

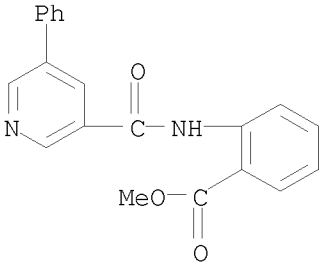
IT 173056-43-4P 173057-56-2P 173058-11-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilide derivs. as fungicides)

RN 173056-43-4 CAPLUS

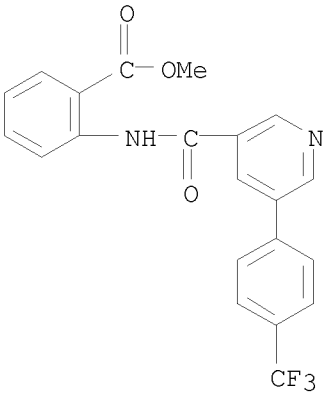
CN Benzoic acid, 2-[[(6-methoxy-5-phenyl-3-pyridinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 173057-56-2 CAPLUS
CN Benzoic acid, 2-[[(5-phenyl-3-pyridinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 173058-11-2 CAPLUS
CN Benzoic acid, 2-[[[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]carbonyl]amino]-, methyl ester (CA INDEX NAME)



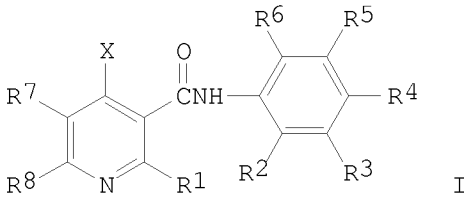
OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1989:154162 CAPLUS
DOCUMENT NUMBER: 110:154162
ORIGINAL REFERENCE NO.: 110:25491a,25494a
TITLE: 4-Halopyridine-3-carboxamide derivatives and their herbicidal compositions
INVENTOR(S): Yagihara, Hiroshi; Goto, Yukihisa; Masamoto, Kazuhisa; Morishima, Yasuo; Osabe, Hirokazu

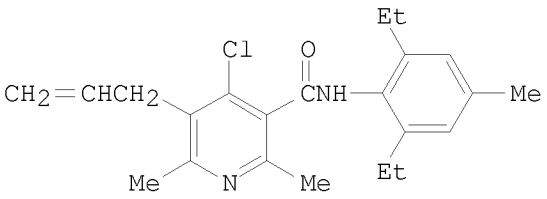
PATENT ASSIGNEE(S): Daicel Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 292990	A1	19881130	EP 1988-108501	19880527
EP 292990	B1	19950201		
R: DE, FR, GB				
US 4978385	A	19901218	US 1988-199187	19880526
JP 01207275	A	19890821	JP 1988-131265	19880527
JP 2557468	B2	19961127		
CA 1320488	C	19930720	CA 1988-567874	19880527

PRIORITY APPLN. INFO.: JP 1987-131696 A 19870529
JP 1987-262333 A 19871016
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 110:154162; MARPAT 110:154162
GI



I



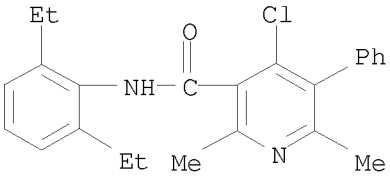
II

AB Title compds. I [R1 = C1-11 alkyl, alkenyl, alkynyl, cycloalkyl, alkoxyalkyl, alkylthioalkyl, haloalkyl, 5- or 6-membered heterocyclyl, (un)substituted Ph or aralkyl; R2-R6 = H, halo, cyano, NO2, amino, alkyl, haloalkyl, OH, alkoxy, aryloxy, CO2H, alkoxycarbonyl; R7 = H, halo, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, (un)substituted Ph or aralkyl; R8 = as given for R1, or R7R8 = (CH2)m; m = 3, 4; X = halo] and their 1-oxides and salts are prepared as herbicides. 5-Allyl-N-(2,6-diethyl-4-methylphenyl)-1,4-dihydro-2,6-dimethyl-4-oxo-3-pyridinecarboxamide was refluxed in excess POCl3 for 1 h to give allylchloro(diethylmethylphenyl)dimethylpyridinecarboxamide II. Addition of 50 weight parts II to 200 parts carrier containing talc 50, bentonite 25, Solpole-9047, 2, and Solpole-5039, 3 parts gave a wettable powder. As a 20-ppm aqueous dispersion applied to seedlings in a lab dish, II completely inhibited Oryzae sativa, Echinochloa crus-galli, and Raphanus sativus.
IT 119766-14-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except

adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

RN 119766-14-2 CAPLUS

CN 3-Pyridinecarboxamide, 4-chloro-N-(2,6-diethylphenyl)-2,6-dimethyl-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1989:38902 CAPLUS

DOCUMENT NUMBER: 110:38902

ORIGINAL REFERENCE NO.: 110:6479a,6482a

TITLE: Preparation of 4-hydroxy-3-pyridinecarboxamides as antiinflammatory and antirheumatic agents

INVENTOR(S): Clemence, Francois; Le Martret, Odile; Delevallee, Francoise

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

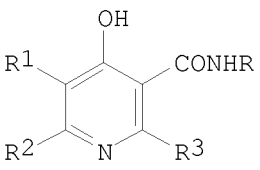
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3808444	A1	19880922	DE 1988-3808444	19880314
FR 2612189	A1	19880916	FR 1987-3465	19870313
FR 2612189	B1	19890623		
NL 8800606	A	19881003	NL 1988-606	19880311
JP 63243074	A	19881007	JP 1988-56457	19880311
GB 2204037	A	19881102	GB 1988-5869	19880311
GB 2204037	B	19910123		
CH 675245	A5	19900914	CH 1988-935	19880311
US 4925859	A	19900515	US 1988-167375	19880331
US 4987140	A	19910122	US 1989-441317	19891127

PRIORITY APPLN. INFO.: FR 1987-3465 A 19870313
US 1988-167375 A3 19880331

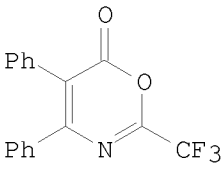
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 110:38902; MARPAT 110:38902

GI



I



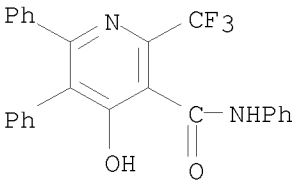
II

AB The title compds. [I; R = (un)substituted Ph, C1-5 alkyl-(un)substituted 5- or 6-membered heterocyclyl; R1, R2 = R, C1-5 alkyl, (un)substituted naphthyl; R3 = H, C1-5 alkyl, CF3(CF2)n, R4CHOH; R4 = C1-5 alkyl; n = 0-4] and their acid and base salts were prepared PhCN was condensed with BrCHPhCO2Et under reducing conditions to give H2NCPh:CPhCO2Et which was N-acylated with (CF3CO)2O and the product cyclized by heating in Ac2O to give oxazinone II. The latter was refluxed with BrCH2CO2Et and CH2(OMe)2 in the presence of Zn powder and catalytic iodine to give Et 4-hydroxy-5,6-diphenyl-2-(trifluoromethyl)-3-pyridinecarboxylate which was amidated with 2-thiazolamine to give I (R = 2-thiazolyl, R1 = R2 = Ph, R3 = CF3) (III). In the adjuvant arthritis test in rats III inhibited inflammation with an ED50 of 15 mg/kg orally.

IT 118289-02-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor)

RN 118289-02-4 CAPLUS

CN 3-Pyridinecarboxamide, 4-hydroxy-N,5,6-triphenyl-2-(trifluoromethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

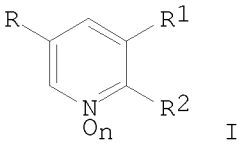
L4 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:198028 CAPLUS
DOCUMENT NUMBER: 98:198028
ORIGINAL REFERENCE NO.: 98:30095a,30098a
TITLE: Pyridine derivatives inducing tillering and agricultural compositions containing them
INVENTOR(S): Stacey, Gilbert Joseph; Hawkins, Alan Francis; Pearson, David Philip John; Sunley, Raymond Leo
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 67511	A2	19821222	EP 1982-302208		19820429
EP 67511	A3	19830406			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
GB 2099421	A	19821208	GB 1982-12420		19820419
AU 8283671	A	19821125	AU 1982-83671		19820513
US 4473395	A	19840925	US 1982-379047		19820517
BR 8202876	A	19830426	BR 1982-2876		19820518
JP 57197267	A	19821203	JP 1982-83339		19820519
PRIORITY APPLN. INFO.:			GB 1981-15251	A	19810519
			GB 1981-15252	A	19810519
			GB 1981-24941	A	19810814
			GB 1982-12420	A	19820419
			EP 1982-302208	A	19820429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 98:198028; MARPAT 98:198028
GI



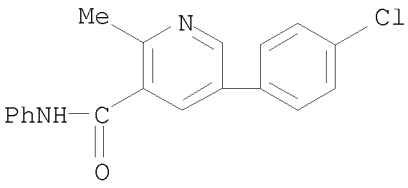
I

AB Phenylpyridine I [R = Ph, substituted Ph; R1 = cyano, carboxy, alkoxycarbonyl, alkylthiocarbonyl, carbamoyl; R2 = H, halogen, (un)substituted alkyl, OH, NH2, Ph, alkoxycarbonyl; n = 0, 1] were prepared Thus 4-ClC6H4CH2CO2H was treated with POCl3-DMF to give Me2NCH:C(CHO)C6H4Cl-4, which was cyclized with H2NCMe:CHCO2Et to form I (R = C6H4Cl-4; R1 = CO2Et; R2 = Me, n = 0)(II). II gave 132% of control barley tillering at 3 kg/ha.

IT 85583-03-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reduction, and tillering-inducing activity of)

RN 85583-03-5 CAPLUS

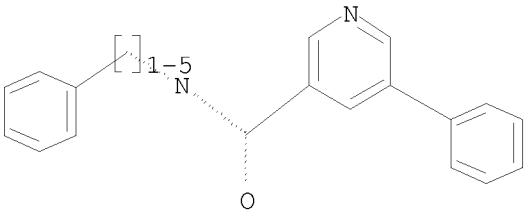
CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-2-methyl-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

=> => due
DUE IS NOT A RECOGNIZED COMMAND

=> d que
L1 STR

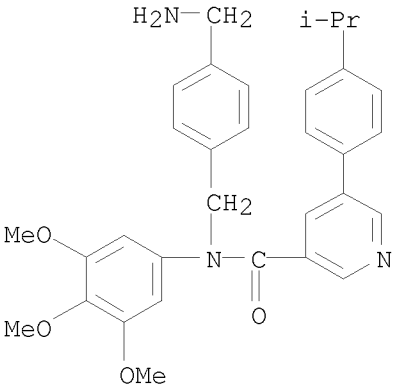


Structure attributes must be viewed using STN Express query preparation.
L3 365 SEA FILE=REGISTRY SSS FUL L1
L4 21 SEA FILE=CAPLUS L3

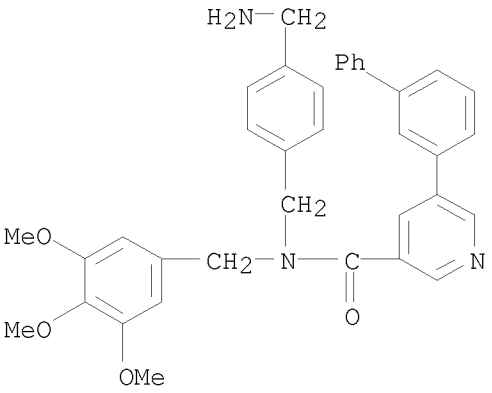
=> d l4 1-21 ibib abs hitstr

L4 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:594820 CAPLUS
DOCUMENT NUMBER: 151:23967
TITLE: Identifying Novel Molecular Structures for Advanced Melanoma by Ligand-Based Virtual Screening
AUTHOR(S): Wang, Zhao; Lu, Yan; Seibel, William; Miller, Duane D.; Li, Wei
CORPORATE SOURCE: Department of Pharmaceutical Sciences, College of Pharmacy, University of Tennessee Health Science Center, Memphis, TN, 38163, USA
SOURCE: Journal of Chemical Information and Modeling (2009), 49(6), 1420-1427
CODEN: JCISD8; ISSN: 1549-9596
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We recently discovered a new class of thiazole analogs that are highly potent against melanoma cells. To expand the structure-activity relationship study and to explore potential new mol. scaffolds, we performed extensive ligand-based virtual screening against a compound library containing 342 910 small mols. Two different approaches of virtual screening were carried out using the structure of our lead mol.: (1) connectivity-based search using Scitegic Pipeline Pilot from Accelerys and (2) mol. shape similarity search using Schrodinger software. Using a testing compound library, both approaches can rank similar compds. very high and rank dissimilar compds. very low, thus validating our screening methods. Structures identified from these searches were analyzed, and selected compds. were tested in vitro to assess their activity against melanoma cancer cell lines. Several mols. showed good anticancer activity. While none of the identified compds. showed better activity than our lead compound, they provided important insight into structural modifications for our lead compound and also provided novel platforms on which we can optimize new classes of anticancer compds. One of the newly synthesized analogs based on this virtual screening has improved potency and selectivity against melanoma.
IT 1160108-27-9 1160108-28-0 1160108-29-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identifying mol. structures for advanced melanoma by ligand-based virtual screening)
RN 1160108-27-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-(aminomethyl)phenyl]methyl]-5-[4-(1-

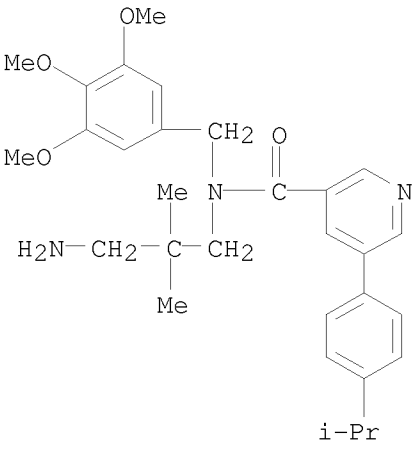
methylethyl)phenyl]-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



RN 1160108-28-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-(aminomethyl)phenyl]methyl]-5-[1,1'-biphenyl]-3-yl-N-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 1160108-29-1 CAPLUS
CN 3-Pyridinecarboxamide, N-(3-amino-2,2-dimethylpropyl)-5-[4-(1-methylethyl)phenyl]-N-[(3,4,5-trimethoxyphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:179582 CAPLUS
DOCUMENT NUMBER: 150:214187
TITLE: Preparation of therapeutic pyridine carboxamide orexin receptor antagonists
INVENTOR(S): Bergman, Jeffrey M.; Coleman, Paul J.; Fraley, Mark E.; Mercer, Swati P.; Reger, Thomas S.; Roecker, Anthony J.; Steen, Justin T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 90pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009020642	A1	20090212	WO 2008-US9491	20080807

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-964111P P 20070809
OTHER SOURCE(S): MARPAT 150:214187
GI

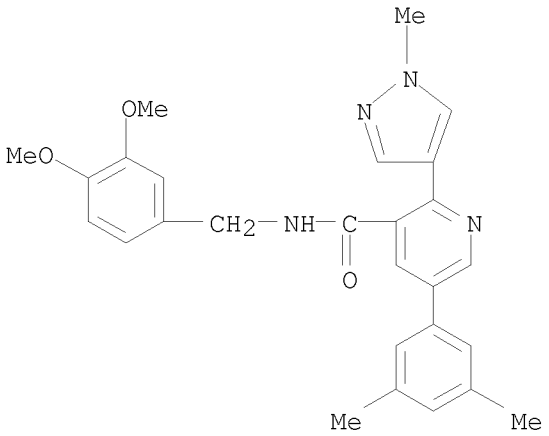
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is directed to pyridyl carboxamide compds. of general formula I (wherein A1 and A2 are Ph, naphthyl, and heteroaryl; A3 is Ph, naphthyl, heteroaryl, and C3-6cycloalkyl; R1a-R1c, R2a-R2c, and R3a-R3c are independently H, halo, OH, etc., or may be absent; R4 and R5 are H, (un)substituted C1-6alkyl, or together may form part of a cycloalkyl ring; R6 is H, C1-6alkyl, and C3-6 cycloalkyl that are optionally substituted) which are antagonists of orexin receptors, and which are useful in the treatment or prevention of neurol. and psychiatric disorders and diseases in which orexin receptors are involved. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which orexin receptors are involved. Synthetic procedures for preparing I are exemplified. Example compound II was prepared in a 4 step synthesis which culminated in the reaction of 6-(2-fluorophenyl)-5'-methyl-3,3'-bipyridine-5-carboxylic acid hydrochloride with 1-(5,6-dimethoxypyridin-2-yl)methanamine. II had a Ki of 0.74 nM in an assay that measured antagonism of OX2R receptors.

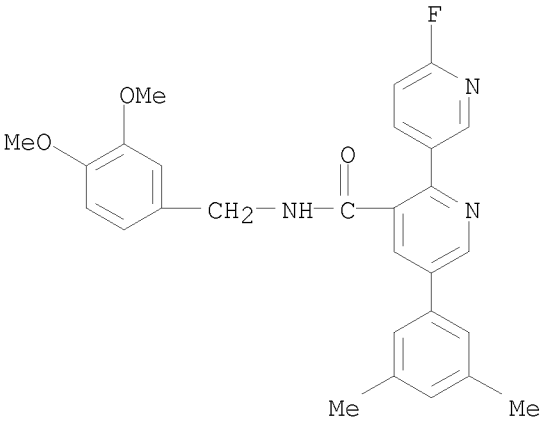
IT 1112849-68-9P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112849-69-0P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-6'-fluoro-2,3'-bipyridine-3-carboxamide 1112849-71-4P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-2-(quinolin-3-yl)nicotinamide 1112849-74-7P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-2-(3-hydroxyphenyl)nicotinamide 1112849-75-8P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-2-[3-[(methylamino)carbonyl]phenyl]nicotinamide 1112849-76-9P, N-(3,4-Dimethoxybenzyl)-2-[3-[(dimethylamino)methyl]phenyl]-5-(3,5-dimethylphenyl)nicotinamide 1112849-77-0P, N-(3,4-Dimethoxybenzyl)-5-(3,5-dimethylphenyl)-2-(1H-indol-5-yl)nicotinamide 1112849-79-2P, 5-(3,5-Dimethylphenyl)-N-[(1R)-1-(3-methoxyphenyl)ethyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112849-85-0P, 5-(3,5-Dichlorophenyl)-N-[(2,3-dimethyl-1H-indol-6-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112849-87-2P, 5-(3-Fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-[(1R)-1-(2-naphthyl)ethyl]nicotinamide 1112849-89-4P, 5-(3,5-Dimethylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-[(2-naphthyl)methyl]nicotinamide 1112849-92-9P, 5-(3-Fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-[(2-naphthyl)methyl]nicotinamide 1112849-99-6P, 5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-01-7P, 5-(3-Fluoro-5-methylphenyl)-N-[1-(3,4-dimethoxyphenyl)ethyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-03-9P, 5-(3-Fluoro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-04-0P, 5-(3-Chloro-5-methylphenyl)-N-[(2,3-dimethyl-1H-indol-5-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-07-3P, 5-(3-Chloro-5-methylphenyl)-N-[(2-naphthyl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-09-5P, 5-(3-Fluoro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(pyridazin-3-yl)nicotinamide 1112850-11-9P, 5-(3-Chloro-5-methylphenyl)-N-(3,4-dichlorobenzyl)-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-12-0P, 5-(3-Fluoro-5-methylphenyl)-N-[1-(3,4-dimethoxyphenyl)ethyl]-6'-fluoro-2,3'-bipyridine-3-carboxamide 1112850-14-2P, 5-(3,5-Dichlorophenyl)-N-(3,4-dimethoxybenzyl)-5'-chloro-2,3'-bipyridine-3-

carboxamide 1112850-19-7P,
5-(3-Chloro-5-methylphenyl)-N-[(1-methyl-2,3-dihydro-1H-indol-5-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-20-0P,
5-(3-Chloro-5-methylphenyl)-N-[(1,4-dimethyl-1,2,3,4-tetrahydroquinoxalin-6-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide
1112850-24-4P, 5-(3-Fluoro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(1-methyl-1H-pyrazol-5-yl)nicotinamide 1112850-27-7P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dihydroxybenzyl)-2-(1-methyl-1H-pyrazol-4-yl)nicotinamide 1112850-71-1P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(morpholin-4-yl)nicotinamide 1112850-72-2P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(piperidin-1-yl)nicotinamide 1112850-75-5P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(pyrrolidin-1-yl)nicotinamide 1112850-78-8P,
2-(Azetidin-1-yl)-5-(3-chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)nicotinamide 1112850-79-9P,
5-(3,5-Dichlorophenyl)-N-(3,4-dimethoxybenzyl)-2-(3-methoxyazetidin-1-yl)nicotinamide 1112850-80-2P,
5-(3,5-Dichlorophenyl)-N-(3,4-dimethoxybenzyl)-2-(3-fluoroazetidin-1-yl)nicotinamide 1112850-82-4P 1112850-85-7P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(4-thiomorpholinyl)nicotinamide 1112851-07-6P,
N-(3-Chloro-4-methoxybenzyl)-5-(3-fluoro-5-methoxyphenyl)-2-(1H-pyrazol-1-yl)nicotinamide 1112851-19-0P,
5-(3-Chloro-5-methylphenyl)-N-(3,4-dimethoxybenzyl)-2-(4-methyl-1H-pyrazol-1-yl)nicotinamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of therapeutic pyridine carboxamide orexin receptor antagonists)

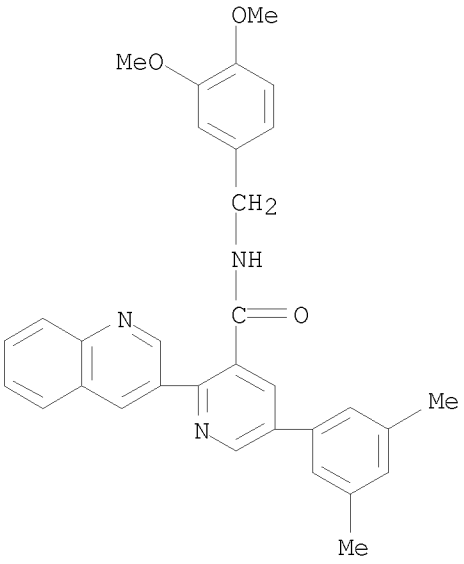
RN 1112849-68-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



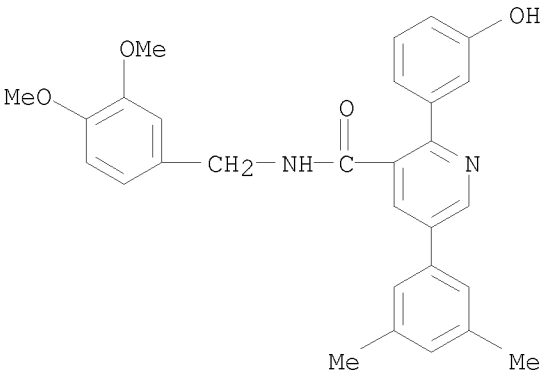
RN 1112849-69-0 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-6'-fluoro- (CA INDEX NAME)



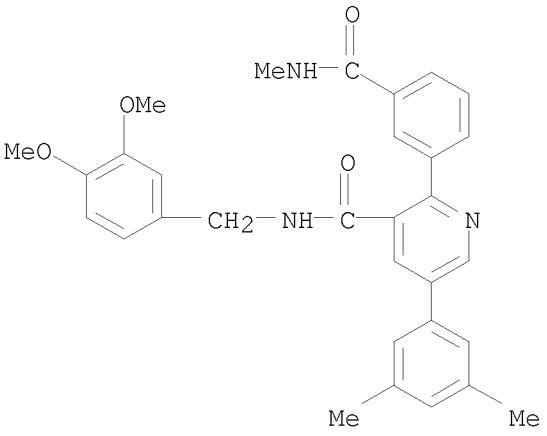
RN 1112849-71-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-2-(3-quinolinyl)- (CA INDEX NAME)



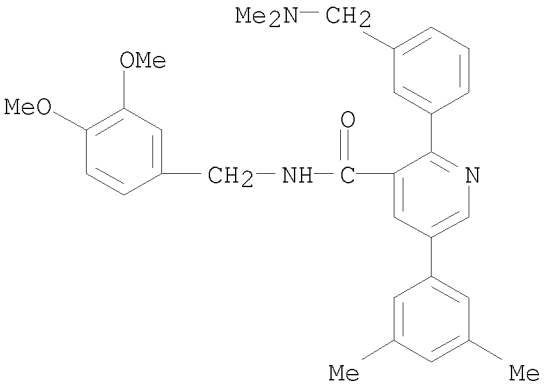
RN 1112849-74-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-2-(3-hydroxyphenyl)- (CA INDEX NAME)



RN 1112849-75-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-2-[3-[(methylamino)carbonyl]phenyl]- (CA INDEX NAME)

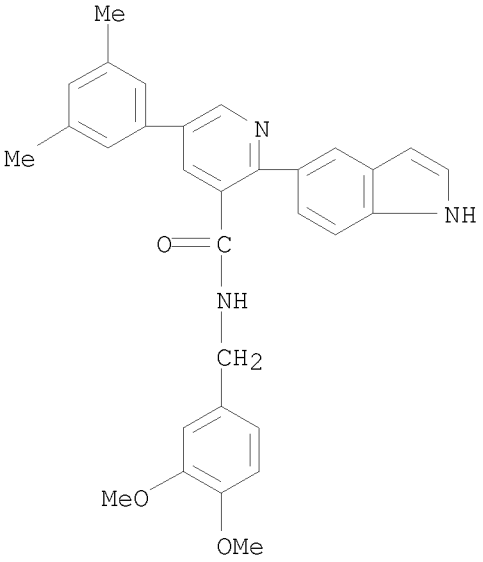


RN 1112849-76-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-2-[3-[(dimethylamino)methyl]phenyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



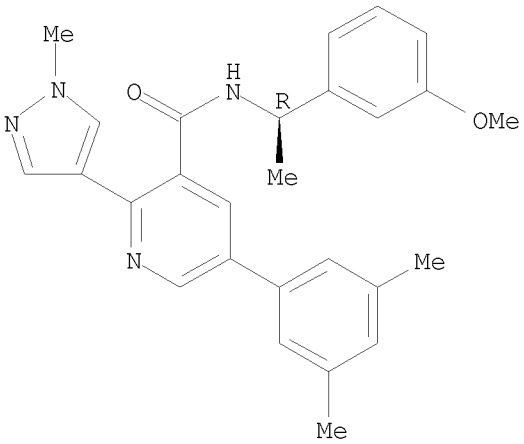
RN 1112849-77-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)-2-(1H-indol-5-yl)- (CA INDEX NAME)

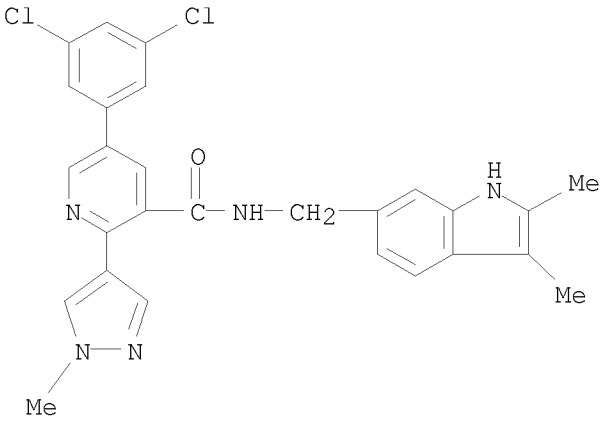


RN 1112849-79-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3,5-dimethylphenyl)-N-[(1R)-1-(3-methoxyphenyl)ethyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

Absolute stereochemistry.

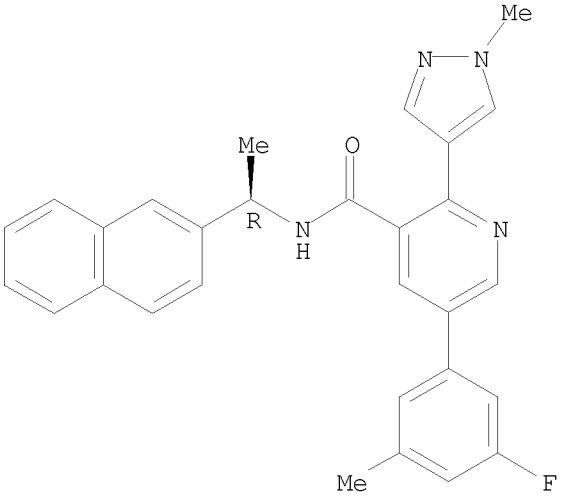


RN 1112849-85-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3,5-dichlorophenyl)-N-[(2,3-dimethyl-1H-indol-6-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

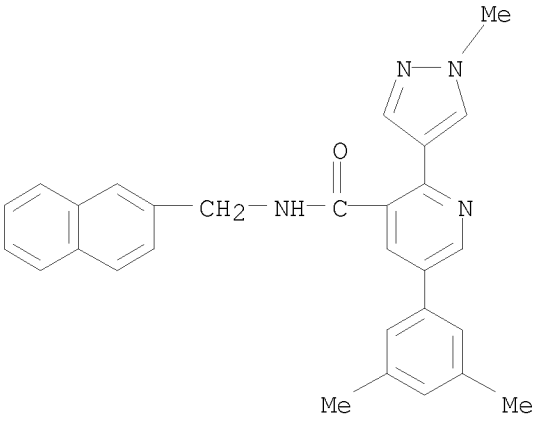


RN 1112849-87-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-[(1R)-1-(2-naphthalenyl)ethyl]- (CA INDEX NAME)

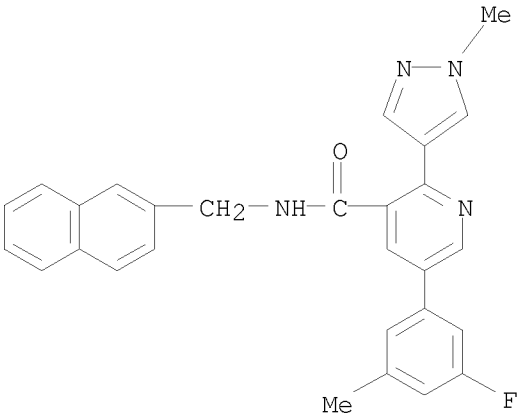
Absolute stereochemistry.



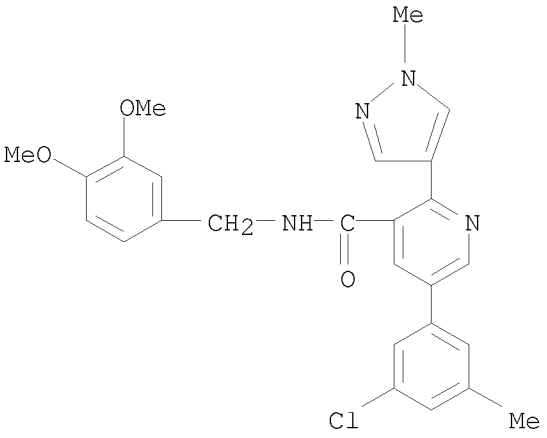
RN 1112849-89-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3,5-dimethylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



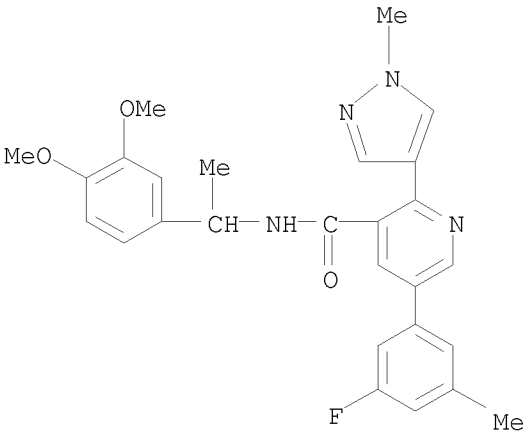
RN 1112849-92-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



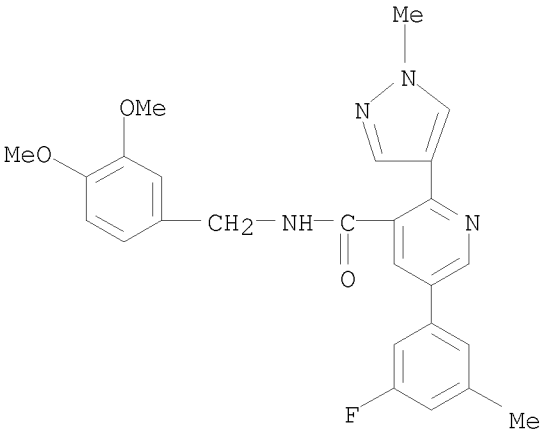
RN 1112849-99-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



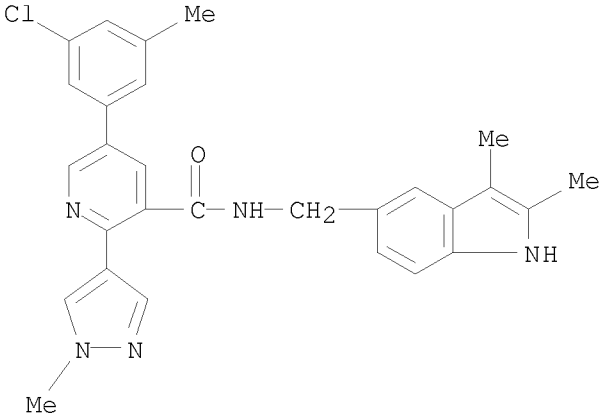
RN 1112850-01-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[1-(3,4-dimethoxyphenyl)ethyl]-5-(3-fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



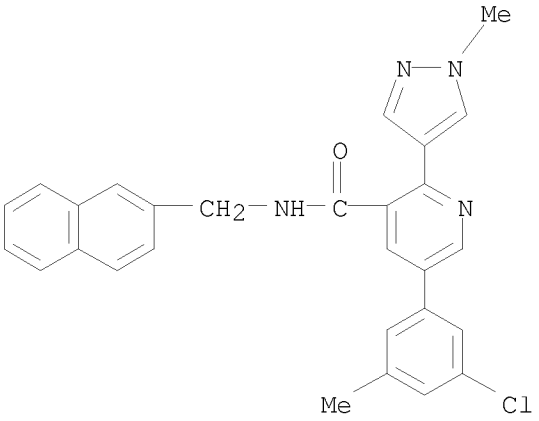
RN 1112850-03-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3-fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



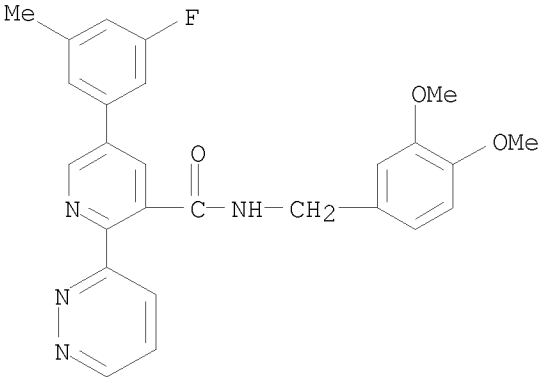
RN 1112850-04-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(2,3-dimethyl-1H-indol-5-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



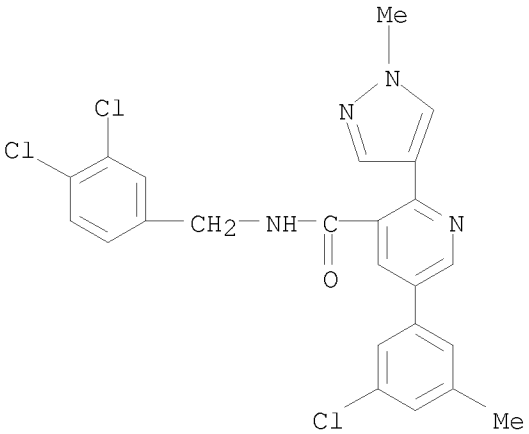
RN 1112850-07-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



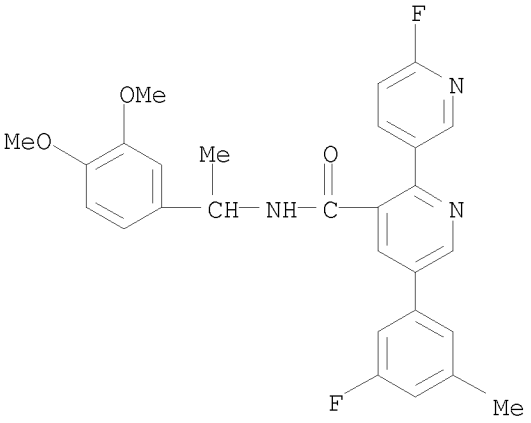
RN 1112850-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3-fluoro-5-methylphenyl)-2-(3-pyridazinyl)- (CA INDEX NAME)



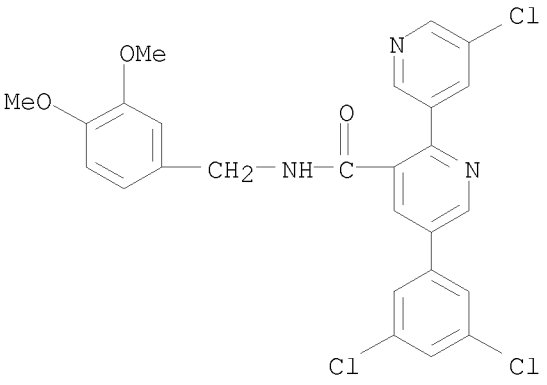
RN 1112850-11-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dichlorophenyl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



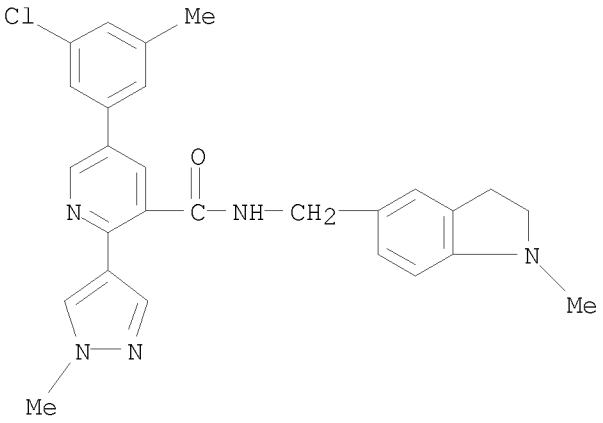
RN 1112850-12-0 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[1-(3,4-dimethoxyphenyl)ethyl]-6'-fluoro-5-(3-fluoro-5-methylphenyl)- (CA INDEX NAME)



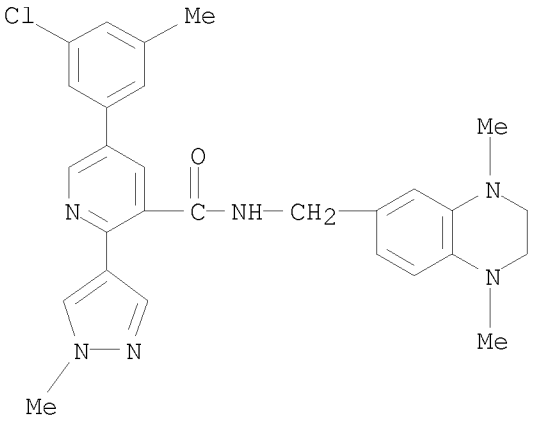
RN 1112850-14-2 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5'-chloro-5-(3,5-dichlorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



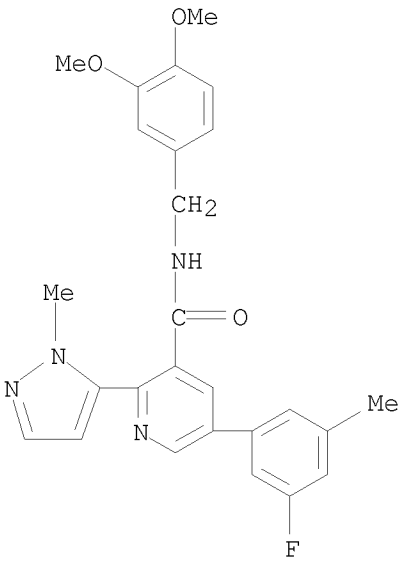
RN 1112850-19-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(2,3-dihydro-1-methyl-1H-indol-5-yl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



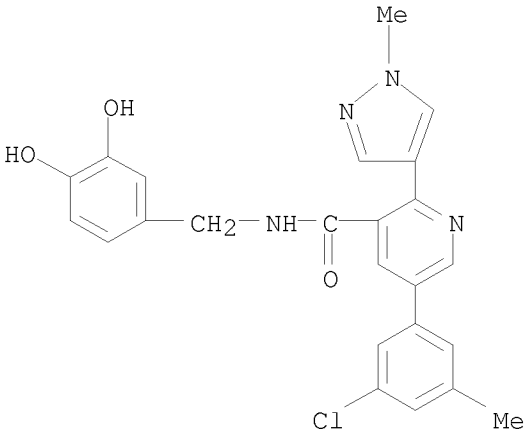
RN 1112850-20-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-4-yl)-N-[(1,2,3,4-tetrahydro-1,4-dimethyl-6-quinoxalinyl)methyl]- (CA INDEX NAME)



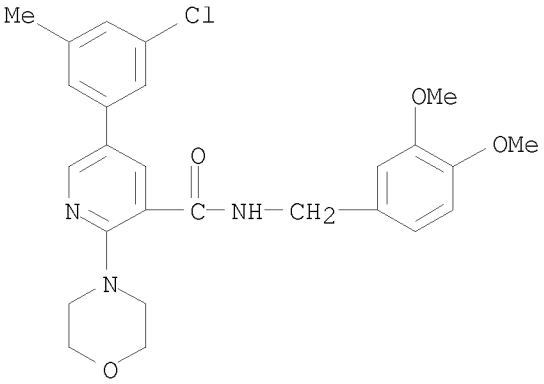
RN 1112850-24-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3-fluoro-5-methylphenyl)-2-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



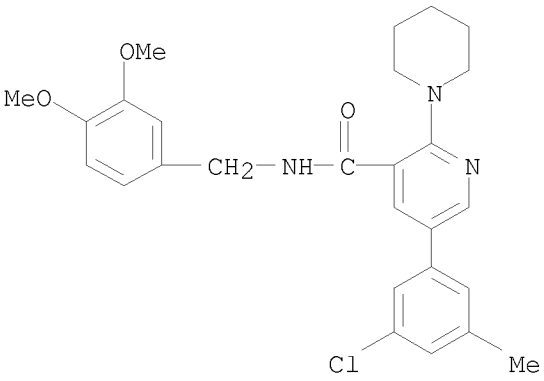
RN 1112850-27-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dihydroxyphenyl)methyl]-2-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)



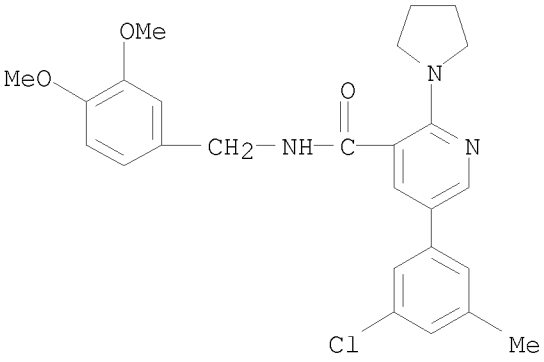
RN 1112850-71-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(4-morpholinyl)- (CA INDEX NAME)



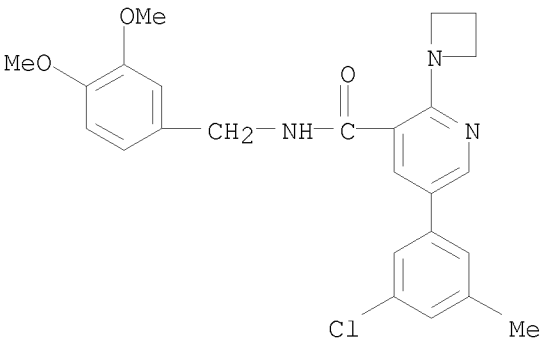
RN 1112850-72-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(1-piperidinyl)- (CA INDEX NAME)



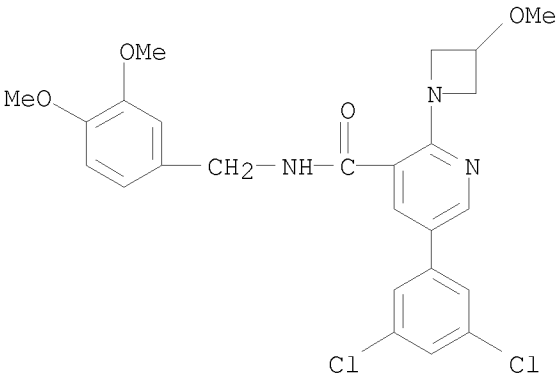
RN 1112850-75-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(1-pyrrolidinyl)- (CA INDEX NAME)



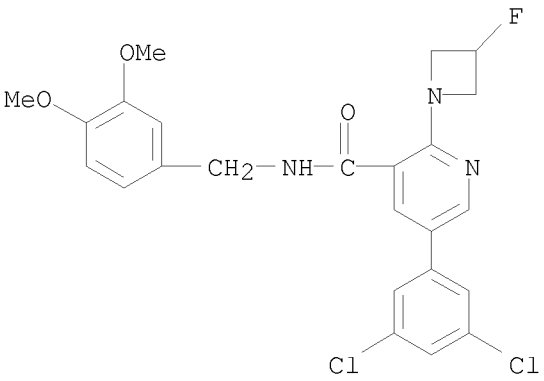
RN 1112850-78-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-(1-azetidinyl)-5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 1112850-79-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3,5-dichlorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(3-methoxy-1-azetidinyl)- (CA INDEX NAME)

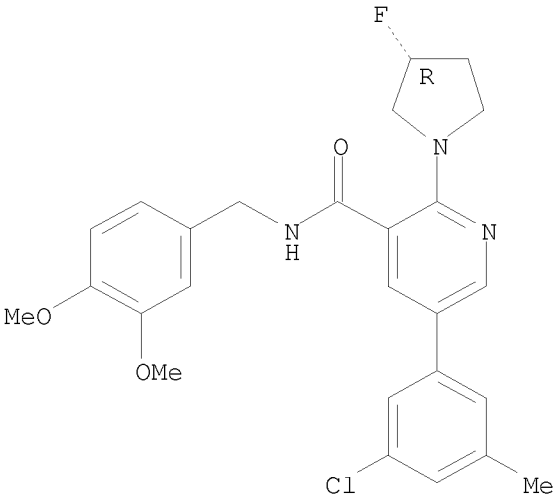


RN 1112850-80-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3,5-dichlorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(3-fluoro-1-azetidinyl)- (CA INDEX NAME)

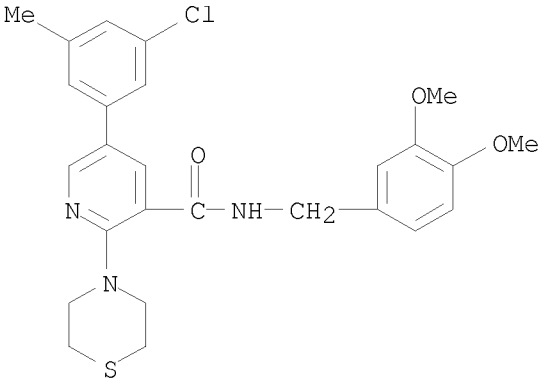


RN 1112850-82-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-[(3R)-3-fluoro-1-pyrrolidinyl]- (CA INDEX NAME)

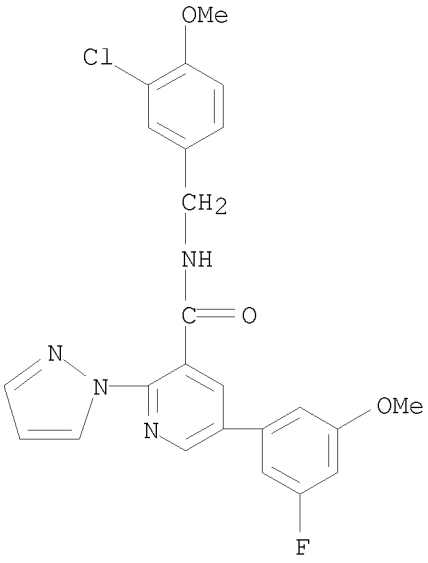
Absolute stereochemistry.



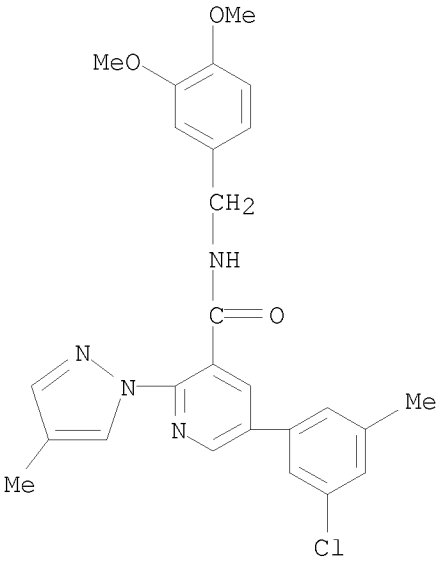
RN 1112850-85-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(4-thiomorpholinyl)- (CA INDEX NAME)



RN 1112851-07-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4-methoxyphenyl)methyl]-5-(3-fluoro-5-methoxyphenyl)-2-(1H-pyrazol-1-yl)- (CA INDEX NAME)

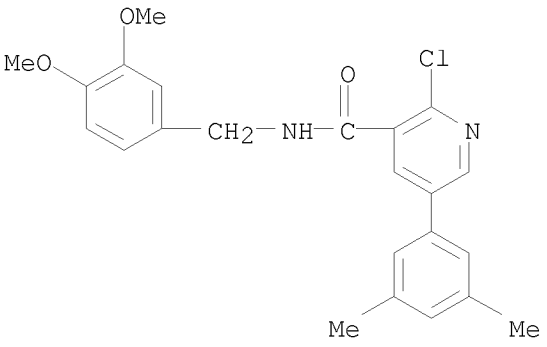


RN 1112851-19-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-chloro-5-methylphenyl)-N-[(3,4-dimethoxyphenyl)methyl]-2-(4-methyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



IT 1112849-67-8P, 2-Chloro-N-(3,4-dimethoxybenzyl)-5-(3,5-dimethylphenyl)nicotinamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of therapeutic pyridine carboxamide orexin receptor antagonists)

RN 1112849-67-8 CAPLUS
CN 3-Pyridinecarboxamide, 2-chloro-N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1102334 CAPLUS
DOCUMENT NUMBER: 149:355713
TITLE: Preparation of bipyridine carboxamide orexin receptor antagonists
INVENTOR(S): Coleman, Paul J.; Mercer, Swati P.; Roecker, Anthony J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 51pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008108991	A1	20080912	WO 2008-US2725	20080229
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008223546	A1	20080912	AU 2008-223546	20080229
CA 2679817	A1	20080912	CA 2008-2679817	20080229
EP 2131654	A1	20091216	EP 2008-726293	20080229
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR				

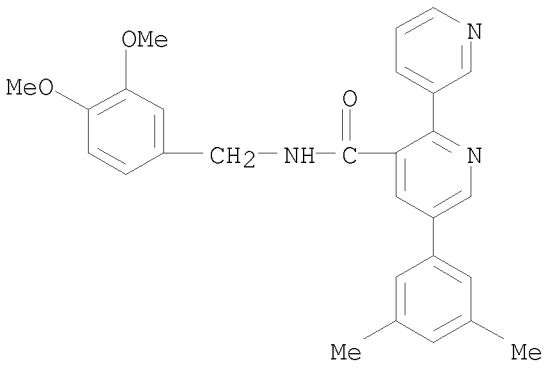
PRIORITY APPLN. INFO.: US 2007-904511P P 20070302
WO 2008-US2725 W 20080229
OTHER SOURCE(S): MARPAT 149:355713
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

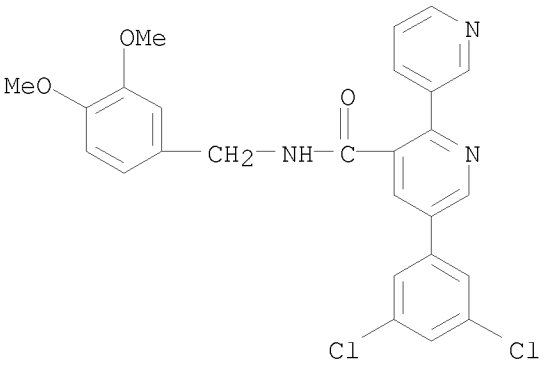
AB The title compds. I [A1, A2 = Ph, naphthyl, hetreoaryl; R11, R12, R13 = absent, H, halo, OH, etc.; R21, R22, R23 = absent, H, halo, OH, etc.; R3 = H, alkyl, cycloalkyl; R4, R5 = H, alkyl; or R4 and R5 may be joined together to form cycloalkyl] which are antagonists of orexin receptors, and which are useful in the treatment or prevention of neurol. and psychiatric disorders and diseases in which orexin receptors are involved, were prepared E.g., a multi-step synthesis of II, starting from Me 3-oxo-3-(pyridin-3-yl)propanoate and N-[(2Z)-2-chloro-3-(dimethylamino)-prop-2-en-1-ylidene]-N-methylmethanaminium hexafluorophosphate, was given. Exemplified compds. I showed activity in antagonizing the rat orexin-1 receptor and/or the human orexin-2 receptor, generally with an IC50 of less than about 50 μM. The invention is also directed to pharmaceutical compns. comprising compds. I and the use of these compds. and compns. in the prevention or treatment of such diseases in which orexin receptors are involved.

IT 1056416-78-4P 1056416-83-1P 1056416-88-6P
1056416-95-5P 1056417-02-7P 1056417-09-4P
1056417-15-2P 1056417-22-1P 1056417-29-8P
1056417-35-6P 1056417-42-5P 1056417-48-1P
1056417-55-0P 1056417-62-9P 1056417-69-6P
1056417-76-5P 1056417-83-4P 1056417-89-0P
1056417-96-9P 1056418-03-1P 1056418-10-0P
1056418-17-7P 1056418-23-5P 1056418-45-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bipyridine carboxamide orexin receptor antagonists)

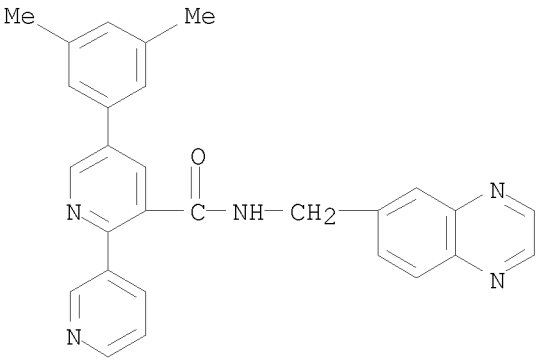
RN 1056416-78-4 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



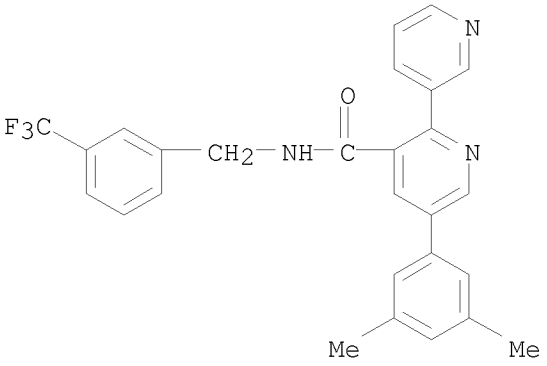
RN 1056416-83-1 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dichlorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



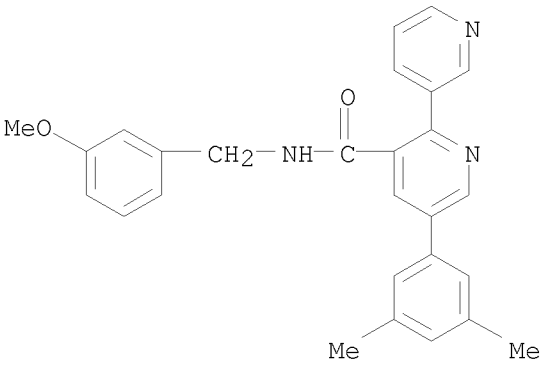
RN 1056416-88-6 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-(6-quinoxalinylmethyl)- (CA INDEX NAME)



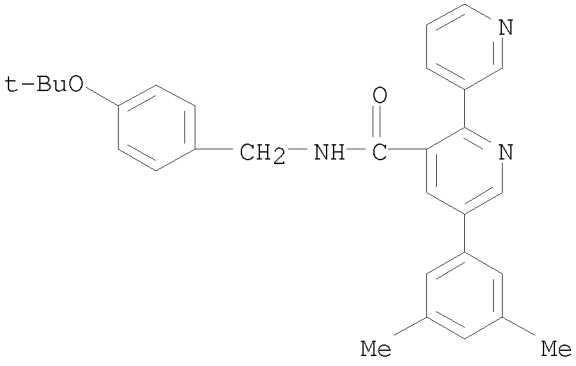
RN 1056416-95-5 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



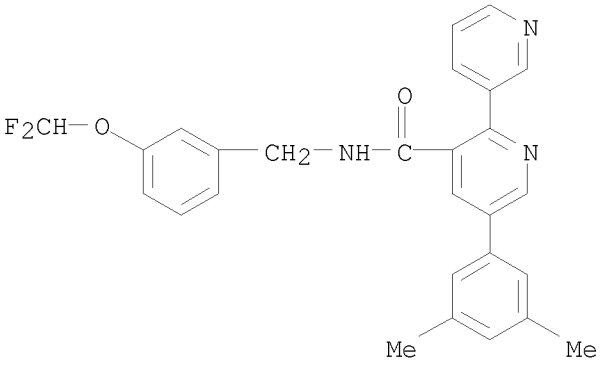
RN 1056417-02-7 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



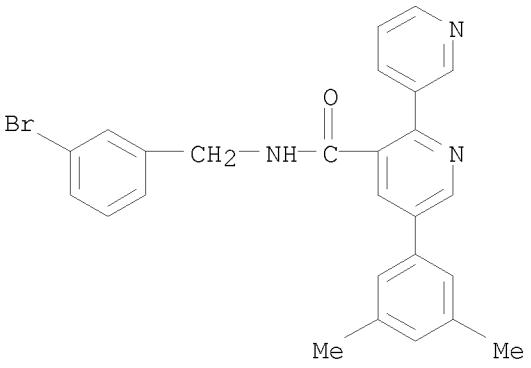
RN 1056417-09-4 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[[4-(1,1-dimethylethoxy)phenyl]methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



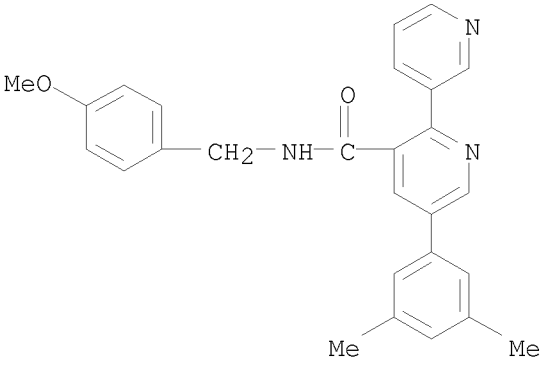
RN 1056417-15-2 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[[3-(difluoromethoxy)phenyl]methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



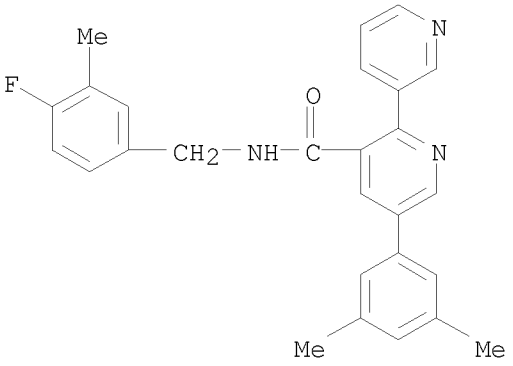
RN 1056417-22-1 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3-bromophenyl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



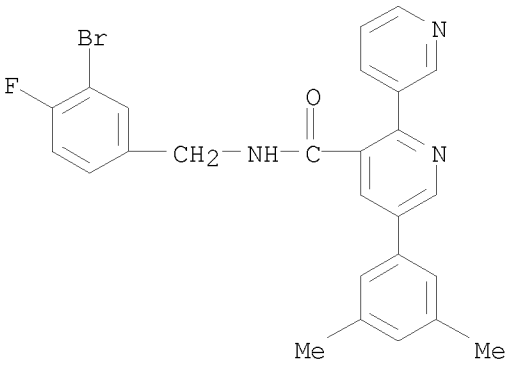
RN 1056417-29-8 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



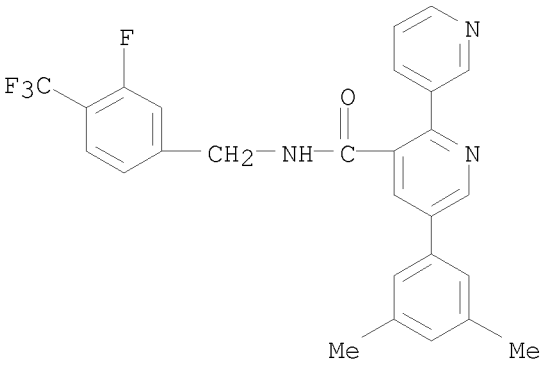
RN 1056417-35-6 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-[(4-fluoro-3-methylphenyl)methyl]- (CA INDEX NAME)



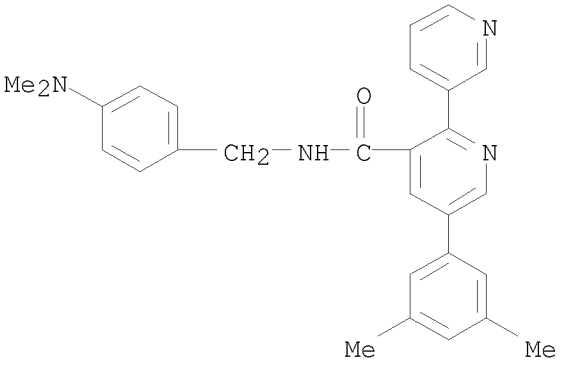
RN 1056417-42-5 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3-bromo-4-fluorophenyl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



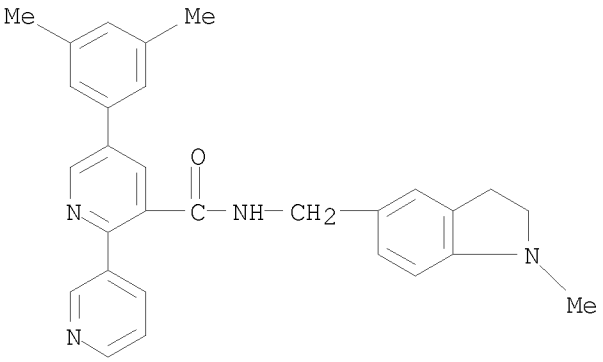
RN 1056417-48-1 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-[[3-fluoro-4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



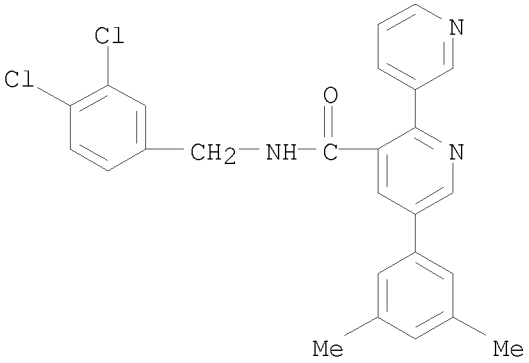
RN 1056417-55-0 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[[4-(dimethylamino)phenyl]methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



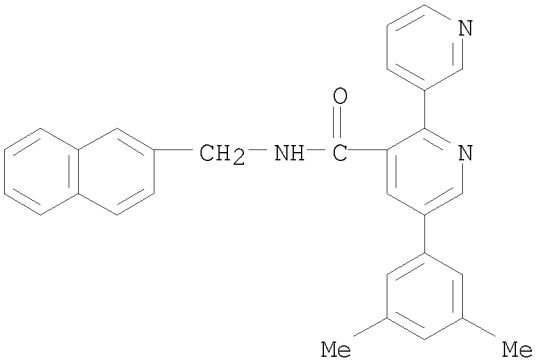
RN 1056417-62-9 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(2,3-dihydro-1-methyl-1H-indol-5-yl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



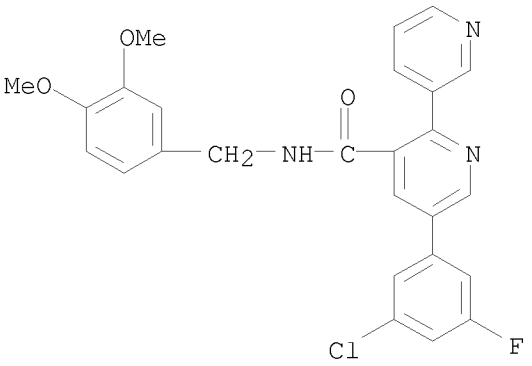
RN 1056417-69-6 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3,4-dichlorophenyl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



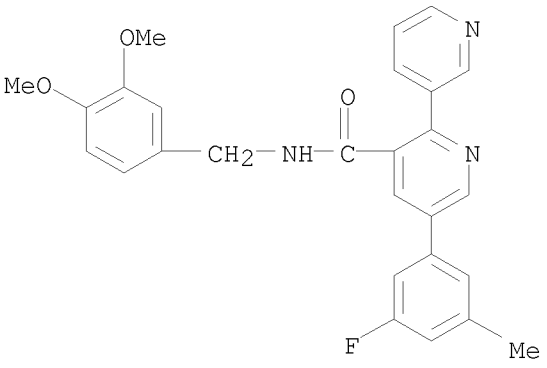
RN 1056417-76-5 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-dimethylphenyl)-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



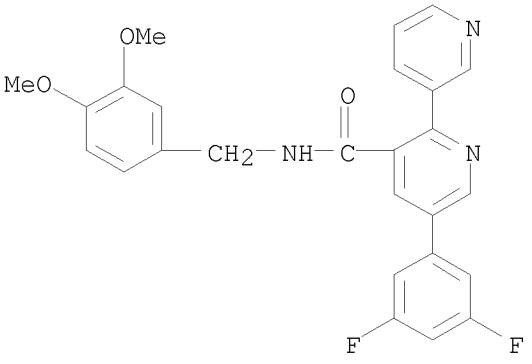
RN 1056417-83-4 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3-chloro-5-fluorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



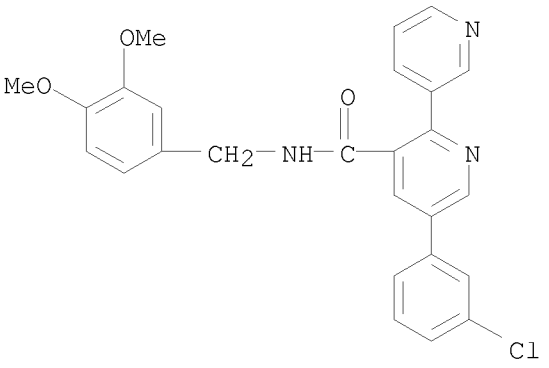
RN 1056417-89-0 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(3,4-dimethoxyphenyl)methyl]-5-(3-fluoro-5-methylphenyl)- (CA INDEX NAME)



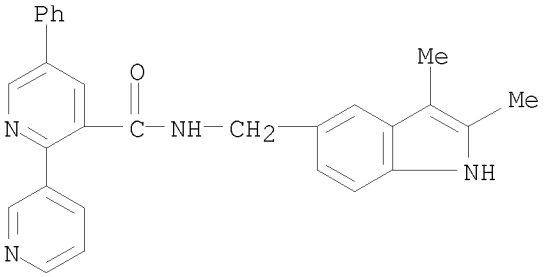
RN 1056417-96-9 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3,5-difluorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 1056418-03-1 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3-chlorophenyl)-N-[(3,4-dimethoxyphenyl)methyl]- (CA INDEX NAME)

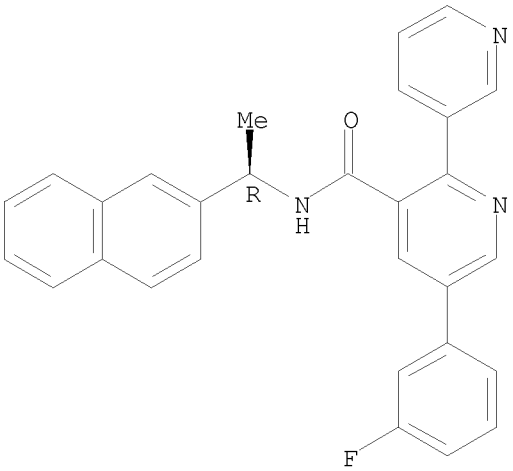


RN 1056418-10-0 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(2,3-dimethyl-1H-indol-5-yl)methyl]-5-phenyl- (CA INDEX NAME)



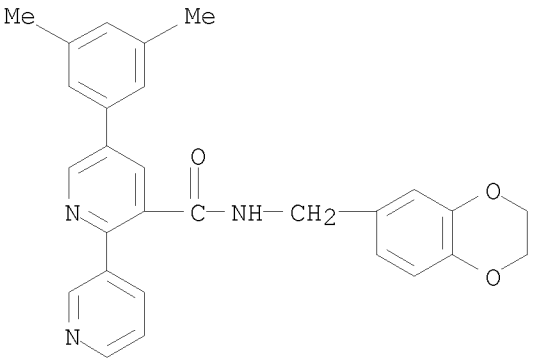
RN 1056418-17-7 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(3-fluorophenyl)-N-[(1R)-1-(2-naphthalenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



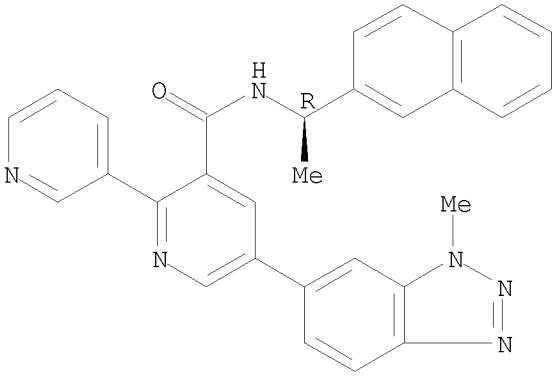
RN 1056418-23-5 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, N-[(2,3-dihydro-1,4-benzodioxin-6-

yl)methyl]-5-(3,5-dimethylphenyl)- (CA INDEX NAME)



RN 1056418-45-1 CAPLUS
CN [2,3'-Bipyridine]-3-carboxamide, 5-(1-methyl-1H-benzotriazol-6-yl)-N-[(1R)-1-(2-naphthalenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:829152 CAPLUS
DOCUMENT NUMBER: 149:153073
TITLE: Heterocyclic carboxamide derivatives as calpain inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Kling, Andreas; Hornberger, Wilfried; Mack, Helmut; Moeller, Achim; Nimmrich, Volker; Seemann, Dietmar; Lubisch, Wilfried
PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 145pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2008080969	A1	20080710	WO 2007-EP64617	20071228
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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AU 2007341232	A1	20080710	AU 2007-341232	20071228
CA 2673580	A1	20080710	CA 2007-2673580	20071228
KR 2009097205	A	20090915	KR 2009-716007	20071228
EP 2121653	A1	20091125	EP 2007-866322	20071228
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US 20080234329	A1	20080925	US 2008-70941	20080222
US 20080234330	A1	20080925	US 2008-72065	20080222
WO 2009083581	A1	20090709	WO 2008-EP68313	20081229
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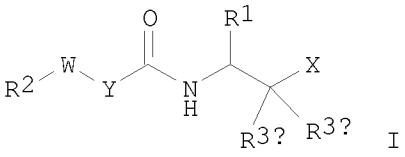
CN 101616908	A	20091230	CN 2007-80051850	20090827
PRIORITY APPLN. INFO.:			EP 2006-127369 A	20061229
			WO 2007-EP64617 W	20071228
			EP 2008-159041 A	20080625

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 149:153073
GI



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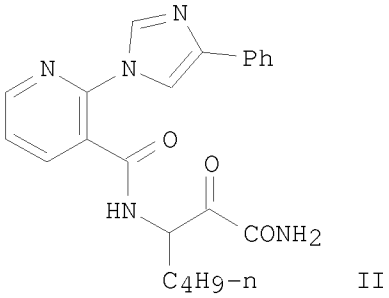


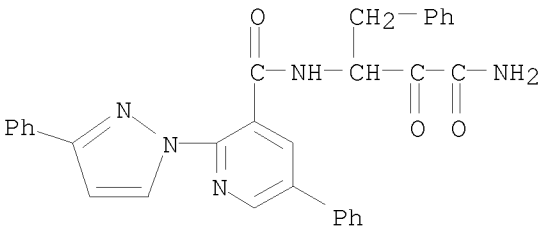
II

AB The invention relates to carboxamide derivs. of formula I and their use for the manufacture of a medicament. The carboxamide compds. are inhibitors of calpain (calcium dependent cysteine proteases). The invention therefore also relates to the use of these carboxamide compds. for treating a disorder associated with an elevated calpain activity. Compds. of formula I wherein , R1 is H, (un)substituted C1-10 alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, C3-7 (hetero)cycloalkyl, C3-7 (hetero)cycloalkyl-C1-4 alkyl, etc.; R2 is H, (un)substituted C1-10 alkyl, (un)substituted C1-10 alkoxy, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, (un)substituted C3-7 (hetero)cycloalkyl, etc.; R3a and R3b are independently OH and C1-4 alkoxy; R3aR3b may taken together with the carbon attached to form C=O; X is H, CO2H and derivs., CONH2 and derivs., CONH-C1-6 alkyl and derivs. and CONH-NH2 and derivs.; Y is a divalent, (un)substituted aromatic or (un)substituted 6-membered heteroarom. radical; Y is a divalent, (un)substituted aromatic or (un)substituted 6-membered heteroarom. radical; W is (un)substituted imidazolyl and (un)substituted pyrazolyl; W and R2 may take together to form (un)substituted heterobi- or heterotricyclic radical; and their tautomers, prodrugs and pharmaceutically suitable salts thereof, are claimed. Example compound II was prepared via amidation of 2-(4-phenyl-1H-imidazol-1-yl)pyridine-3-carboxylic acid with 3-amino-2-hydroxyheptanamide; the resulting N-[1-(2-amino-1-hydroxy-2-oxoethyl)pentyl]-2-(4-phenyl-1H-imidazol-1-yl)pyridine-3-carboxamide underwent oxidation to give II. All the invention compds. were evaluated for their calpain inhibitory activity. From the assay, it was determined that II exhibited the Ki values of ≤ 40 nM.

IT 1037827-72-7P, N-[3-Amino-2,3-dioxo-1-(phenylmethyl)propyl]-5-phenyl-2-(3-phenyl-1H-pyrazol-1-yl)pyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic carboxamide derivs. as calpain inhibitors useful in the treatment of diseases)

RN 1037827-72-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-5-phenyl-2-(3-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:964876 CAPLUS
DOCUMENT NUMBER: 147:322852
TITLE: Preparation of substituted pyridinamides as soluble epoxide hydrolase inhibitors
INVENTOR(S): Eldrup, Anne Bettina; Farrow, Neil Alexander; Kowalski, Jennifer A.; Delombaert, Stephane; Mugge, Ingo Andreas; Soleymanzadeh, Fariba; Swinamer, Alan David; Taylor, Steven John
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098352	A2	20070830	WO 2007-US62168	20070215
WO 2007098352	A3	20071025		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
CA 2637620	A1	20070830	CA 2007-2637620	20070215
EP 1987004	A2	20081105	EP 2007-757015	20070215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009528992	T	20090813	JP 2008-555479	20070215
US 20090099184	A1	20090416	US 2008-278063	20080801

PRIORITY APPLN. INFO.: US 2006-743301P P 20060216
WO 2007-US62168 W 20070215
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:322852

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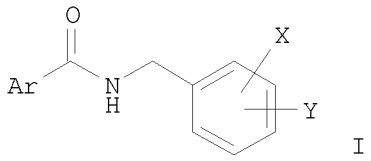

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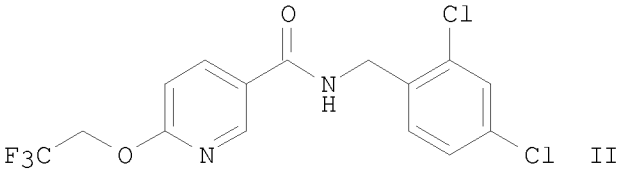

II

AB The title compds. I [Ar = (un)substituted Ph or pyridinyl; X, Y = H, halo, CN, etc.] which are compds. active against soluble epoxide hydrolase (sEH), were prepared Thus, reacting 6-(2,2,2-trifluoroethoxy)nicotinic acid with 2,4-dichlorobenzylamine afforded 56% II. Pharmaceutical composition comprising the compound I is claimed.

IT 947500-35-8P 947500-36-9P 947500-47-2P
947500-48-3P 947500-58-5P 947500-67-6P
947500-78-9P 947500-84-7P 947500-85-8P
947501-04-4P 947501-45-3P 947501-59-9P
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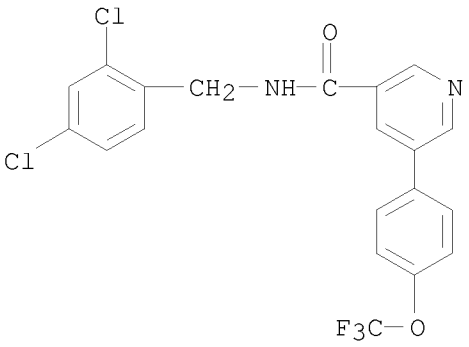
947502-78-5P 947502-79-6P 947502-80-9P
947502-86-5P 947502-87-6P 947502-88-7P
947502-89-8P 947502-90-1P 947502-93-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyridinamides as soluble epoxide hydrolase inhibitors)

RN 947500-35-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-5-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



RN 947500-36-9 CAPLUS
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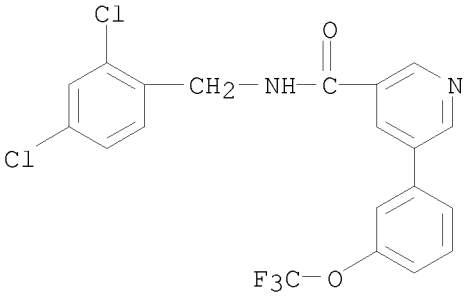
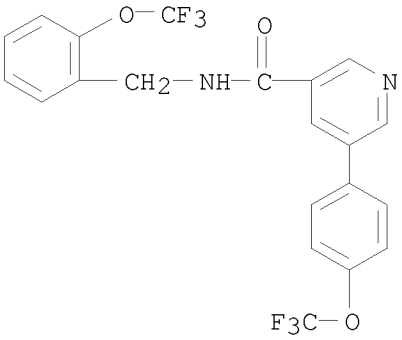


RN 947500-47-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(trifluoromethoxy)phenyl]-N-[[2-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



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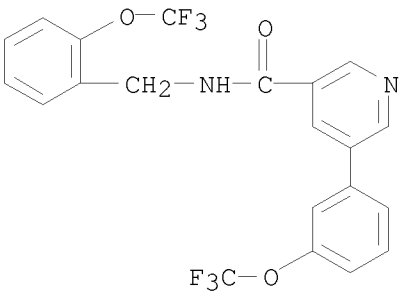

RN 947500-58-5 CAPLUS
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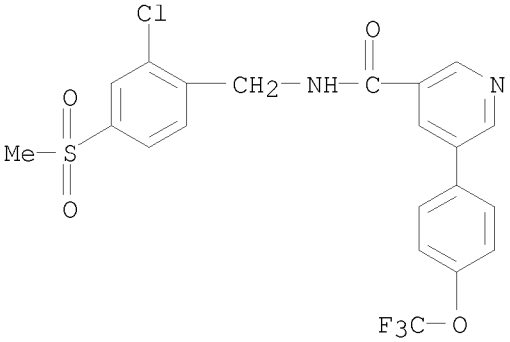

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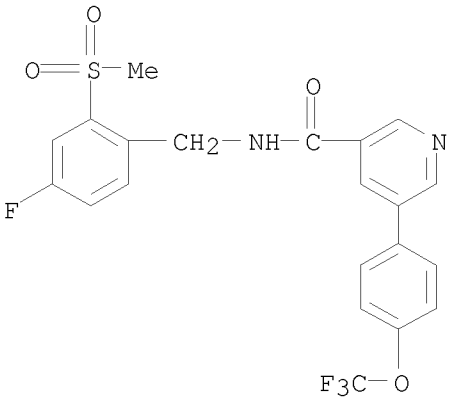

RN 947500-78-9 CAPLUS
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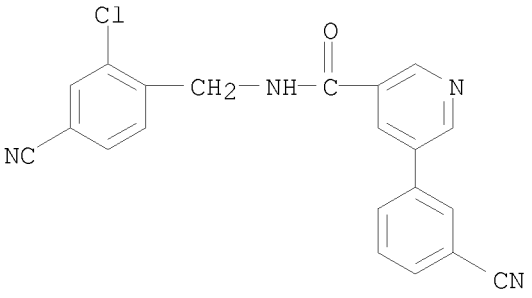

RN 947500-84-7 CAPLUS
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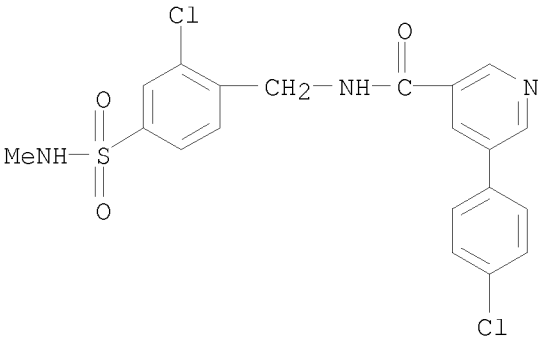

RN 947500-85-8 CAPLUS
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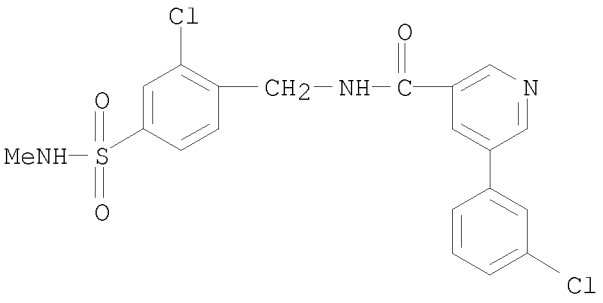

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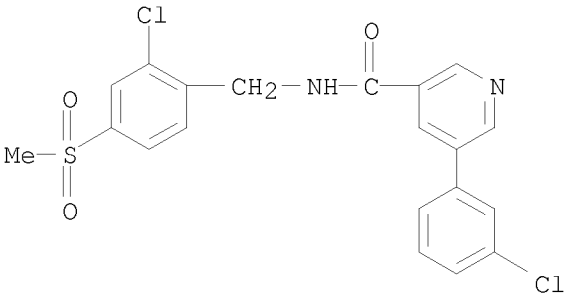

RN 947501-45-3 CAPLUS
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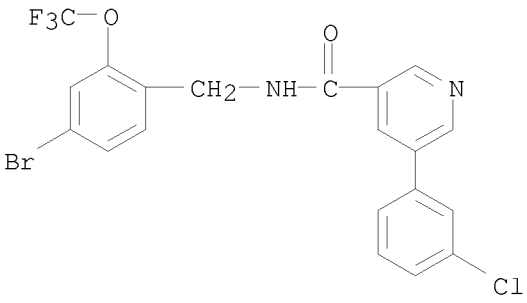

RN 947501-59-9 CAPLUS
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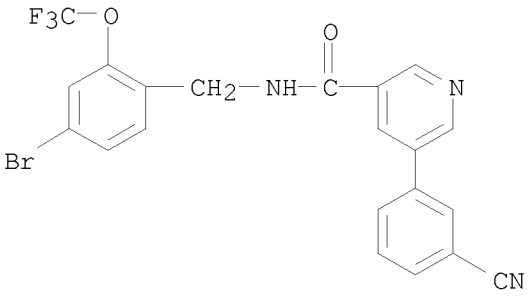

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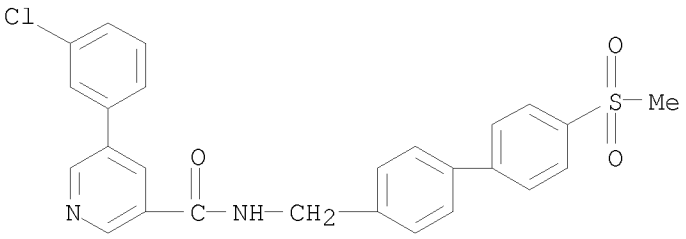
CN 3-Pyridinecarboxamide, 5-(3-chlorophenyl)-N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 947501-83-9 CAPLUS
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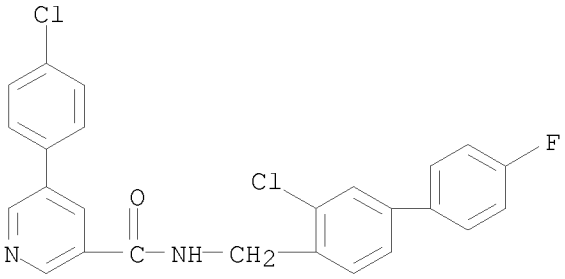

RN 947501-84-0 CAPLUS
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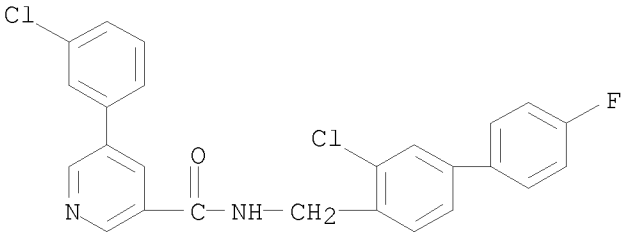

RN 947501-91-9 CAPLUS
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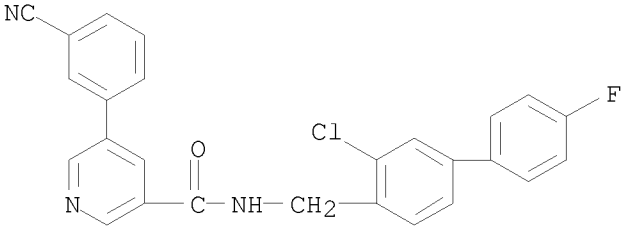

RN 947501-92-0 CAPLUS
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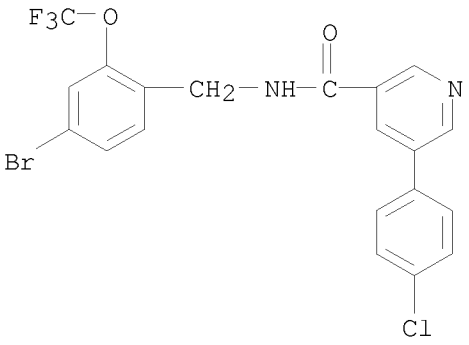

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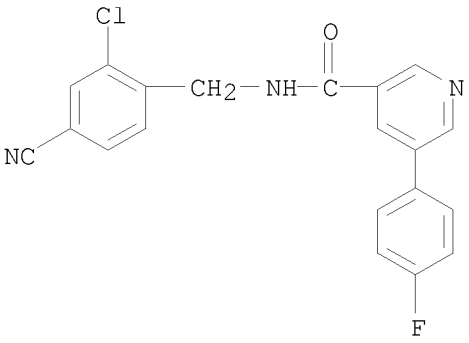

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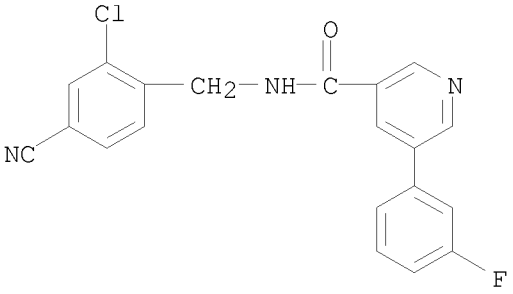

RN 947501-96-4 CAPLUS
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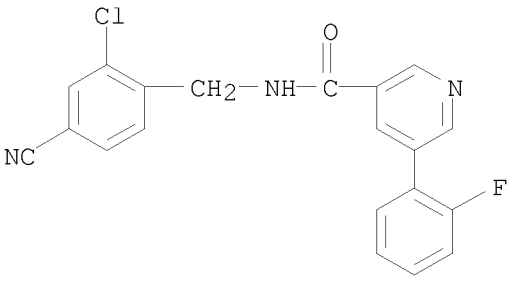
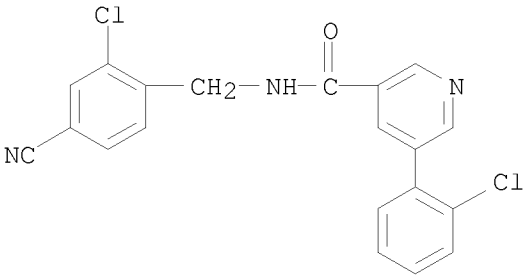
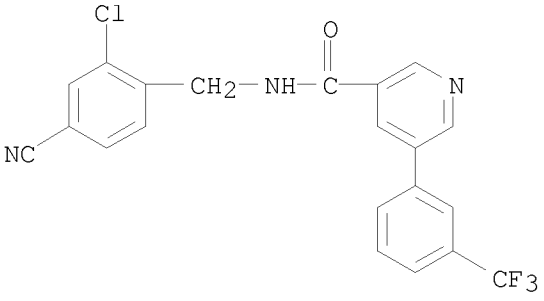


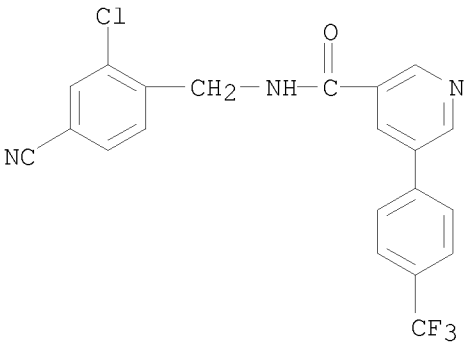
RN 947501-97-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-chloro-4-cyanophenyl)methyl]-5-(2-chlorophenyl)- (CA INDEX NAME)



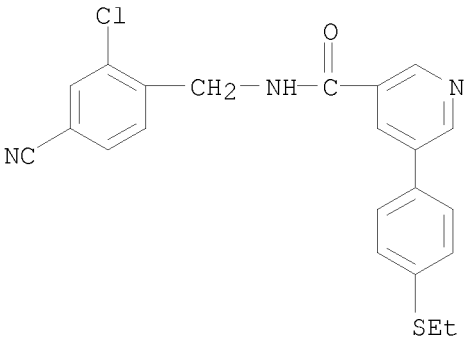
RN 947501-98-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-chloro-4-cyanophenyl)methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



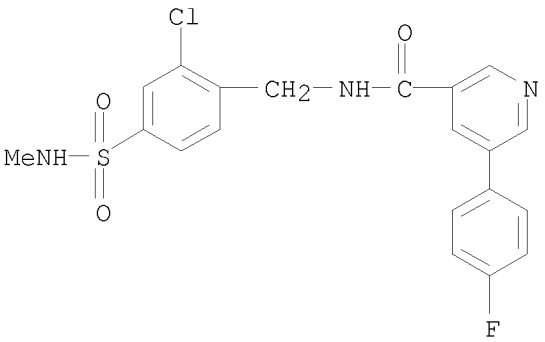
RN 947501-99-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-chloro-4-cyanophenyl)methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



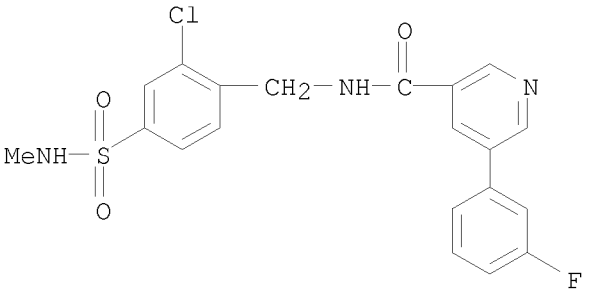
RN 947502-03-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-chloro-4-cyanophenyl)methyl]-5-[4-(ethylthio)phenyl]- (CA INDEX NAME)



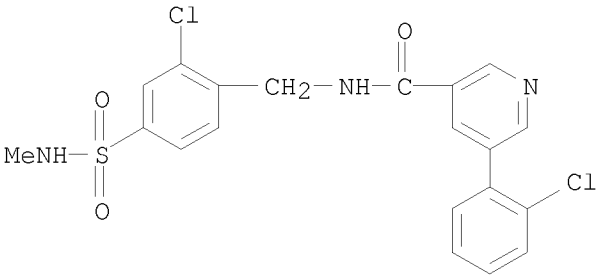
RN 947502-08-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-(4-fluorophenyl)- (CA INDEX NAME)



RN 947502-09-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-(3-fluorophenyl)- (CA INDEX NAME)



RN 947502-10-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-(2-chlorophenyl)- (CA INDEX NAME)



RN 947502-11-6 CAPLUS
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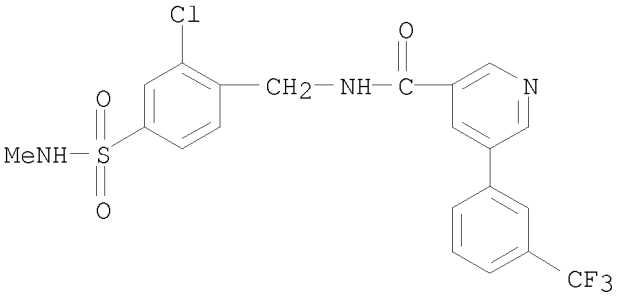
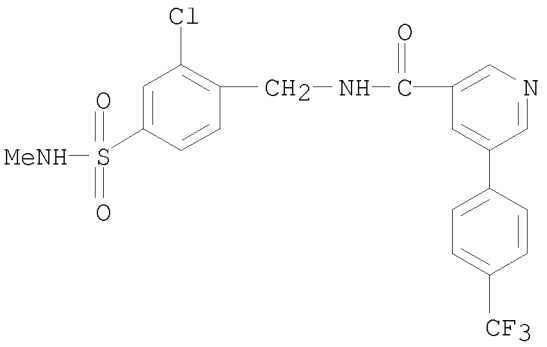
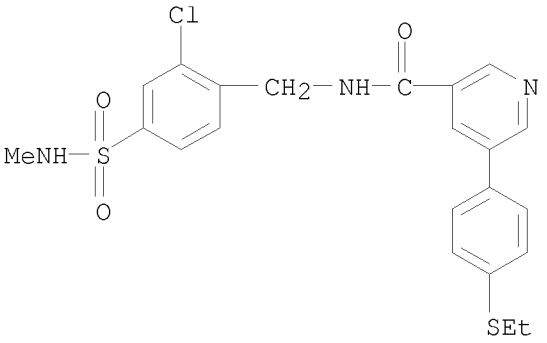


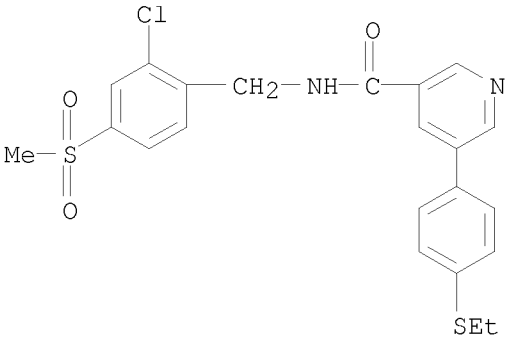
RN 947502-12-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



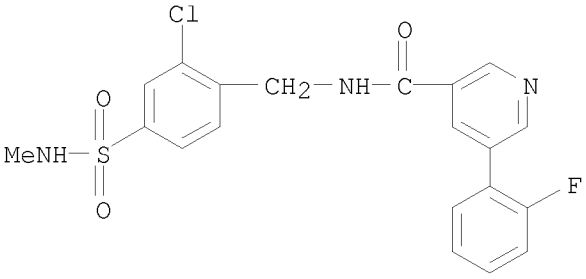
RN 947502-15-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-[4-(ethylthio)phenyl]- (CA INDEX NAME)



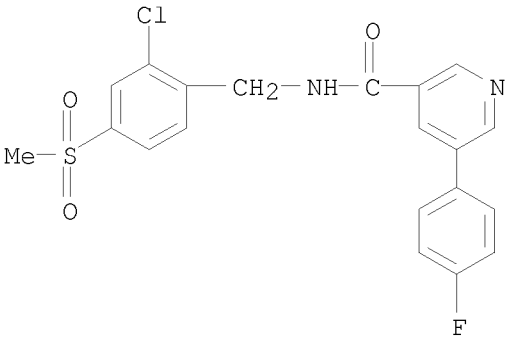
RN 947502-19-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-[4-(ethylthio)phenyl]- (CA INDEX NAME)



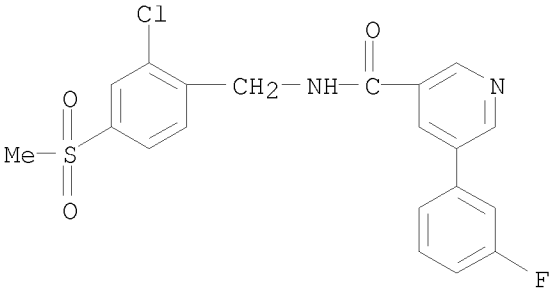
RN 947502-41-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-[(methylamino)sulfonyl]phenyl]methyl]-5-(2-fluorophenyl)- (CA INDEX NAME)



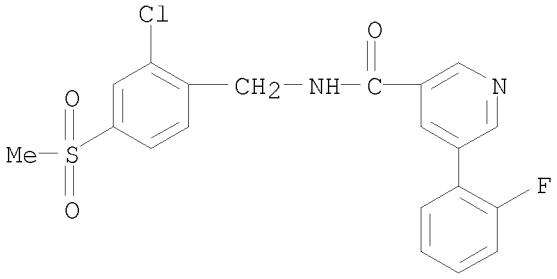
RN 947502-48-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-(4-fluorophenyl)- (CA INDEX NAME)



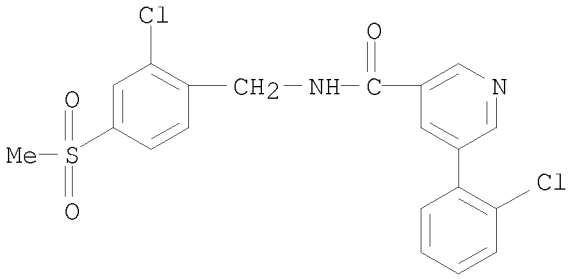
RN 947502-50-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-(3-fluorophenyl)- (CA INDEX NAME)



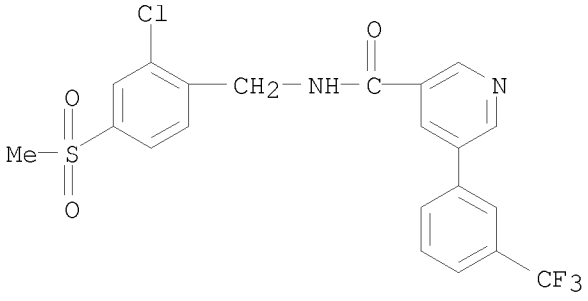
RN 947502-52-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-(2-fluorophenyl)- (CA INDEX NAME)



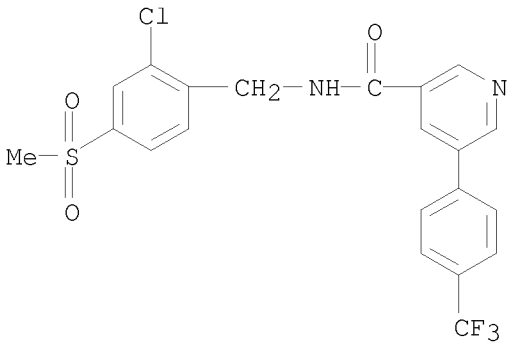
RN 947502-53-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-(2-chlorophenyl)- (CA INDEX NAME)



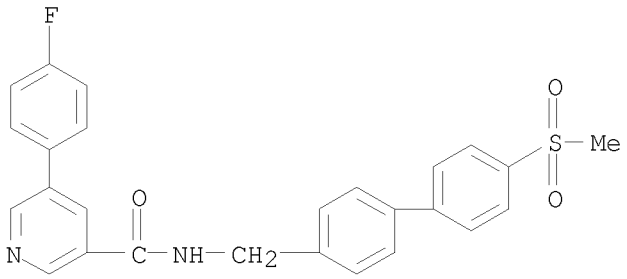
RN 947502-55-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



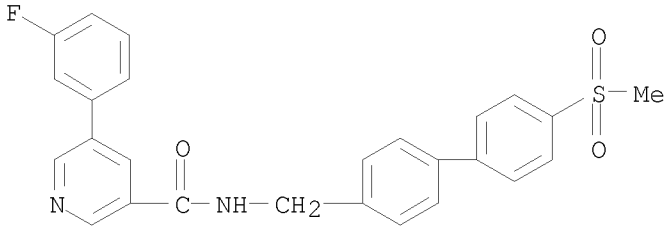
RN 947502-57-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[[2-chloro-4-(methylsulfonyl)phenyl]methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



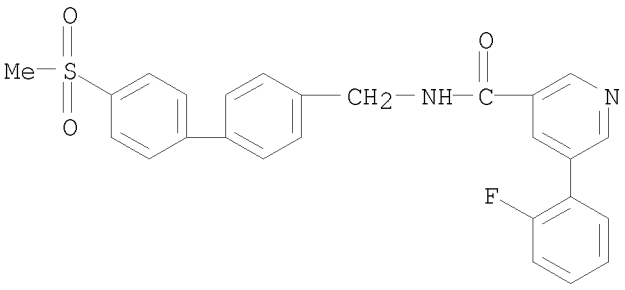
RN 947502-67-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



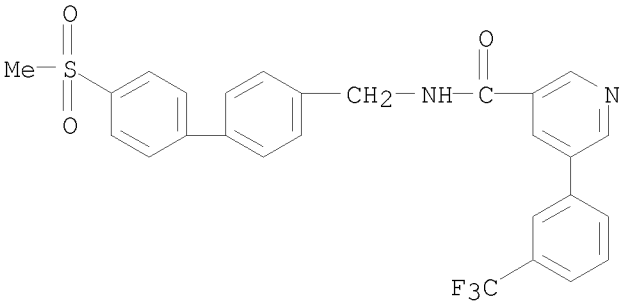
RN 947502-69-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-fluorophenyl)-N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



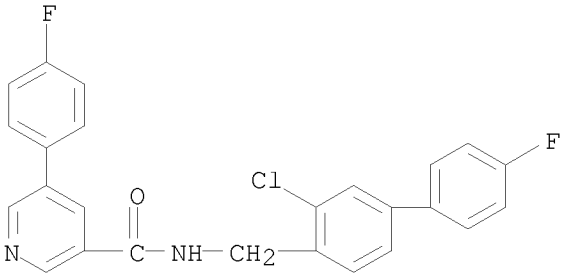
RN 947502-71-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



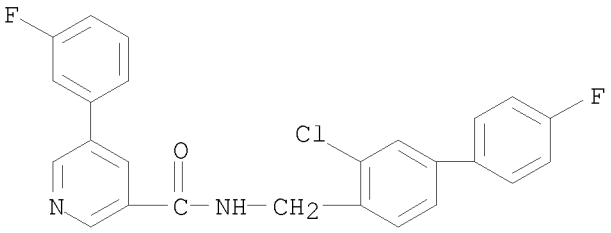
RN 947502-72-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



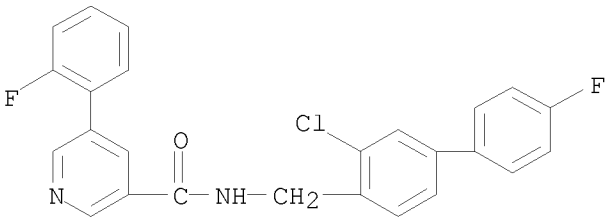
RN 947502-75-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-(4-fluorophenyl)- (CA INDEX NAME)



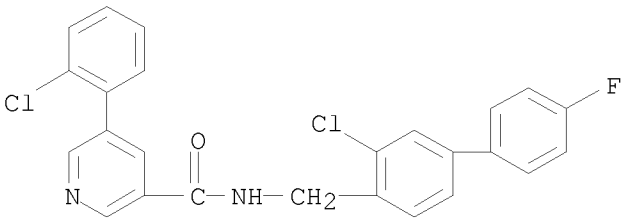
RN 947502-76-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-(3-fluorophenyl)- (CA INDEX NAME)



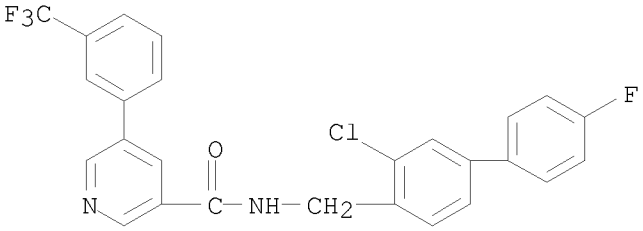
RN 947502-77-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-(2-fluorophenyl)- (CA INDEX NAME)



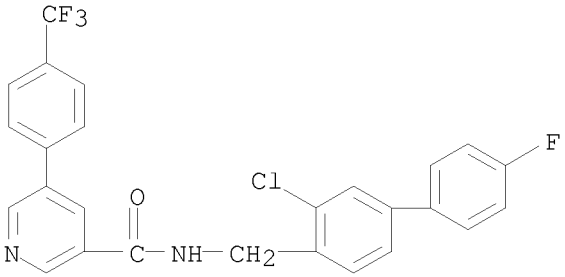
RN 947502-78-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-(2-chlorophenyl)- (CA INDEX NAME)



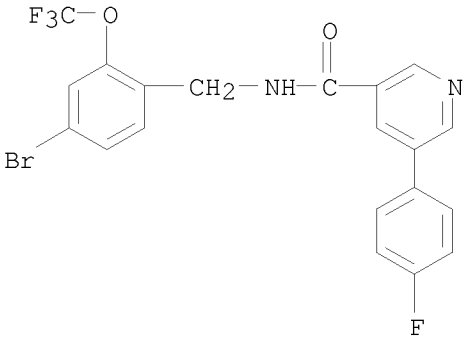
RN 947502-79-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



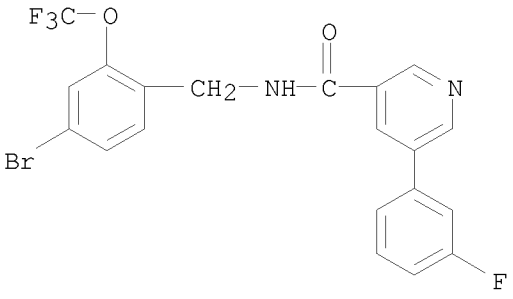
RN 947502-80-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



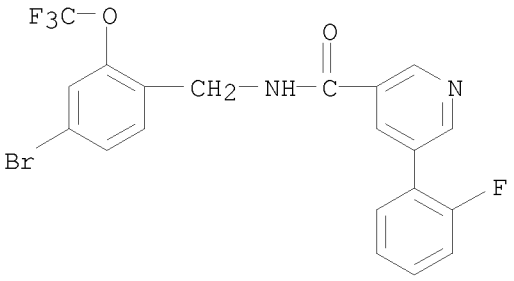
RN 947502-86-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-(4-fluorophenyl)- (CA INDEX NAME)



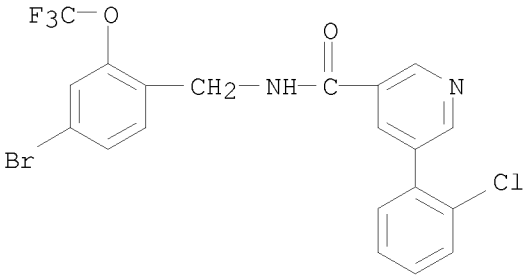
RN 947502-87-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-(3-fluorophenyl)- (CA INDEX NAME)



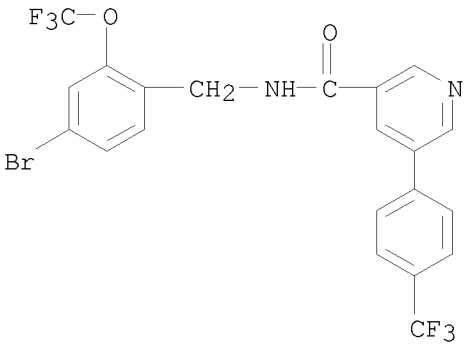
RN 947502-88-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-(2-fluorophenyl)- (CA INDEX NAME)



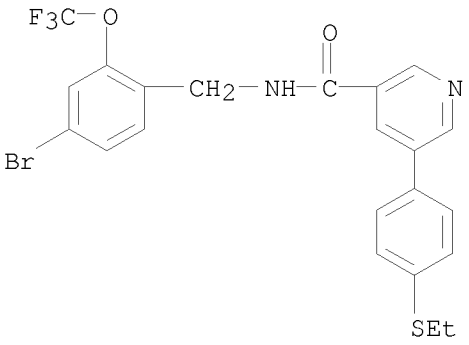
RN 947502-89-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-(2-chlorophenyl)- (CA INDEX NAME)



RN 947502-90-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 947502-93-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-bromo-2-(trifluoromethoxy)phenyl]methyl]-5-[4-(ethylthio)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:905857 CAPLUS
DOCUMENT NUMBER: 147:277452
TITLE: Anthranilamide/2-amino-heteroarenecarboxamide derivatives as CETP inhibitors and their preparation
INVENTOR(S): Conte, Aurelia; Kuehne, Holger; Luebbers, Thomas; Mattei, Patrizio; Maugeais, Cyrille; Mueller, Werner; Pflieger, Philippe
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 103pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007090752	A1	20070816	WO 2007-EP50815	20070129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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AU 2007213835	A1	20070816	AU 2007-213835	20070129
CA 2637771	A1	20070816	CA 2007-2637771	20070129
EP 1984340	A1	20081029	EP 2007-726239	20070129
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IN 2008CN04117	A	20090313	IN 2008-CN4117	20080805
KR 2008083352	A	20080917	KR 2008-719276	20080806
CN 101379036	A	20090304	CN 2007-80004778	20080807

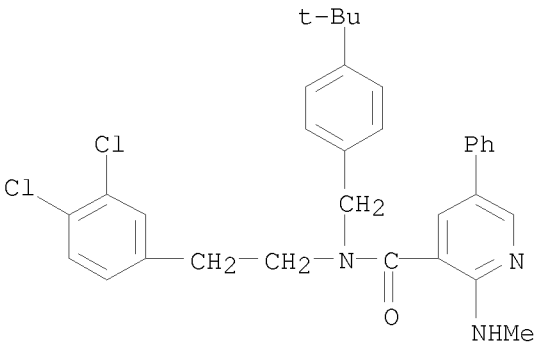
PRIORITY APPLN. INFO.: EP 2006-101366 A 20060207
WO 2007-EP50815 W 20070129
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:277452
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I processes for their preparation, their use as pharmaceuticals and to pharmaceutical compns. comprising them. Compds. of formula I wherein R1, R2, R4 and R5 are independently H, C1-6 alkyl, C1-6 alkoxy and halo; R3 is C1-6 (halo)alkyl, C3-6 cycloalkyl, Si(C1-6 alkyl)3, etc.; R2R3 taken together to form a 5- to 6-membered carbocycle and 5- to 6-membered heterocycle; R6 is H and c1-6 alkyl; R7 and R8 are independently H, C1-6 alkyl, OH and halo; R9 is H, C1-6 (halo)alkyl, C2-6 alkenyl, heterocyclyl, heteroaryl, etc.; R10 and R11 are independently H, halo, C1-6 alkyl, and acyl; A and B are independently N, CH, C-halo, C-C1-6 alkyl, C-C1-6 alkoxy, and C-C2-6 alkenyl; D is N, CH, C-halo, C-C1-6 alkyl, C-C1-6 alkoxy, C-C2-6 alkenyl and phenyl; E is N, CH, C-halo, C-C1-6 alkyl, C-C1-6 alkoxy, and C-C2-6 alkenyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amidation of 5-chloro-2-isopropylaminobenzoic acid with (4-cyclopentylbenzyl)-[2-(3-trifluoromethylphenyl)ethyl]amine. All the invention compds. were evaluated for their CETP inhibitory activity (some data given).

IT 946116-22-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of anthranilamide and aminoheteroarenecarboxamide derivs. as CETP inhibitors)

RN 946116-22-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-(3,4-dichlorophenyl)ethyl]-N-[[4-(1,1-dimethylethyl)phenyl]methyl]-2-(methylamino)-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

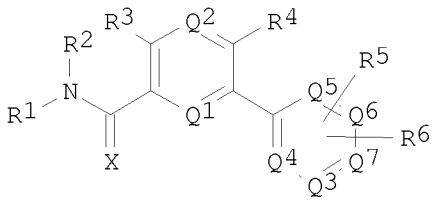
L4 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:83548 CAPLUS

DOCUMENT NUMBER: 146:184364
TITLE: Preparation of nicotinamides as inhibitors of mitotic kinesin
INVENTOR(S): Pinkerton, Anthony B.; David, Robert L.
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

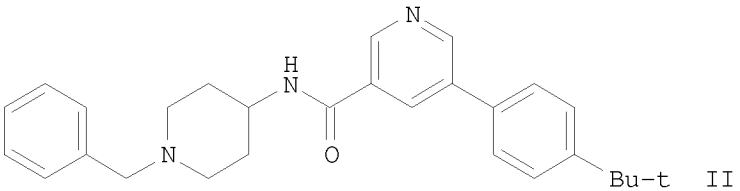
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011760	A2	20070125	WO 2006-US27450	20060713
WO 2007011760	A3	20070907		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2005-699523P P 20050715
OTHER SOURCE(S): MARPAT 146:184364
GI



I



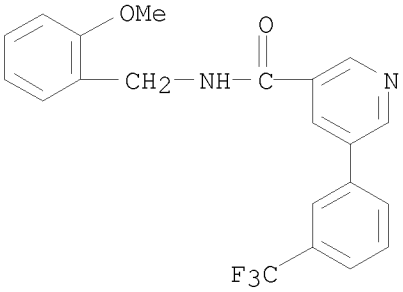
II

AB The title compds. I [R1, R2 = H, alkyl, alkoxyalkyl, etc.; or NR1R2 = (un)substituted heterocycloalkyl; R3-R7 = H, carboxy, alkoxycarbonyl, etc.; X = O or S; Q1, Q2 = CR7 and N (with the proviso that only one of Q1 and Q2 = CR7); Q3-Q7 = CR7 and N], useful as inhibitors of KSP for the treatment or prevention of cellular proliferative diseases, were prepared E.g., a 2-step synthesis of II, starting from 5-bromonicotinic acid and

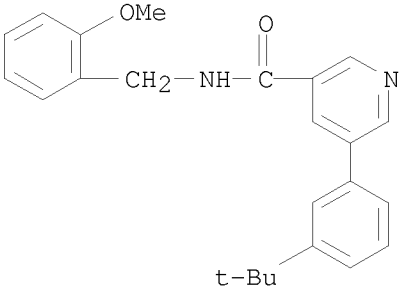
1-benzylpiperidin-4-ylamine, was given. Exemplified compds. I were tested in in vitro KSP ATP depletion assay. For example, II showed IC50 of ≤20 μM in that assay. Pharmaceutical composition comprising the compound I as well as a method of treatment of a KSP-mediated disease comprising the administration of compound I in combination with another therapeutic agents are disclosed.

IT 1057089-58-3 1057089-65-2 1057089-66-3
1057089-67-4 1057089-68-5 1057089-69-6
1057089-79-8 1057089-80-1 1057089-83-4
1057089-84-5
RL: PRPH (Prophetic)
(Preparation of nicotinamides as inhibitors of mitotic kinesin)

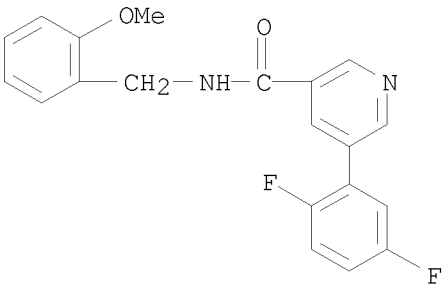
RN 1057089-58-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



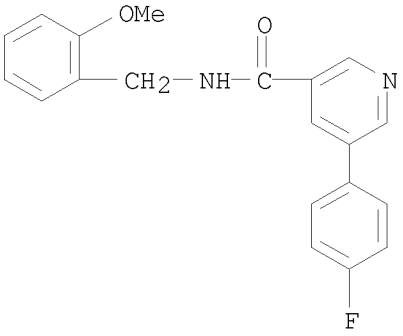
RN 1057089-65-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-[3-(1,1-dimethylethyl)phenyl]-N-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



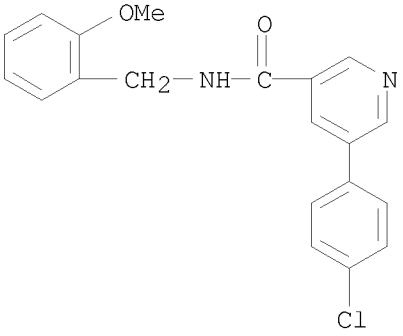
RN 1057089-66-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2,5-difluorophenyl)-N-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



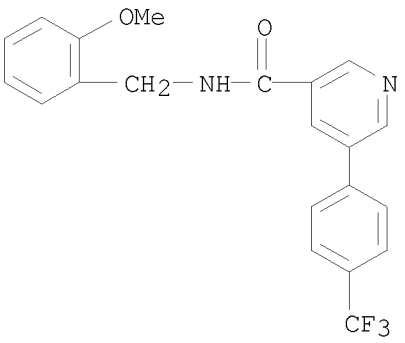
RN 1057089-67-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(2-methoxyphenyl)methyl]-
(CA INDEX NAME)



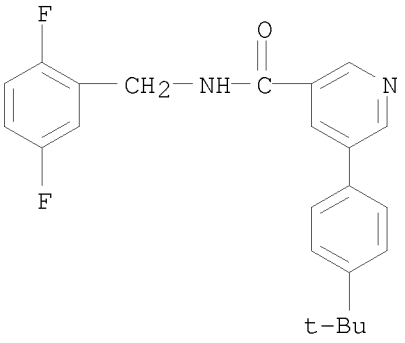
RN 1057089-68-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[(2-methoxyphenyl)methyl]-
(CA INDEX NAME)



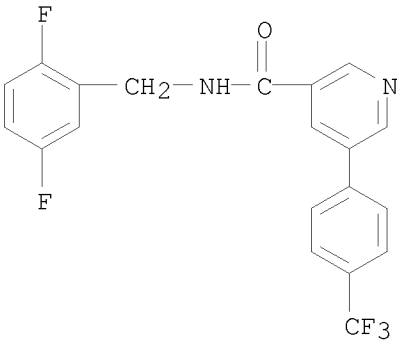
RN 1057089-69-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2-methoxyphenyl)methyl]-5-[4-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



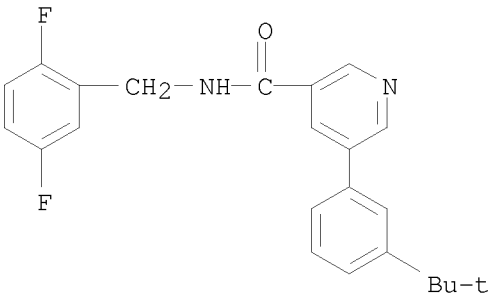
RN 1057089-79-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2,5-difluorophenyl)methyl]-5-[4-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



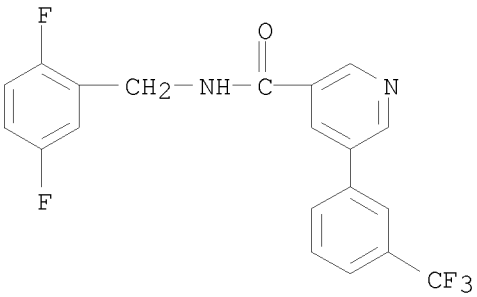
RN 1057089-80-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2,5-difluorophenyl)methyl]-5-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 1057089-83-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2,5-difluorophenyl)methyl]-5-[3-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)

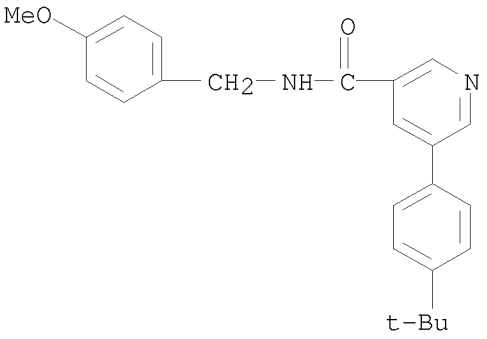


RN 1057089-84-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2,5-difluorophenyl)methyl]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

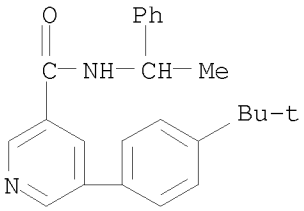


IT 921612-14-8P 921612-25-1P 921612-28-4P
921612-34-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamides as inhibitors of mitotic kinesin useful in treatment and prevention of proliferative diseases)

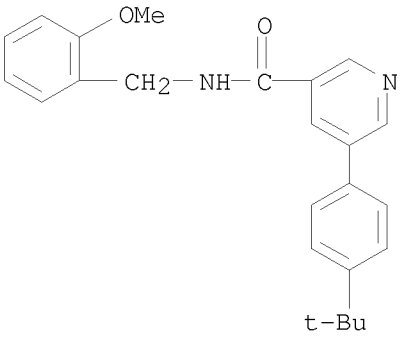
RN 921612-14-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(1,1-dimethylethyl)phenyl]-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



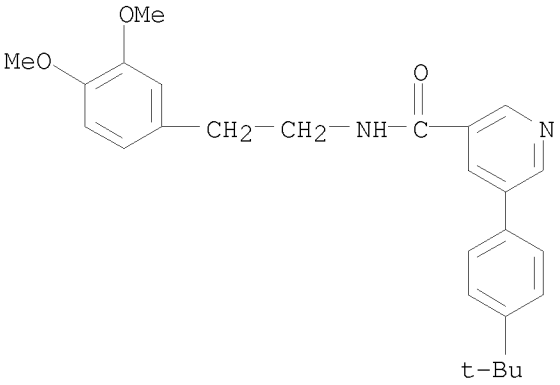
RN 921612-25-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(1,1-dimethylethyl)phenyl]-N-(1-phenylethyl)- (CA INDEX NAME)



RN 921612-28-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-[4-(1,1-dimethylethyl)phenyl]-N-[(2-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 921612-34-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-5-[4-(1,1-dimethylethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:844724 CAPLUS
DOCUMENT NUMBER: 145:271808
TITLE: Pyridyl and phenyl substituted piperazine-piperidines with CXCR3 antagonist activity and their preparation, pharmaceutical compositions and their use in the treatment of chemokine mediated diseases
INVENTOR(S): Mcguinness, Brian F.; Rosenblum, Stuart B.; Kozlowski,

Joseph A.; Anilkumar, Gopinadhan N.; Kim, Seong Heon; Shih, Neng-Yang; Jenh, Chung-Her; Zavodny, Paul J.; Hobbs, Douglas W.; Dong, Guizhen; Shao, Yuefei; Zawacki, Lisa Guise; Yang, Cangming; Carroll, Carolyn Dilanni
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Drug Discovery, Inc.
SOURCE: PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006088919	A2	20060824	WO 2006-US5265	20060214
WO 2006088919	A3	20061102		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006214378	A1	20060824	AU 2006-214378	20060214
CA 2598457	A1	20060824	CA 2006-2598457	20060214
US 20070021611	A1	20070125	US 2006-353697	20060214
EP 1856097	A2	20071121	EP 2006-735088	20060214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008530218	T	20080807	JP 2007-556253	20060214
MX 2007009946	A	20070926	MX 2007-9946	20070815
ZA 2007006793	A	20081126	ZA 2007-6793	20070815
KR 2007107056	A	20071106	KR 2007-719138	20070821
CN 101213185	A	20080702	CN 2006-80012640	20071016

PRIORITY APPLN. INFO.: US 2005-653337P P 20050216
WO 2006-US5265 W 20060214
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:271808; MARPAT 145:271808
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

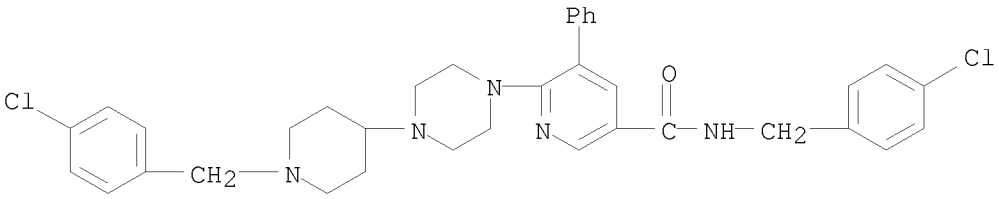
AB The present application discloses a compound, or enantiomers, stereoisomers, rotamers, tautomers, racemates or prodrug of said compound, or pharmaceutically acceptable salts, solvates or esters of said compound, or of said prodrug, said compound having the general structure shown in Formula 1: and the pharmaceutically acceptable salts, solvates and esters thereof. Also disclosed is a method of treating chemokine mediated diseases, such as, palliative therapy, curative therapy, prophylactic therapy of certain

diseases and conditions such as inflammatory diseases (non limiting example(s) include, psoriasis), autoimmune diseases (non limiting example(s) include, rheumatoid arthritis, multiple sclerosis), graft rejection (non limiting example(s) include, allograft rejection, xenograft rejection), infectious diseases (e.g , tuberculoid leprosy), fixed drug eruptions, cutaneous delayed-type hypersensitivity responses, ophthalmic inflammation, type I diabetes, viral meningitis and tumors using a compound of Formula 1. The present application discloses a compound, or enantiomers, stereoisomers, rotamers, tautomers, racemates or prodrug of said compound, or pharmaceutically acceptable salts, solvates or esters of said compound, or of said prodrug, said compound having the general structure shown in formula I. Compds. of formula I wherein Z is N, CR29, NO, or NOH; X is N, O, alkyl, cycloalkyl, heteroaryl, heterocyclyl or heterocyclenyl; R1 and R2 are independently absent, or H, alkyl, alkoxy, alkenyl, carbonyl, cycloalkyl, cycloalkenyl, alkylaryl, arylalkyl, aryl, amino, alkylamino, amidinyl, carboxamido, CN, OH, urea, etc.; R3, R4, R6, R29 are independently H , alkyl, alkylaryl, aralkyl, CN, CF3, haloalkyl, cycloalkyl, halo, hydroxyalkyl, etc.; R7 and R8 are independently H, alkyl, alkylaryl, heteroaryl, OH, CN, alkoxy, alkylamino, NHSO2 alkyl, NHCONH alkyl, or R7R8 taken together is O, S, NH, N(alkyl), N(O alkyl), NOH, or cycloalkyl; R10 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclenyl, heterocyclyl, alkylaryl, arylalkyl, CO2H, hydroxyalkyl, etc.; R11 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclyl, heterocyclenyl, alkylaryl, arylalkyl, hydroxyalkyl, carboxamide, CO2H, etc.; R12 is H, alkyl, CN, CONH2 and derivs., C1-5 alkyl-OH, alkyl ether, etc.; D is 5- to 9-membered cycloalkyl, cycloalkenyl, (hetero)aryl, heterocyclenyl, or heterocyclyl; Y is (un)substituted alkyl, (un)substituted alkyl carbonyl, (un)substituted alkoxy, carbonyl, C-NH and derivs., etc.; m and n are independently 1 to 4; and their pharmaceutically acceptable salts, solvates and esters are claimed. Also disclosed is a method of treating chemokine mediated diseases, such as, palliative therapy, curative therapy, prophylactic therapy of certain diseases and conditions such as inflammatory diseases (non limiting example(s) include, psoriasis), autoimmune diseases (non limiting example(s) include, rheumatoid arthritis, multiple sclerosis), graft rejection (non limiting example(s) include, allograft rejection, xenograft rejection), infectious diseases (e.g , tuberculoid leprosy), fixed drug eruptions, cutaneous delayed-type hypersensitivity responses, ophthalmic inflammation, type I diabetes, viral meningitis and tumors using a compound of formula I. Example compound II was prepared by amidation of 5,6-dichloronicotinic acid with ethylamine; the resulting amide underwent amination with 1-Boc-2(S)-ethyl-5(R)-methylpiperazine to give the 6-piperazinylnicotinamide derivative, which underwent hydrolysis followed by reductive amination with 1-(4-chlorobenzyl)-4-piperidinone to give compound II. All the invention compds. were evaluated for their CXCR3 antagonistic activity. From the assay it was determined that most of the tested compds. exhibited CXCR3 antagonistic activity. Compound II exhibited an IC50 value of less than 25 nM, and compound II exhibited an IC50 value of 0.2 nM.

IT 906559-64-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyridyl and Ph substituted piperazine-piperidines with CXCR3 antagonist activity useful in treatment of diseases)

RN 906559-64-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[(4-chlorophenyl)methyl]-6-[4-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]-1-piperazinyl]-5-phenyl- (CA INDEX NAME)

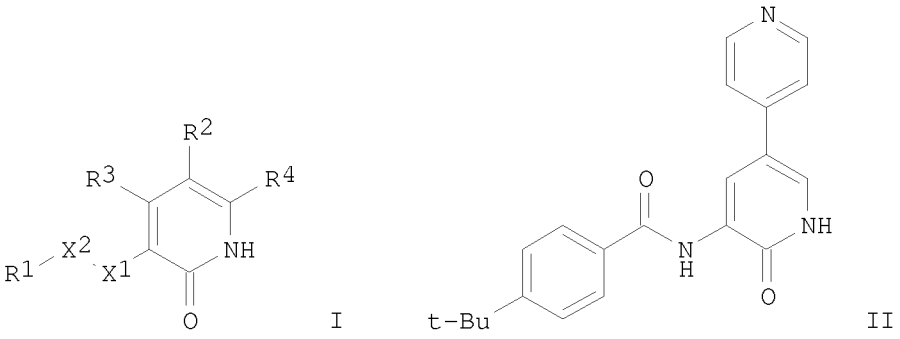


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:608560 CAPLUS
DOCUMENT NUMBER: 145:83228
TITLE: Preparation of pyrid-2-ones useful as inhibitors of Tec family protein kinases for the treatment of inflammatory, proliferative and immunologically-mediated diseases
INVENTOR(S): Charrier, Jean-Damien; Durrant, Steven; Ramaya, Sharn; Jimenez, Juan-Miguel; Rutherford, Alistair
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065946	A1	20060622	WO 2005-US45336	20051215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005316540	A1	20060622	AU 2005-316540	20051215
CA 2591413	A1	20060622	CA 2005-2591413	20051215
US 20060183911	A1	20060817	US 2005-304057	20051215
EP 1831168	A1	20070912	EP 2005-854119	20051215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008524233	T	20080710	JP 2007-546878	20051215
ZA 2007004971	A	20080925	ZA 2007-4971	20051215
MX 2007007330	A	20071004	MX 2007-7330	20070618
IN 2007KN02260	A	20070817	IN 2007-KN2260	20070619
NO 2007003628	A	20070716	NO 2007-3628	20070716
KR 2007095952	A	20071001	KR 2007-716337	20070716
CN 101111479	A	20080123	CN 2005-80047554	20070731
JP 2009062391	A	20090326	JP 2008-287171	20081107

PRIORITY APPLN. INFO.: US 2004-636754P P 20041216
US 2005-673870P P 20050422
JP 2007-546878 A3 20051215
WO 2005-US45336 W 20051215
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 145:83228; MARPAT 145:83228
GI

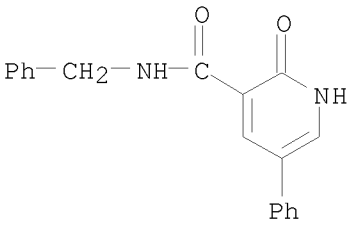


AB The title compds. I [R3, R4 = H, halo or alkyl optionally substituted with halo, alkyl, OCH3, NO2, NH2, CN, NHCH3, SCH3, or N(CH)2; R2 = 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S; X1, X2 = C(O), NR, or SO2 (wherein one of X1 or X2 = NR and other of X1 or X2 = C(O) or SO2); R1 = TQ (T = a bond or alkylene wherein up tp 3 methylene units are optionally replaced by O, S, CS, etc.; Q = H, alkyl, 3-8 membered saturated, partially unsatd., or fully unsatd. monocyclic ring having 0-3 heteroatoms independently selected from N, O, or S, or 8-12 membered saturated, partially unsatd., or fully unsatd. bicyclic ring system having 0-5 heteroatoms independently selected from N, O, or S)] which are effective as inhibitors of Tec family (e.g., Tec, Btk, Itk/Emt/Tsk, Bmx, Txk/Rlk) protein kinases, were prepared Thus, reacting amrinone with 4-tert-butylbenzoyl chloride afforded 9% II which showed Ki between 0.1 μM and 1 μM against ITK. The compds. I and their pharmaceutically acceptable compns. are useful for treating or preventing a variety of diseases, disorders or conditions, including, but not limited to, an autoimmune, inflammatory, proliferative, or hyperproliferative disease or an immunol.-mediated disease.

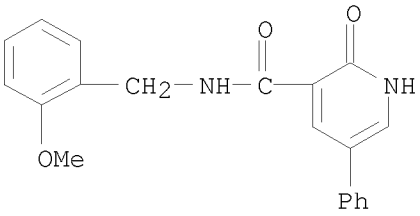
IT 893439-39-9P 893439-63-9P 893439-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridones as inhibitors of Tec family protein kinases useful for treating and preventing inflammatory, proliferative, hyperproliferative, autoimmune or immunol.-mediated disease)

RN 893439-39-9 CAPLUS

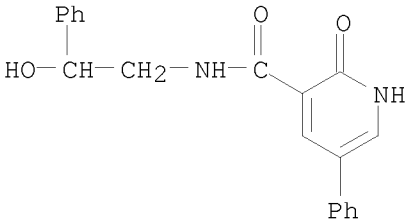
CN 3-Pyridinecarboxamide, 1,2-dihydro-2-oxo-5-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 893439-63-9 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-N-[(2-methoxyphenyl)methyl]-2-oxo-5-phenyl- (CA INDEX NAME)



RN 893439-99-1 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-N-(2-hydroxy-2-phenylethyl)-2-oxo-5-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:141021 CAPLUS
DOCUMENT NUMBER: 142:261788
TITLE: Preparation of aryl and heteroaryl amino acid derivatives as antagonists of factor IX and/or factor XI
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo, Xiao-Chuan; Christen, Daniel Peter; Gohimmukkula, Devi Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi, Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014533	A2	20050217	WO 2004-US25463	20040806
WO 2005014533	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004263508	A1	20050217	AU 2004-263508	20040806
CA 2531796	A1	20050217	CA 2004-2531796	20040806
US 20050049310	A1	20050303	US 2004-913882	20040806
US 7501538	B2	20090310		
US 20050059713	A1	20050317	US 2004-913216	20040806
US 7459472	B2	20081202		
EP 1660439	A2	20060531	EP 2004-780318	20040806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1832920	A	20060913	CN 2004-80022750	20040806
JP 2007501844	T	20070201	JP 2006-523245	20040806
IN 2006KN00514	A	20081205	IN 2006-KN514	20060306
PRIORITY APPLN. INFO.:			US 2003-493878P P	20030808
			US 2003-493879P P	20030808
			US 2003-493903P P	20030808
			WO 2004-US25463 W	20040806

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:261788; MARPAT 142:261788

AB The invention relates to aryl and heteroaryl compds. Ar2-K [Ar2 is (un)substituted aryl, heteroaryl, fused cycloalkylaryl, fused cycloalkylheteroaryl, fused heterocyclylaryl or fused heterocyclylheteroaryl; K is a carbamoyl group of defined structure or Ar1-V-CH[(CH2)0-2-G]-X-, where G is H, CO2R1, CH2OR1, COR1, CR1:NOR2, CONR1R2, CONHNH2 or an acid or ester isostere and R1, R2 independently are H, alkyl, alkoxy, aryl, alkylaminoacyl, etc. or may combine to form a ring; V is (CH2)1-2-S-(CH2)0-2, (CH2)1-2-S, S-(CH2)0-2 (or corresponding sulfonyl derivs.), (CH2)1-2-O-(CH2)0-2, (CH2)1-2-NR7-(CH2)0-2, (CH2)1-2-O or a direct bond, where R7 is H, alkyl, aryl, etc. (the CH2 or CH2CH2 groups may be substituted); X is NR8, CONR8, NR8CO, NR8CONR9, O2CNR8, SO2NR8 or NR8SO2NR9, where R8, R9 are independently H, alkyl, aryl, etc.; Ar1 is a group as defined for Ar2] and their pharmaceutical compns. Compds. Ar2-K may be antagonists or partial antagonist of factor IX and/or factor XI and thus may be useful for inhibiting the intrinsic pathway of blood coagulation. Applications include the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway. Thus, (25)-[5-bromo-2-(4-trifluoromethylbenzyloxy)benzoylamino]-3-(2'-phenoxybiphenyl-4-yl)propionic acid, prepared by amidation and O-benzylation reactions, inhibited factor IX or factor XI in the in vitro clotting assay with IC50 < 30 micromolar.

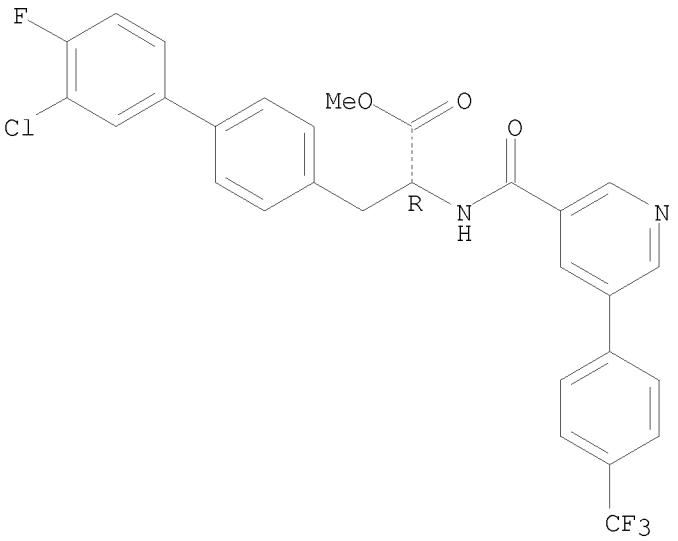
IT 845677-64-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl and heteroaryl amino acid derivs. as antagonists of factor IX and/or factor XI)

RN 845677-64-7 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, 3'-chloro-4'-fluoro-α-[[[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]carbonyl]amino]-, methyl ester, (αR)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:607 CAPLUS

DOCUMENT NUMBER: 142:93690

TITLE: Preparation of diphenylpyridine derivatives as antagonists of CB1 cannabinoid receptors and their therapeutic application

INVENTOR(S): Barth, Francis; Hortala, Laurent; Rinaldi, Carmona Murielle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: Fr. Demande, 31 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2856684	A1	20041231	FR 2003-7757	20030626
FR 2856684	B1	20080411		
AU 2004251914	A1	20050106	AU 2004-251914	20040624
CA 2528619	A1	20050106	CA 2004-2528619	20040624
WO 2005000817	A2	20050106	WO 2004-FR1581	20040624
WO 2005000817	A3	20050317		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1641758	A2	20060405	EP 2004-767437		20040624
EP 1641758	B1	20081029			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004011762	A	20060808	BR 2004-11762		20040624
CN 1832945	A	20060913	CN 2004-80022485		20040624
JP 2007514638	T	20070607	JP 2006-516318		20040624
AT 412635	T	20081115	AT 2004-767437		20040624
MX 2005014222	A	20060313	MX 2005-14222		20051221
US 20060189664	A1	20060824	US 2005-316510		20051222
US 7345059	B2	20080318			
IN 2005KN02712	A	20061201	IN 2005-KN2712		20051226
PRIORITY APPLN. INFO.:			FR 2003-7757	A	20030626
			WO 2004-FR1581	W	20040624

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 142:93690
GI

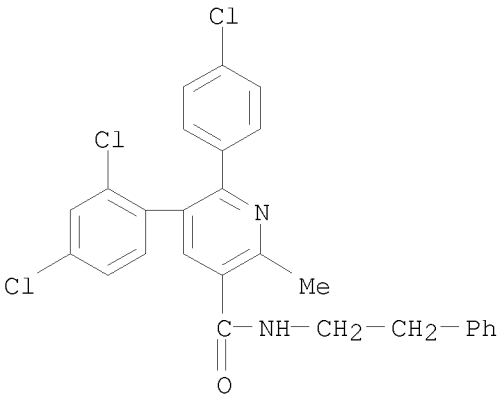
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = H, alkyl; R2 = alkyl, NH-alkyl and derivs., (un)substituted indan-1-yl, 1,2,3,4-tetrahydronaphthalen-1-yl, saturated mononitrogen- or monooxygen-containing heterocyclyl, etc.; or R1NR2 = mono- or disubstituted piperazin-1-yl in 4-position; R3, R4, R5, R6, R7, R8 = independently H, halo, alkyl, alkoxy, CF3; R9 = H, alkyl, CN, CH2OH, CH2O-alkyl; their free bases or acid addition salts, and their hydrates or solvates] were prepared as antagonists of CB1 cannabinoid receptors and for treatment of the diseases it implies. For instance, II (m.p. = 185°) was prepared by treating 5-(2,4-dichlorophenyl)-6-(4-chlorophenyl)-2-methylpyridine-3-carboxylic acid (preparation given) with SOCl2 at reflux for 2 h, followed by TEA-amidation with tert-butylamine in DCM. I exhibited an excellent affinity in vitro (IC50 ≤ 10-7 M) for the CB1 cannabinoid receptors. Thus, I are useful for treating psychosis, appetite and gastrointestinal disorders, smoking and alc. cessation, etc.

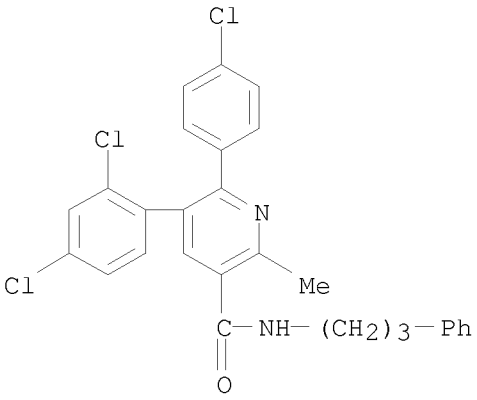
IT 817553-38-1P 817553-44-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CB1 cannabinoid; preparation of diphenylpyridine derivs. as antagonists of CB1 cannabinoid receptors)

RN 817553-38-1 CAPLUS

CN 3-Pyridinecarboxamide, 6-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



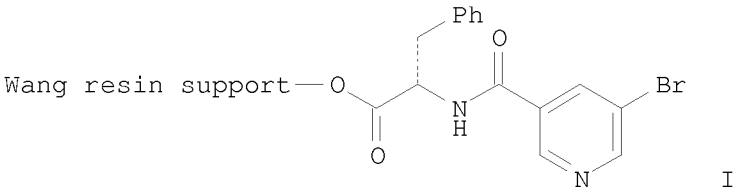
RN 817553-44-9 CAPLUS
CN 3-Pyridinecarboxamide, 6-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



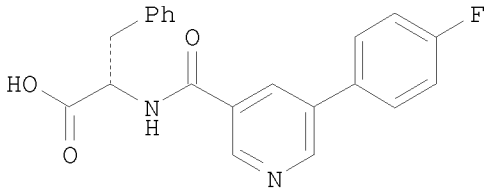
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:1156027 CAPLUS
DOCUMENT NUMBER: 142:219126
TITLE: Suzuki coupling reaction for the solid-phase preparation of 5-substituted nicotinic acid derivatives
AUTHOR(S): Fernandez, Joan-Carles; Sole-Feu, Laia; Fernandez-Forner, Dolors; de la Figuera, Natalia; Forns, Pilar; Albericio, Fernando
CORPORATE SOURCE: Almirall Prodesfarma-Barcelona Science Park Unit, Barcelona, 08028, Spain
SOURCE: Tetrahedron Letters (2005), 46(4), 581-585
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:219126

GI



I



II

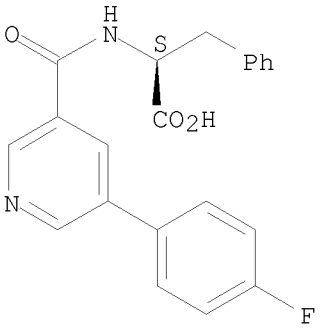
AB The application of the Suzuki coupling reaction to the preparation of small combinatorial libraries using 5-(bromo)nicotinic acid as a scaffold onto three different types of solid support (Wang, Rink, and BAL resin) is described. For example, the Suzuki coupling of Wang resin-bound N-[(5-bromo-3-pyridinyl)carbonyl]-L-phenylalanine (I) with (4-fluorophenyl)boronic acid gave N-[[5-(4-fluorophenyl)-3-pyridinyl]carbonyl]-L-phenylalanine (II), after cleavage from the supporting resin.

IT 842170-46-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-[(phenyl)pyridinyl]carbonyl]phenylalanine by Suzuki coupling using Wang resin-bound N-[[(bromo)pyridinyl]carbonyl]phenylalanine and [(fluoro)phenyl]boronic acid derivative as starting materials)

RN 842170-46-1 CAPLUS

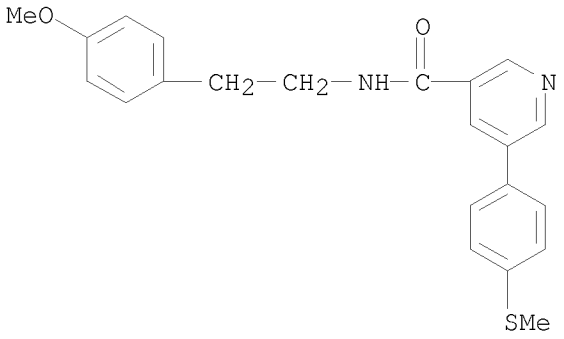
CN L-Phenylalanine, N-[[5-(4-fluorophenyl)-3-pyridinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 842170-49-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-[[(methoxy)phenyl]ethyl][[(methyl)thio]phenyl]pyridinecarboxamide by Suzuki coupling using BAL resin-bound (bromo)nicotinamide and (aryl)boronic acid derivative as reactants)

RN 842170-49-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-5-[4-(methylthio)phenyl]- (CA INDEX NAME)



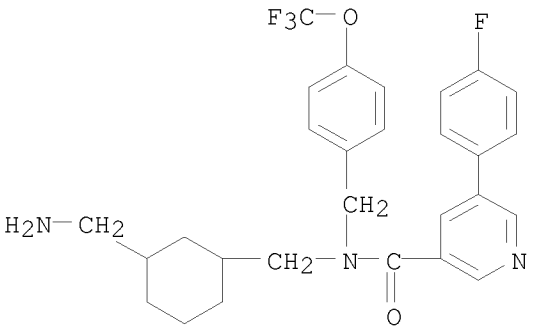
OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:997837 CAPLUS
DOCUMENT NUMBER: 142:212159
TITLE: High throughput screening of β-amyloid secretion inhibitors using homogeneous time-resolved fluorescence
AUTHOR(S): Albrecht, Hugo; Zbinden, Peter; Rizzi, Andrea; Villetti, Gino; Riccardi, Benedetta; Puccini, Paola; Catinella, Silvia; Imbimbo, Bruno P.
CORPORATE SOURCE: Integrated Drug Discovery Division, Discovery Partners International, Allschwil, CH-4123/1, Switz.
SOURCE: Combinatorial Chemistry and High Throughput Screening (2004), 7(8), 745-756
CODEN: CCHSFU; ISSN: 1386-2073
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A cell-based assay using homogeneous time-resolved fluorescence has been developed for high throughput screening of putative β-amyloid (Aβ)production inhibitors. In this assay, total Aβ is detected by simply adding two com. available antibody complexes. The first was a biotinylated monoclonal antibody (4G8), specifically recognizing an epitope comprising the residues 17-24 of the Aβ peptide, complexed with europium cryptate-streptavidin conjugate. The second was a polyclonal antibody (BioS-N), raised against the N-terminus of the Aβ peptide, complexed with an allophycocyanin-anti rabbit antibody conjugate. Binding of the two complexes to the Aβ peptide brought europium cryptate (fluorescence donor) and allophycocyanin (fluorescence acceptor) into close proximity, consequently a fluorescent resonance energy transfer signal was produced upon excitation at 337 nm. The resulting fluorescence signal (665 nm) was then detected using a Discovery or a ViewLux reader. Detection of Aβ by the proposed method is possible at concns. of approx. 1 nM. The method was employed for the detection of Aβ secreted from a stable transfected human neuroglioma cell line (H4) overexpressing a mutated form of the human amyloid precursor protein (APP695NL) and developed for robotic automation. At optimized conditions,

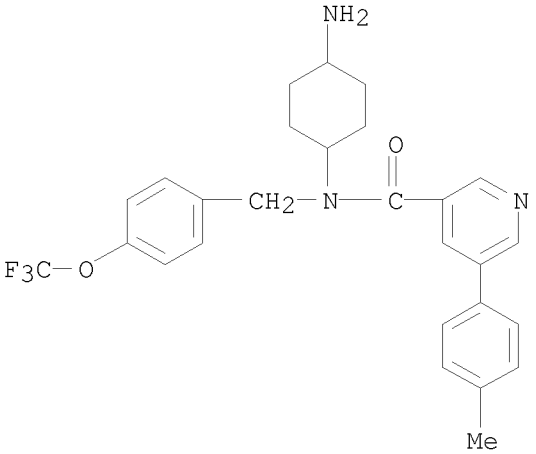
signal-to-background ratios exceeding 5 and Z' factors around 0.7 were achieved in a 384-well format. High throughput screening of 56,913 potential Aβ production inhibitors led to identification of new non-cytotoxic and cell permeable compds. with potencies in the submicromolar range.

IT 840530-44-1 840530-45-2 840530-46-3
840530-47-4 840530-48-5 840530-49-6
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(high throughput screening of β-amyloid secretion inhibitors using homogeneous time-resolved fluorescence)

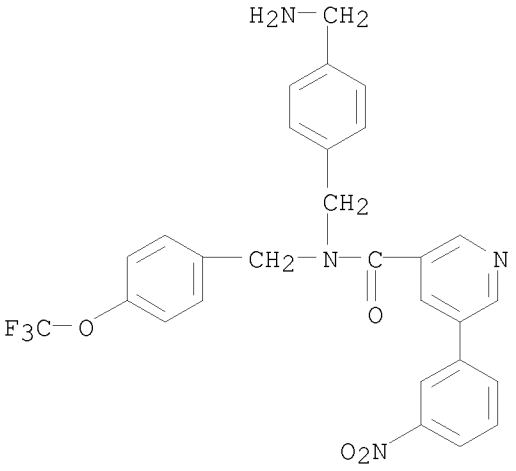
RN 840530-44-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-(aminomethyl)cyclohexyl]methyl]-5-(4-fluorophenyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



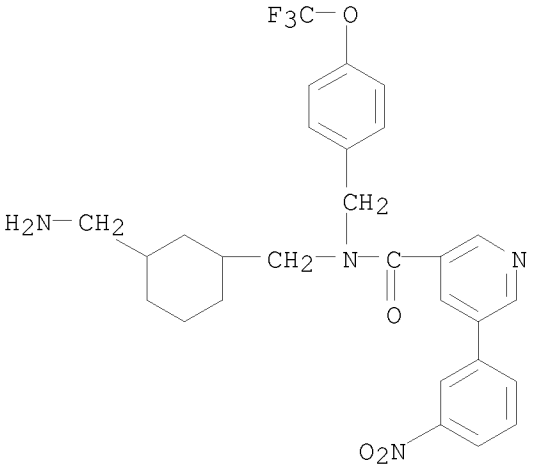
RN 840530-45-2 CAPLUS
CN 3-Pyridinecarboxamide, N-(4-aminocyclohexyl)-5-(4-methylphenyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



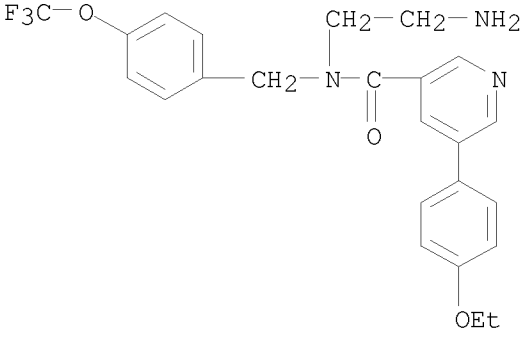
RN 840530-46-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-(aminomethyl)phenyl]methyl]-5-(3-nitrophenyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



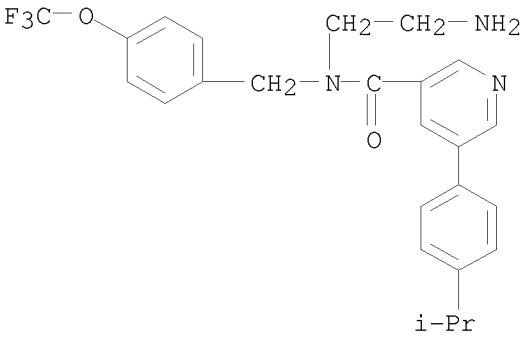
RN 840530-47-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[3-(aminomethyl)cyclohexyl]methyl]-5-(3-nitrophenyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



RN 840530-48-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-5-(4-ethoxyphenyl)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



RN 840530-49-6 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-aminoethyl)-5-[4-(1-methylethyl)phenyl]-N-[[4-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)



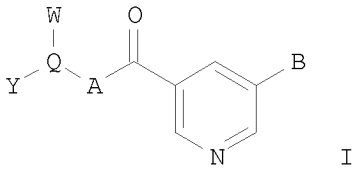
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:534176 CAPLUS
DOCUMENT NUMBER: 141:89017
TITLE: A preparation of nicotinamide-based tyrosine kinase inhibitors
INVENTOR(S): Burns, Christopher John; Kling, Marcel Robert
PATENT ASSIGNEE(S): Cytopia Pty. Ltd., Australia
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054977	A1	20040701	WO 2003-AU1666	20031215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508171	A1	20040701	CA 2003-2508171	20031215
AU 2003291839	A1	20040709	AU 2003-291839	20031215
AU 2003291839	B2	20090122		
EP 1569907	A1	20050907	EP 2003-767297	20031215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006510737	T	20060330	JP 2005-502389	20031215
US 20070060619	A1	20070315	US 2006-537719	20061011

PRIORITY APPLN. INFO.:
AU 2002-953330 A 20021213
AU 2002-953385 A 20021217

US 2003-483400P P 20030626
WO 2003-AU1666 W 20031215
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:89017
GI



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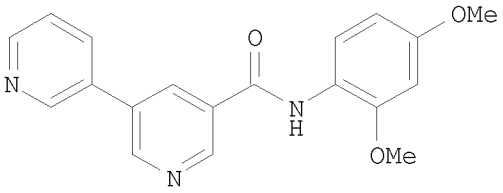

II

AB The invention relates to a preparation of nicotinamide derivs. of formula I [wherein: A is O, S, NH, or N-C1-4alkyl; B is (un)substituted (hetero)aryl; Q is a bond or C1-4alkyl; W is H, (un)substituted C1-4alkyl or C2-6alkenyl; Y is H or (un)substituted (hetero)aryl], useful as kinase inhibitors. Compds. of formula I are useful in the treatment of tyrosine kinase-associated diseases such as carcinoma, cancer, and Alzheimer disease. For instance, pyridineamide derivative II at a concentration of 10 μM inhibited 50% or greater of jak2, jak3, and fms enzyme activities.

IT 713520-01-5P 713520-19-5P 713520-29-7P
713520-36-6P 713520-43-5P 713520-93-5P
713521-06-3P 713521-13-2P 713521-36-9P
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713521-90-5P 713521-93-8P 713522-03-3P
713522-10-2P 713522-13-5P 713522-24-8P
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713522-88-4P 713522-91-9P 713522-93-1P
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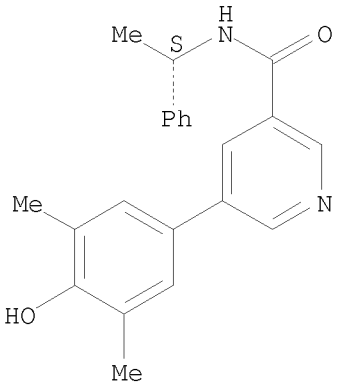
713523-45-6P 713523-48-9P 713523-50-3P
713523-51-4P 713523-52-5P 713523-53-6P
713523-57-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nicotinamide-based kinase inhibitors)

RN 713520-01-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxy-3,5-dimethylphenyl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 713520-19-5 CAPLUS
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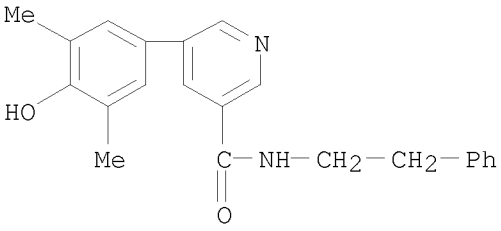

RN 713520-29-7 CAPLUS
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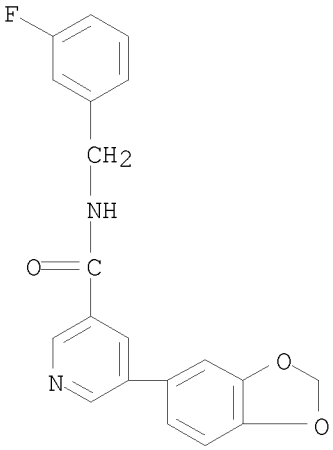

RN 713520-36-6 CAPLUS
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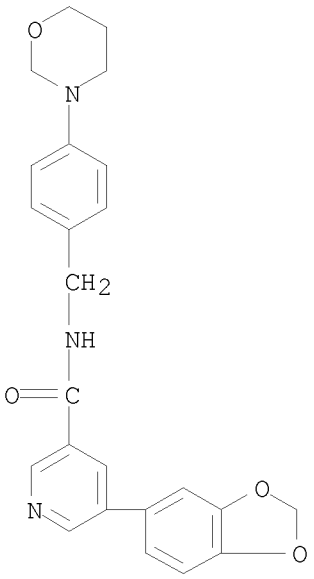

RN 713520-43-5 CAPLUS
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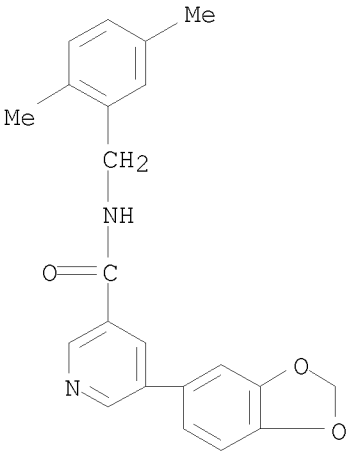

RN 713520-93-5 CAPLUS
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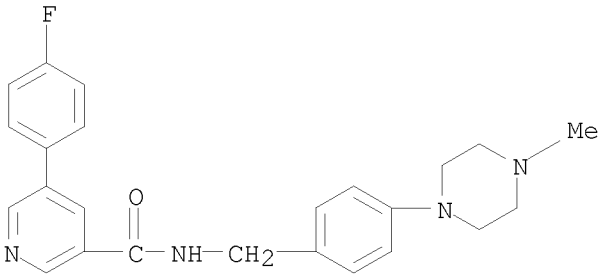

RN 713521-06-3 CAPLUS
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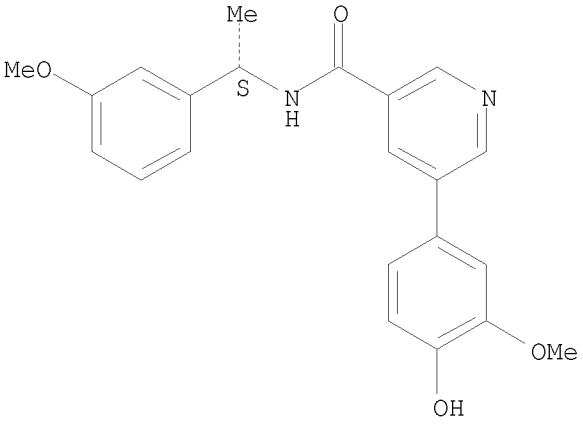
Absolute stereochemistry.



RN 713521-13-2 CAPLUS
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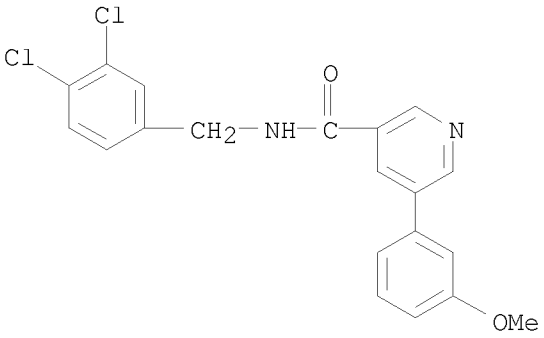
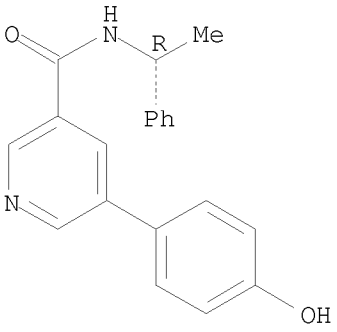


RN 713521-36-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxyphenyl)-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 713521-39-2 CAPLUS
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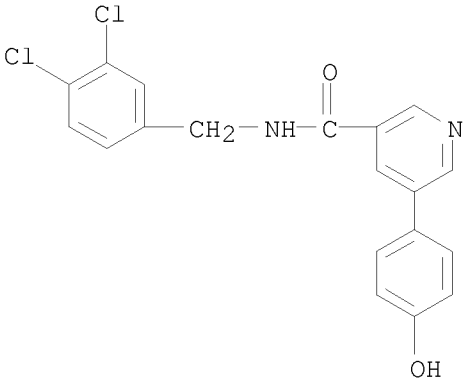
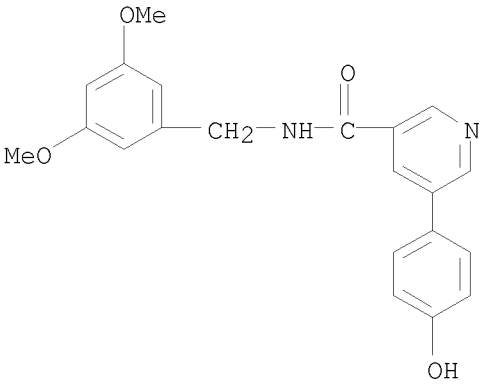
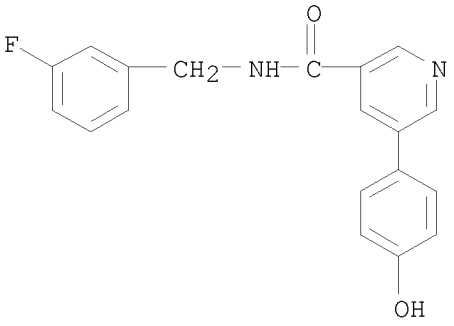
(CA INDEX NAME)



RN 713521-44-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-5-(4-hydroxyphenyl)-
(CA INDEX NAME)



RN 713521-49-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3-fluorophenyl)methyl]-5-(4-hydroxyphenyl)-
(CA INDEX NAME)



RN 713521-62-1 CAPLUS
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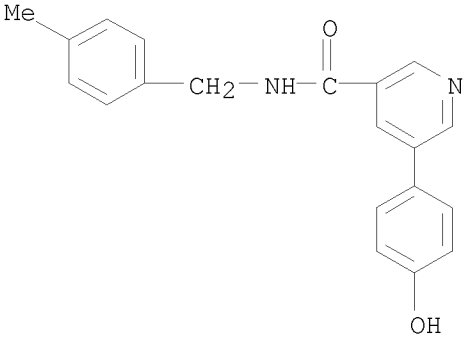

RN 713521-67-6 CAPLUS
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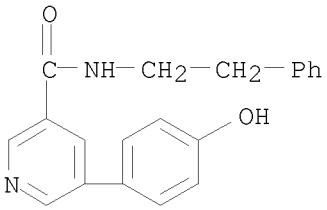

RN 713521-81-4 CAPLUS
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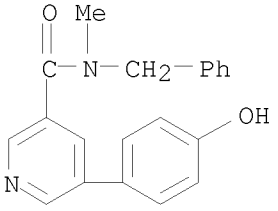

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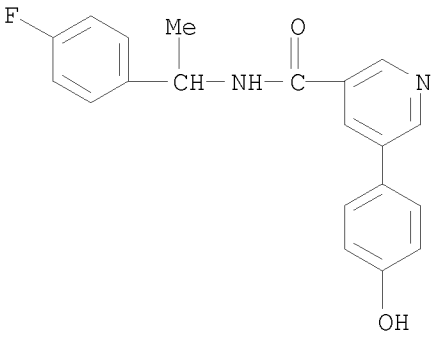
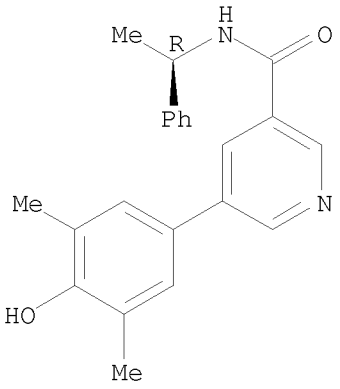
CN 3-Pyridinecarboxamide, N-[1-(4-fluorophenyl)ethyl]-5-(4-hydroxyphenyl)-
(CA INDEX NAME)



RN 713521-93-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-hydroxy-3,5-dimethylphenyl)-N-[(1R)-1-
phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 713522-03-3 CAPLUS
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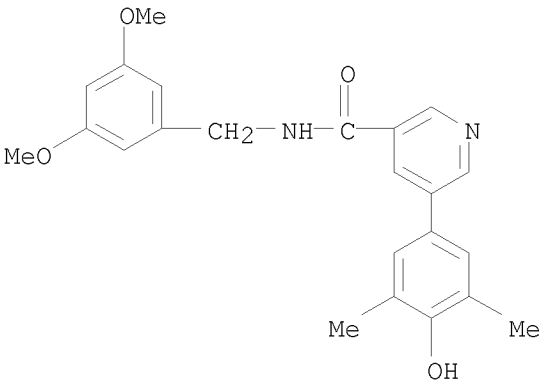
dimethylphenyl)- (CA INDEX NAME)



RN 713522-10-2 CAPLUS
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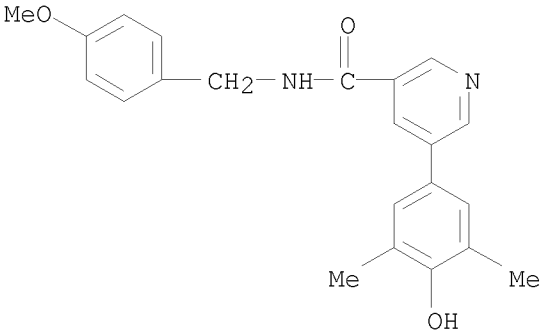

RN 713522-13-5 CAPLUS
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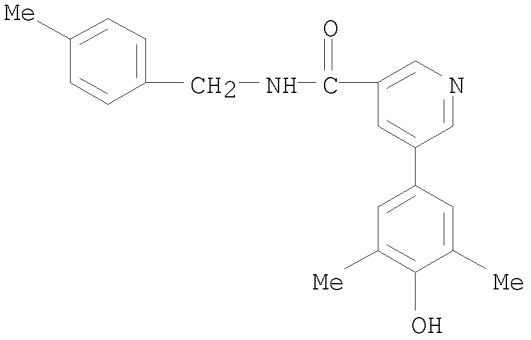

RN 713522-24-8 CAPLUS
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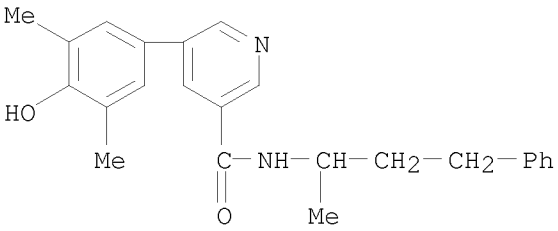
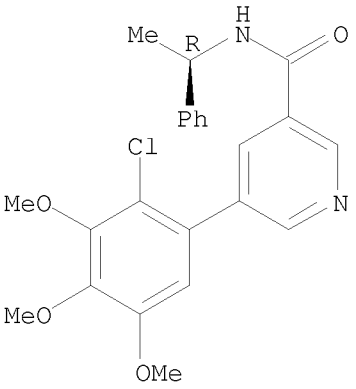


RN 713522-33-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 713522-45-3 CAPLUS
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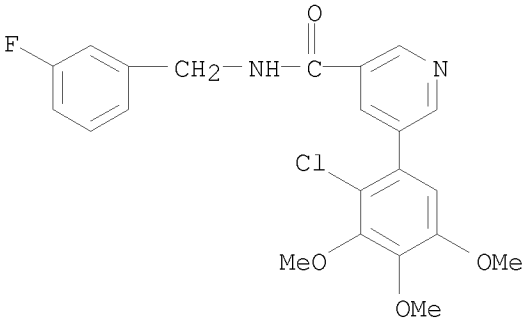

RN 713522-51-1 CAPLUS
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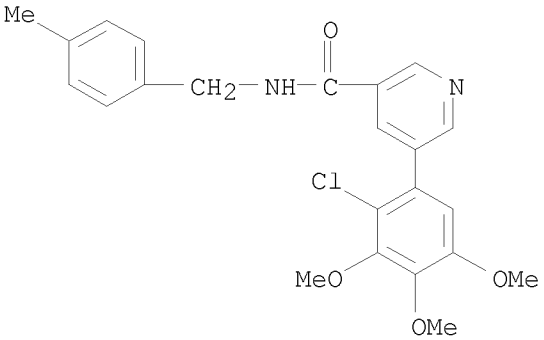

RN 713522-53-3 CAPLUS
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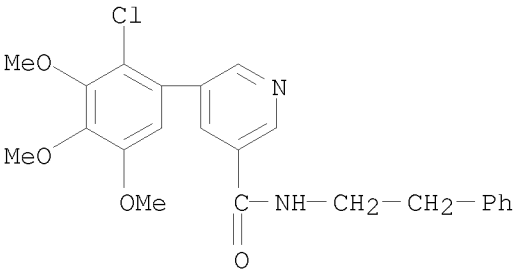

RN 713522-66-8 CAPLUS
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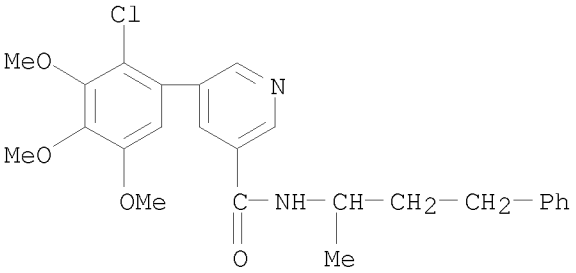

RN 713522-74-8 CAPLUS
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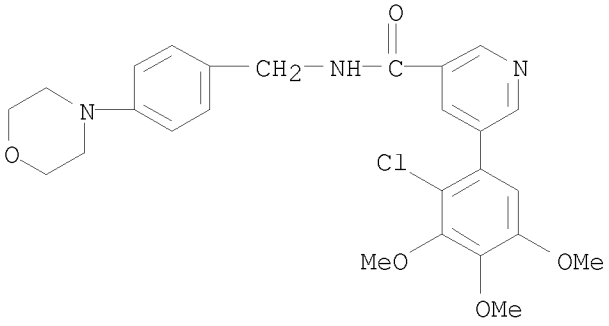

RN 713522-77-1 CAPLUS
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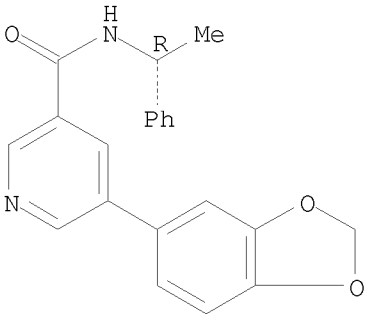
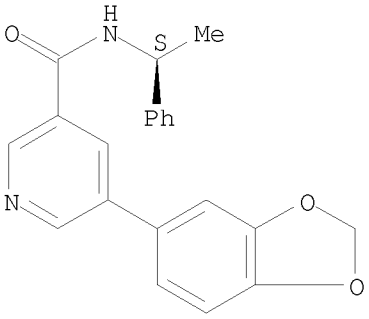
Absolute stereochemistry.

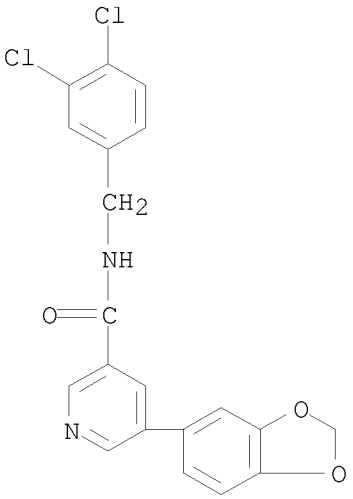


RN 713522-79-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-[(1S)-1-phenylethyl]-
(CA INDEX NAME)

Absolute stereochemistry.



RN 713522-81-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-[(3,4-
dichlorophenyl)methyl]- (CA INDEX NAME)



RN 713522-88-4 CAPLUS
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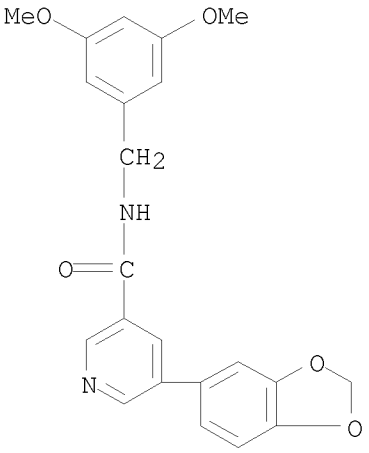
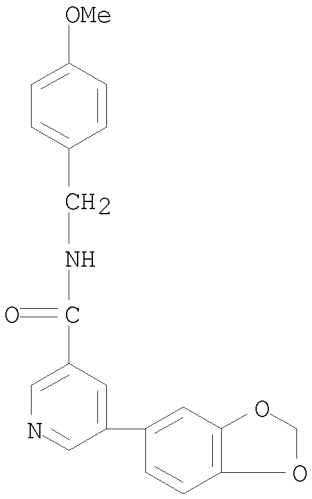
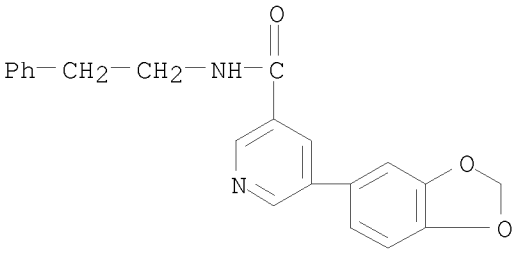
dimethoxyphenyl)methyl]- (CA INDEX NAME)



RN 713522-91-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)

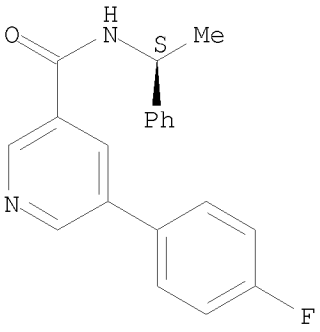


RN 713522-93-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(1,3-benzodioxol-5-yl)-N-(2-phenylethyl)- (CA INDEX NAME)

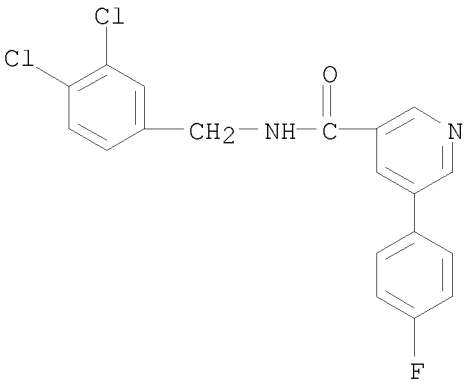


RN 713523-24-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

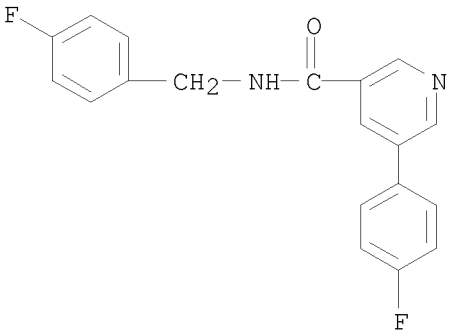
Absolute stereochemistry.



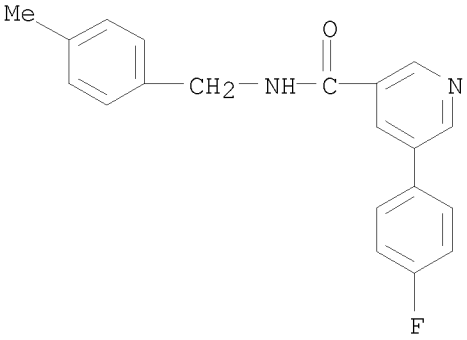
RN 713523-25-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,4-dichlorophenyl)methyl]-5-(4-fluorophenyl)- (CA INDEX NAME)



RN 713523-29-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)

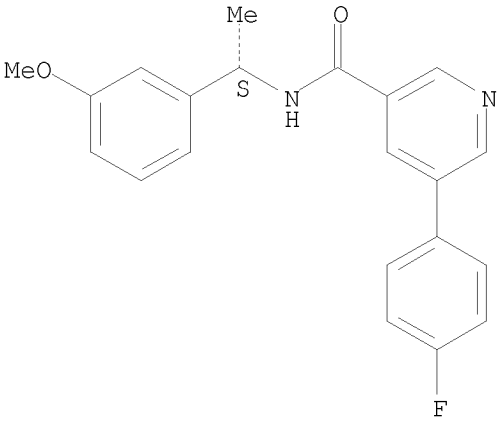


RN 713523-32-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)

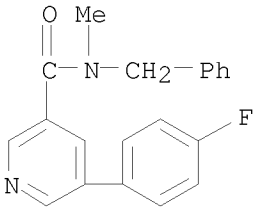


RN 713523-33-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(1S)-1-(3-methoxyphenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

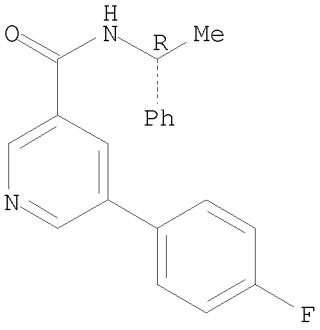


RN 713523-35-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)

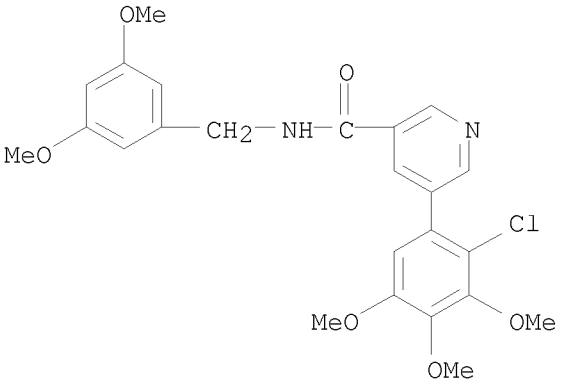


RN 713523-42-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-fluorophenyl)-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

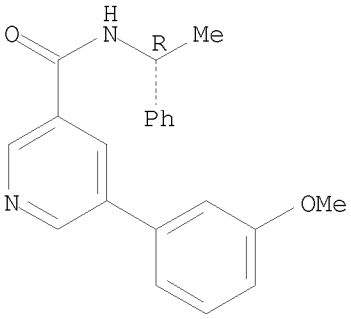


RN 713523-43-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-chloro-3,4,5-trimethoxyphenyl)-N-[(3,5-dimethoxyphenyl)methyl]- (CA INDEX NAME)



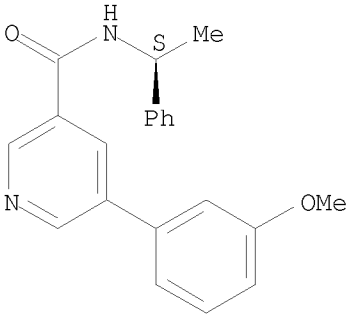
RN 713523-44-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

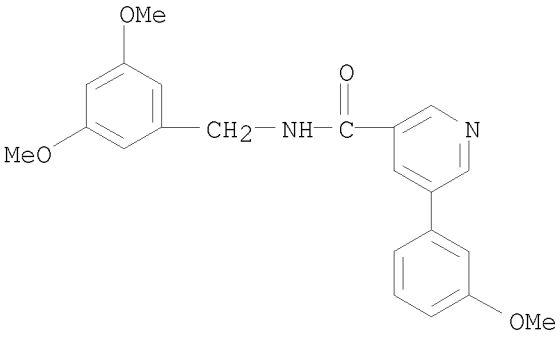


RN 713523-45-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

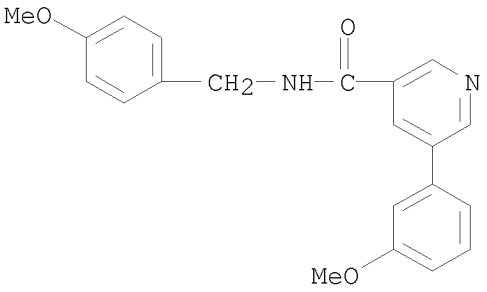
Absolute stereochemistry.



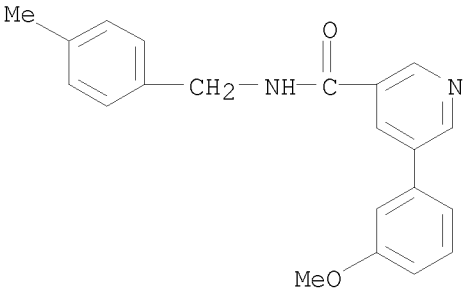
RN 713523-48-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[(3,5-dimethoxyphenyl)methyl]-5-(3-methoxyphenyl)- (CA INDEX NAME)



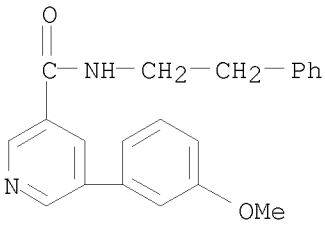
RN 713523-50-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 713523-51-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)

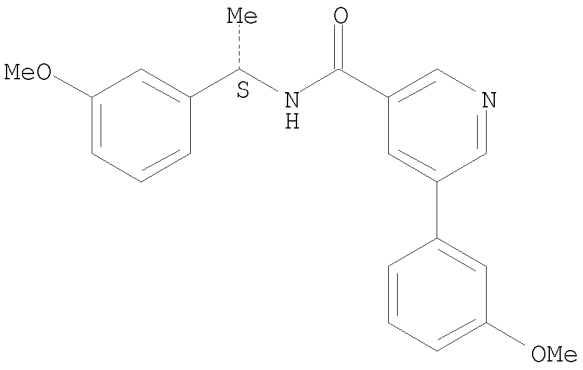


RN 713523-52-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-(2-phenylethyl)- (CA INDEX NAME)

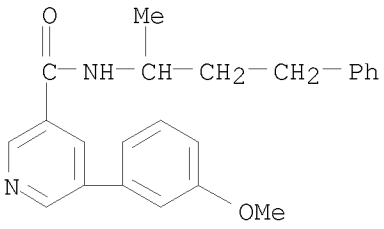


RN 713523-53-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-[(1S)-1-(3-methoxyphenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 713523-57-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(3-methoxyphenyl)-N-(1-methyl-3-phenylpropyl)-
(CA INDEX NAME)

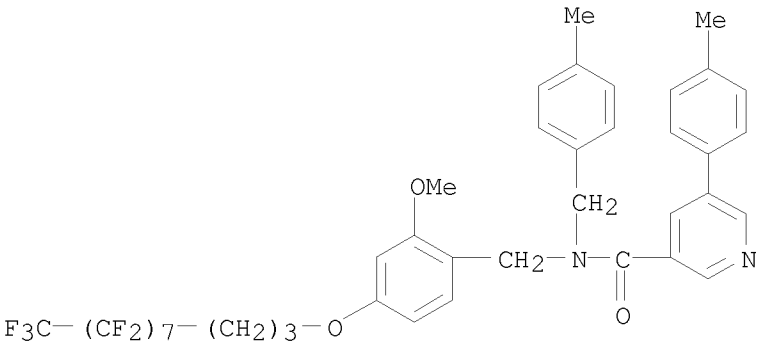


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

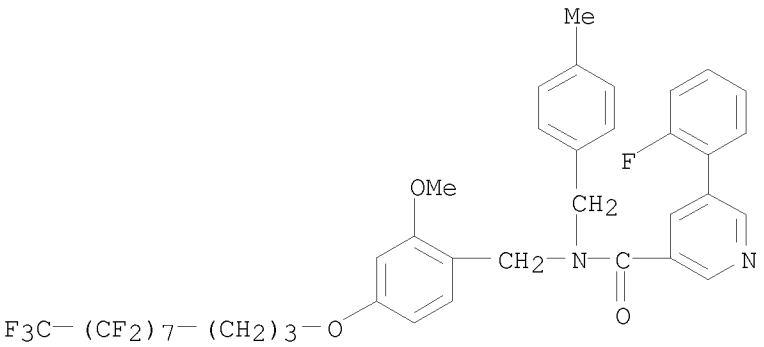
L4 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:453614 CAPLUS
DOCUMENT NUMBER: 141:173950
TITLE: A Fluorous-Tagged, Acid-Labile Protecting Group for the Synthesis of Carboxamides and Sulfonamides
AUTHOR(S): Villard, Anne-Laure; Warrington, Brian H.; Ladlow, Mark
CORPORATE SOURCE: University Chemical Laboratory, GlaxoSmithKline Cambridge Technology Centre, Cambridge, CB2 1EW, UK
SOURCE: Journal of Combinatorial Chemistry (2004), 6(4), 611-622
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:173950
AB A new acid-labile, fluorous-tagged protecting group that facilitates the preparation of carboxamides and sulfonamides by parallel solution-phase synthesis is introduced. Its use is exemplified by the preparation of a 27-member library of biaryl sulfonamides and an 18-member library of biaryl carboxamides. Intermediates were purified by solid-phase extraction over reversed-phase fluorous silica gel to afford library members in high yields and purities (>95%) without the need for column chromatog. purification

IT 734549-12-3P 734549-13-4P 734549-18-9P
734549-19-0P 734549-24-7P 734549-25-8P
RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)
(N-deprotection; parallel solution-phase synthesis of carboxamides and sulfonamides using a fluorous-tagged acid-labile protecting group)

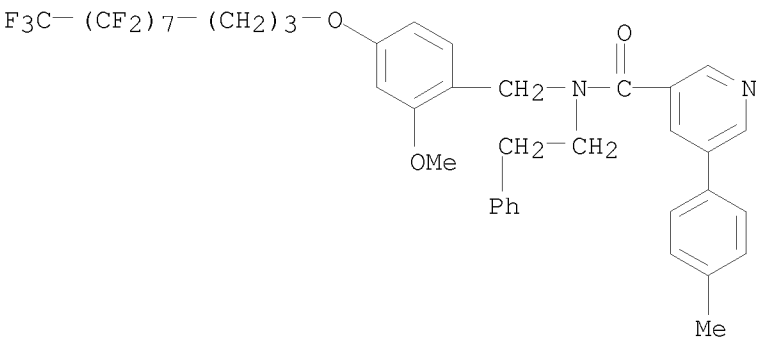
RN 734549-12-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-5-(4-methylphenyl)-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



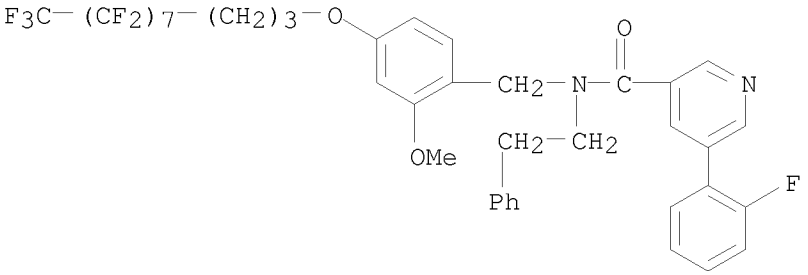
RN 734549-13-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



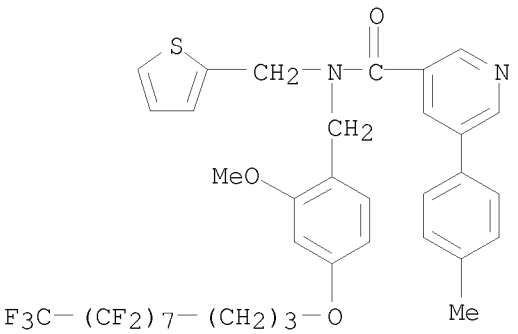
RN 734549-18-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-5-(4-methylphenyl)-N-(2-phenylethyl)- (CA INDEX NAME)



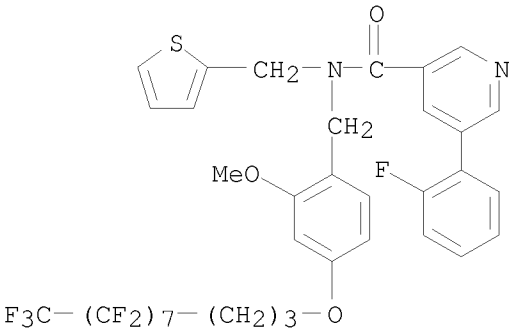
RN 734549-19-0 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-N-(2-phenylethyl)- (CA INDEX NAME)



RN 734549-24-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-5-(4-methylphenyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

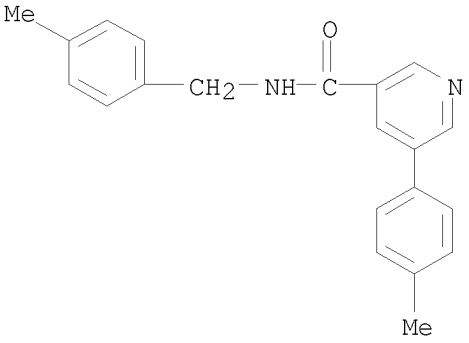


RN 734549-25-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[[4-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoroundecyl)oxy]-2-methoxyphenyl]methyl]-N-(2-thienylmethyl)- (CA INDEX NAME)

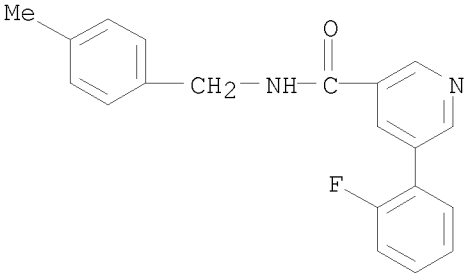


IT 734549-30-5P 734549-31-6P 734549-36-1P
734549-37-2P
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(parallel solution-phase synthesis of carboxamides and sulfonamides using a fluorous-tagged acid-labile protecting group)

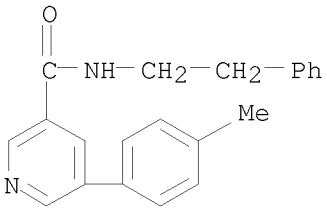
RN 734549-30-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-methylphenyl)-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



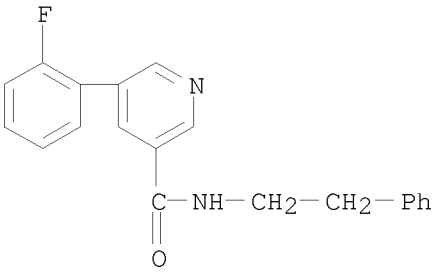
RN 734549-31-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



RN 734549-36-1 CAPLUS
CN 3-Pyridinecarboxamide, 5-(4-methylphenyl)-N-(2-phenylethyl)- (CA INDEX NAME)



RN 734549-37-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-(2-fluorophenyl)-N-(2-phenylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:796416 CAPLUS
DOCUMENT NUMBER: 139:307686
TITLE: Preparation of 2,3-diphenylpyridines as cannabinoid-1 receptor antagonists and inverse agonists
INVENTOR(S): Finke, Paul E.; Meurer, Laura C.; Debenham, John S.; Toupence, Richard B.; Walsh, Thomas F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082191	A2	20031009	WO 2003-US9005	20030324
WO 2003082191	A3	20040115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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CA 2479744 A1 20031009 CA 2003-2479744 20030324
AU 2003225964 A1 20031013 AU 2003-225964 20030324
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US 20050182103 A1 20050818 US 2004-508043 20040917
US 7271266 B2 20070918
PRIORITY APPLN. INFO.: US 2002-368334P P 20020328
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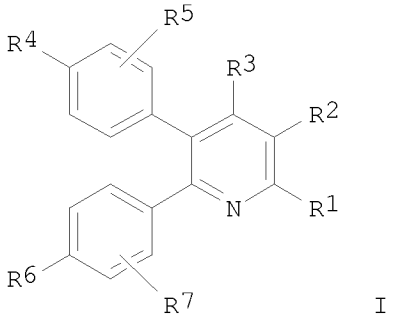
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:307686
GI



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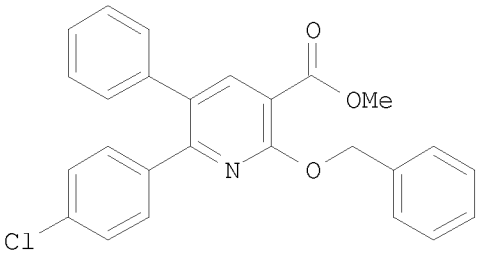


II

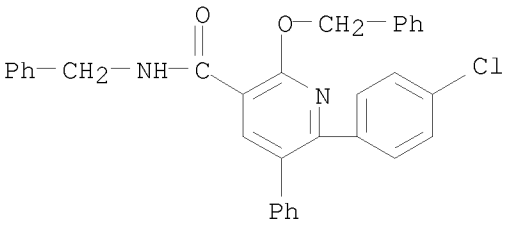
AB Title compds. I [wherein R1 = H, halo, CN, or (un)substituted alkyl, heterocycloalkyl(alkyl), heteroaryl, (hetero)arylalkyl, acyl, carboxy, (thio)ether, amino, carbamoyl, acylamino, carboxyamino, or ureido; R2 = H, CN, carboxy, halo, NO2, CF3, or (un)substituted carbamoyl; provided that R1 and R2 are not both H; R3 = H, CF3, or (un)substituted (cyclo)alkyl; R4-R7 = independently H, halo, amino, carboxy, alkyl, alkoxy, aryl(alkyl), OH, CF3, alkanoyloxy, or carbamoyloxy; provided that R6 and R7 are not both H; and pharmaceutically acceptable salts thereof] were prepared as cannabinoid-1 (CB1) receptor antagonists and/or inverse agonists (no data). For example, benzyl 4-chlorophenyl ketone was condensed with DMF dimethylacetal in DMF to give 3-(dimethylamino)-1-(4-chlorophenyl)-2-phenylprop-2-en-1-one. Cyclocondensation of the vinyl ketone with cyanoacetamide using NaH in DMF and MeOH provided the 3-cyano-2-pyridone. Conversion of the nitrile to the carboxylic acid with 50% H2SO4, followed by esterification using HCl in MeOH gave Me 6-(4-chlorophenyl)-5-phenyl-2-oxo-1,2-dihydropyridine-3-carboxylate.

O-alkylation of the pyridone with benzyl bromide in the presence of Cs2CO3 in DMF afforded the title 2,3-diphenylpyridine II. Compds. of the invention and their pharmaceutical compns. serve as centrally acting drugs for the treatment, prevention, and suppression of diseases mediated by the CB1 receptor, such as psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome, the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia (no data). I are also useful for the treatment of substance abuse disorders, obesity or eating disorders, asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver (no data).

IT 611218-14-5P, N-Benzyl-2-(benzyloxy)-6-(4-chlorophenyl)-5-phenylpyridine-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(CB1 modulator; preparation of diphenylpyridines as CB1 antagonists and inverse agonists for treatment of eating disorders and other CB1 mediated diseases)

RN 611218-14-5 CAPLUS

CN 3-Pyridinecarboxamide, 6-(4-chlorophenyl)-5-phenyl-2-(phenylmethoxy)-N-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:428866 CAPLUS

DOCUMENT NUMBER: 137:20297

TITLE: Preparation of ortho-substituted and meta-substituted bisaryl compounds as potassium channel blockers

INVENTOR(S): Peukert, Stefan; Brendel, Joachim; Hemmerle, Horst; Kleemann, Heinz-Werner

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044137	A1	20020606	WO 2001-EP13294	20011117

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

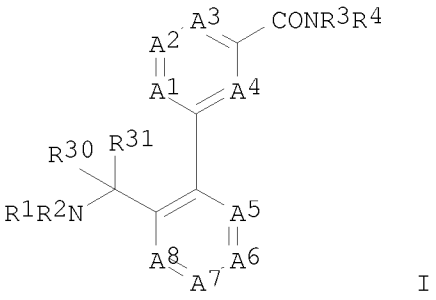
DE	10059418	A1	20020620	DE 2000-10059418	20001130
CA	2430273	A1	20020606	CA 2001-2430273	20011117
AU	2002027931	A	20020611	AU 2002-27931	20011117
EE	200300183	A	20030616	EE 2003-183	20011117
EP	1339675	A1	20030903	EP 2001-989479	20011117
EP	1339675	B1	20050216		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR	2001015769	A	20040113	BR 2001-15769	20011117
HU	2003003317	A2	20040128	HU 2003-3317	20011117
CN	1494527	A	20040505	CN 2001-819740	20011117
CN	1290825	C	20061220		
JP	2004514707	T	20040520	JP 2002-546507	20011117
JP	4051283	B2	20080220		
NZ	526177	A	20041126	NZ 2001-526177	20011117
AT	289292	T	20050315	AT 2001-989479	20011117
PT	1339675	E	20050429	PT 2001-989479	20011117
ES	2236341	T3	20050716	ES 2001-989479	20011117
RU	2278858	C2	20060627	RU 2003-119153	20011117
AU	2002227931	B2	20060713	AU 2002-227931	20011117
SK	286708	B6	20090305	SK 2003-653	20011117
TW	254039	B	20060501	TW 2001-90129358	20011128
US	20030013719	A1	20030116	US 2001-995771	20011129
US	6605625	B2	20030812		
MX	2003004386	A	20030904	MX 2003-4386	20030519
ZA	2003003893	A	20040415	ZA 2003-3893	20030520
NO	2003002438	A	20030709	NO 2003-2438	20030528
IN	2003CN00830	A	20050422	IN 2003-CN830	20030528
HR	2003000436	B1	20060430	HR 2003-436	20030529
US	20030225099	A1	20031204	US 2003-453646	20030604
US	6924392	B2	20050802		
HK	1061231	A1	20070511	HK 2004-104352	20040616

PRIORITY APPLN. INFO.:	DE 2000-10059418	A	20001130
	WO 2001-EP13294	W	20011117
	US 2001-995771	A3	20011129

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 137:20297
GI

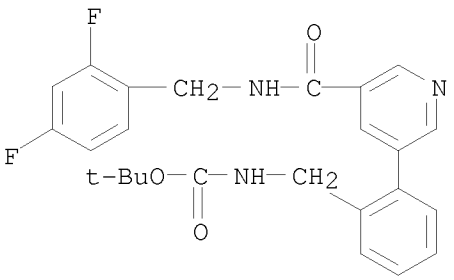


I

AB Title compds. [I; A1-A8 = N, CH, CR5; whereby >4 of A1-A8 = CH; R1 = CO2R9, SO2R10, COR11, C(O)NR12R13, C(S)NR12R13; R9-R12 = CxH2xR14; x = 0-4; R14 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR15, SO2Me, (substituted) Ph, naphthyl, etc.; R15 = alkyl, cycloalkyl, (substituted) Ph; R13 = H, alkyl, CF3; R2 = H, alkyl, CF3; R3 = CyH2yR16, etc.; y = 0-4; R16 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR17, SO2Me, (substituted) Ph, naphthyl, etc.; R17 = H, alkyl, cycloalkyl, (substituted) Ph, pyridyl; R4 = H, alkyl, CF3; or R3R4 = (O-, S-, NH-, N(methyl)-, N(benzyl)-interrupted) C4-5 alkylene; R5 = F, Cl, Br, I, CF3, NO2, cyano, CO2Me, COMe, amino, OH, alkyl, alkoxy, etc.; R30, R31 = H, alkyl; or R30R31 = C2 alkylene], were prepared Thus, 1-[6-(2-aminomethylphenyl)pyridin-2-yl]-N-(4-methoxyphenyl)amide in CH2Cl2 was stirred with 4-methoxyphenylacetyl chloride and N-ethyldiisopropylamine overnight to give 78% 1-[6-(2-[2-(4-methoxyphenyl)acetylamino]methylphenyl)pyridin-2-yl]-N-(4-methoxyphenyl)amide. Several I inhibited Kv1.5 human channel with IC50 = 2 - <100 μM.

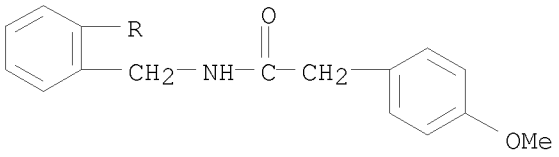
IT 433969-45-0P 433969-65-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ortho-substituted and meta-substituted bisaryl compds. as potassium channel blockers)

RN 433969-45-0 CAPLUS
CN Carbamic acid, [[2-[5-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

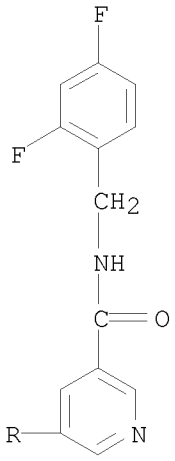


RN 433969-65-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(2,4-difluorophenyl)methyl]-5-[2-[[[2-(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:226815 CAPLUS
DOCUMENT NUMBER: 126:212156
ORIGINAL REFERENCE NO.: 126:41031a,41034a
TITLE: Preparation of heteroarylcarboxamides as agrochemical and medical fungicides
INVENTOR(S): Bartroli, Javier; Turmo, Enric; Anguita, Manuel
PATENT ASSIGNEE(S): J. Uriach & Cia. S.A., Spain
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705131	A1	19970213	WO 1996-EP3419	19960802

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM

ES 2107376	A1	19971116	ES 1995-1564	19950802
ES 2107376	B1	19980701		
BR 9606546	A	19980714	BR 1996-6546	19950802
ES 2112774	A1	19980401	ES 1995-2042	19951020
ES 2112774	B1	19990516		
CA 2201478	A1	19970213	CA 1996-2201478	19960802
AU 9667889	A	19970226	AU 1996-67889	19960802
EP 783502	A1	19970716	EP 1996-928404	19960802
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10507205	T	19980714	JP 1996-507253	19960802
US 5888941	A	19990330	US 1997-809815	19970331
NO 9701471	A	19970530	NO 1997-1471	19970401

PRIORITY APPLN. INFO.:

ES 1995-1564	A	19950802
ES 1995-2042	A	19951020
WO 1996-EP3419	W	19960802

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:212156

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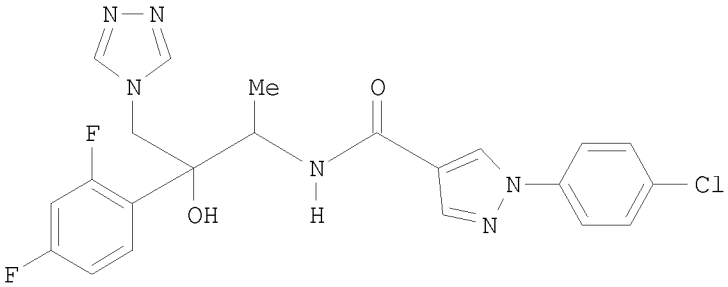


II

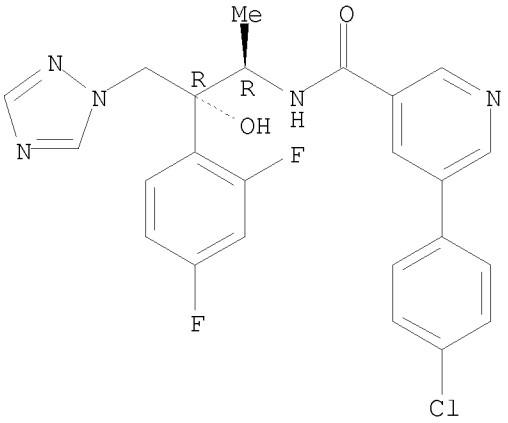
AB RCH2CR5(OR4)CR1R2NR3COZ1(CH2)mZ2(CH2)qR6 [I; R = imidazolo or 1,2,4-triazo-1-yl; R1 = alkyl; R2 = H or alkyl; R1R2 = alkylene; R3 = H (halo)alkyl, Ph, etc.; R4 = H; R3R4 = CH2, CH2CH2, CH(OH)CH2, COCH2; R5 = (halo- or CF3-substituted) Ph; R6 = (un)substituted Ph, -heterocyclyl; Z1 = (un)substituted phenylene or -heterocyclyene; Z2 = bond, O, SO0-2, NR6; m,q = 0-2] were prepared Thus, (2R,3R)-3-amino-2-(2,4-difluorophenyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol was amidated by 1-(4-chlorophenyl)-1H-pyrazole-4-carboxylic acid (preparation given) to give title compound (R,R)-II. Data for biol. activity of I were given.

IT 187998-12-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteroarylcarboxamides as agrochem. and medical fungicides)

RN 187998-12-5 CAPLUS

CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-N-[(1R,2R)-2-(2,4-difluorophenyl)-2-hydroxy-1-methyl-3-(1H-1,2,4-triazol-1-yl)propyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:198028 CAPLUS
DOCUMENT NUMBER: 98:198028
ORIGINAL REFERENCE NO.: 98:30095a,30098a
TITLE: Pyridine derivatives inducing tillering and agricultural compositions containing them
INVENTOR(S): Stacey, Gilbert Joseph; Hawkins, Alan Francis; Pearson, David Philip John; Sunley, Raymond Leo
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 67511	A2	19821222	EP 1982-302208	19820429
EP 67511	A3	19830406		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2099421	A	19821208	GB 1982-12420	19820419
AU 8283671	A	19821125	AU 1982-83671	19820513
US 4473395	A	19840925	US 1982-379047	19820517
BR 8202876	A	19830426	BR 1982-2876	19820518
JP 57197267	A	19821203	JP 1982-83339	19820519

PRIORITY APPLN. INFO.:
GB 1981-15251 A 19810519
GB 1981-15252 A 19810519
GB 1981-24941 A 19810814
GB 1982-12420 A 19820419
EP 1982-302208 A 19820429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 98:198028; MARPAT 98:198028
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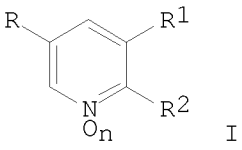


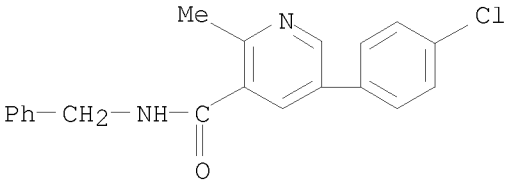
I

AB Phenylpyridine I [R = Ph, substituted Ph; R1 = cyano, carboxy, alkoxycarbonyl, alkylthiocarbonyl, carbamoyl; R2 = H, halogen, (un)substituted alkyl, OH, NH2, Ph, alkoxycarbonyl; n = 0, 1] were prepared Thus 4-ClC6H4CH2CO2H was treated with POCl3-DMF to give Me2NCH:C(CHO)C6H4Cl-4, which was cyclized with H2NCMe:CHCO2Et to form I (R = C6H4Cl-4; R1 = CO2Et; R2 = Me, n = 0)(II). II gave 132% of control barley tillering at 3 kg/ha.

IT 85583-04-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tillering-inducing activity of)

RN 85583-04-6 CAPLUS

CN 3-Pyridinecarboxamide, 5-(4-chlorophenyl)-2-methyl-N-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1974:70803 CAPLUS
DOCUMENT NUMBER: 80:70803
ORIGINAL REFERENCE NO.: 80:11435a,11438a
TITLE: Ampicillin derivatives substituted with heterocyclic acyl groups
INVENTOR(S): Murakami, Masuo; Isaka, Ichiro; Koda, Akio; Kawahara, Norio; Kashiwagi, Teruya; Ageo, Murakami; Yukiyasu, Urawa; Yano, Kanichiro; Nakano, Kohzo; Souzu, Isao
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd.
SOURCE: Ger. Offen., 107 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2322750	A1	19731129	DE 1973-2322750	19730505
JP 49001592	A	19740108	JP 1972-45118	19720508
JP 55047036	B	19801127		
JP 49041396	A	19740418	JP 1972-83424	19720821
JP 49042692	A	19740422	JP 1972-85102	19720825

JP 49042693	A	19740422	JP 1972-85103		19720825
JP 49081388	A	19740806	JP 1972-125952		19721215
JP 49108092	A	19741014	JP 1973-19917		19730218
JP 49125386	A	19741130	JP 1973-38132		19730404
AU 7355045	A	19741107	AU 1973-55045		19730501
US 3953428	A	19760427	US 1973-356120		19730501
BE 799202	A1	19730831	BE 1973-130836		19730507
AT 7303995	A	19751215	AT 1973-3995		19730507
AT 331970	B	19760910			
DK 139754	B	19790409	DK 1973-2489		19730507
DK 139754	C	19790924			
FI 58131	B	19800829	FI 1973-1458		19730507
FI 58131	C	19801210			
FR 2183895	A1	19731221	FR 1973-16416		19730508
GB 1407566	A	19750924	GB 1973-21951		19730508
PRIORITY APPLN. INFO.:			JP 1972-45118	A	19720508
			JP 1972-83424	A	19720821
			JP 1972-85102	A	19720825
			JP 1972-85103	A	19720825
			JP 1972-125952	A	19721215
			JP 1973-19917	A	19730218
			JP 1973-38132	A	19730404

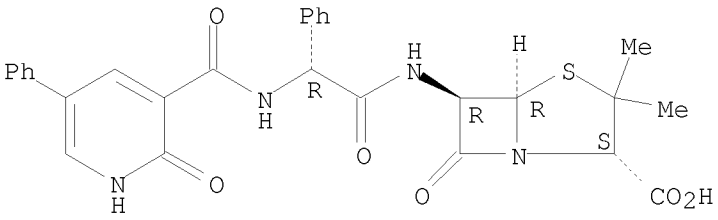
AB The ampicillin derivs. I (R = 1,4-dihydro-4-oxo-3-quinolinyl, substituted by alkyl, halo, nitro, or amino groups; substituted 4-oxonaphthyridin-3-yl, oxopyridinyl, hydroxypyridinyl, 2,4-dioxo-5-pyrimidinyl, oxopyranyl; R1 = Na, K) (>70 compds.) were prepared by treating ampicillin triethylamine salt with RCO2H, and forming the Na or K salt. Most I showed a min. inhibitory concentration against Pseudomonas aeruginosa of 10 γ/ml.

IT 51726-97-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 51726-97-7 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[[(1,2-dihydro-2-oxo-5-phenyl-3-pyridinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, monosodium salt, [2S-[2α,5α,6β(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1962:73420 CAPLUS

DOCUMENT NUMBER: 56:73420
ORIGINAL REFERENCE NO.: 56:14235d-i,14236a-i,14237a-i,14238a-i,14239a-d
TITLE: Synthesis of benzo[f]quinolines and ergolines from 5-phenyl-6-methyl-2-pyridones
AUTHOR(S): Walker, Gordon N.; Weaver, Barbara N.
CORPORATE SOURCE: Ciba Pharm. Prods., Inc., Summit, NJ
SOURCE: Journal of Organic Chemistry (1961), 26, 4441-55
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 56:73420

GI For diagram(s), see printed CA Issue.

AB Dry MeONa (freshly prepared from 69 g. Na) powdered, suspended in 1 l. anhydrous Et2O, the mixture treated with 380 g. PhCH2Ac (I) and 300 g. HCO2Et (II) in 500 ml. anhydrous Et2O with swirling and cooling in ice, when the MeONa had dissolved the solution kept overnight at room temperature (moisture excluded), treated with 1.5 l. H2O, the washed aqueous solution acidified with dilute HCl, and the product isolated with Et2O gave 400 mg. PhCAc:CHOH (III), oil which crystallized after several weeks storage at 0° in a closed container, m. 69-71° (Et2O). I (81 g.) and 96 g. (EtO2C)2 (IV) condensed as above with dry MeONa (from 16 g. Na) in 1 l. dry Et2O and the resulting oil (110 g.) kept several days deposited 15 g. Me 2-phenylcyclopentane-1,3,4-trione-5-glyoxylate, m. 197-9° (Et2O-EtOAc); the clarified oil dried briefly in vacuo gave 80 g. crude AcCPh:C(OH)CO2Et (V). III (3.0 g.) in 70 ml. cold EtOH treated with excess alc.-N2H4, the solution warmed briefly on a steam cone, evaporated to 20 ml., cooled in ice, treated gradually with 15% HCl until pH 8, diluted with H2O to form a homogeneous solution, and chilled and scratched gave 2.1 g. VI (R = H), m. 142-4° (aqueous EtOH). III (5 g.) and 5 g. PhNHNH2 in 50 ml. EtOH refluxed 1 hr. gave 3.4 g. VI (R = Ph), m. 158-60° (aqueous EtOH). I (150 g.) and 150 g. II treated with dry MeONa (from 28 g. Na) in 700 ml. dry Et2O, on the following day the mixture treated with 85 g. NCCH2CONH2 (VII) and 900 ml. MeOH, boiled 1 hr. to remove the Et2O, refluxed vigorously 3 hrs., concentrated, the residue chilled, treated with 150 ml. concentrated HCl in 500 ml. cold H2O, the mixture kept 2 days at 0°, the precipitate collected, washed with H2O, and triturated with MeOH gave 94 g. 3-cyano-4-methyl-5-phenyl-2-pyridinal, m. 190-2° (decomposition) (MeOH); when refluxed 3 hrs. with concentrated HCl the pyridone yielded quant. III. III (80 g.) and 41 g. VII in 1 l. MeOH treated with 60 ml. piperidine (moderate exothermic reaction), when the solution had cooled nearly to room temperature (20 min.) the solution treated with 60 ml. AcOH, and kept 12 days at room temperature gave (the ppts. were collected periodically; the mother liquor was concentrated in volume 10-20% and kept until no more product was obtained) 8 g. VIII (R = CN), m. 294-6° (decomposition) (MeOH); attempts to esterify the nitrile with MeOH-HCl resulted in incomplete conversion to ester. III (89 g.) and 46 g. VII in 700 ml. MeOH heated to 55°, treated with 45 ml. pyridine and then with 50 ml. piperidine while swirling, the boiling solution cooled gradually to room temperature (1 hr.), kept overnight, treated with 100 ml. AcOH, boiled gently 2 hrs. on a steam bath until excess MeOH (400 ml.) was removed, and kept several days (or the ppts. periodically filtered off as above) gave 25-40 g. VIII [R = C(:NH)OMe] (IX), decomposing from 230° (MeOH). III (36 g.) and 26 g. NCCH2CO2Et in 200 ml. MeOH treated with 21 ml. piperidine, when the exothermic reaction subsided the solution refluxed 15 min., cooled, treated with 40 ml. AcOH, and kept 7 days gave 12.2 g. VIII (R = CO2Et) (X), m. 230-2°

(MeOH). Repetition of the above experiment and the acidified solution seeded gave (on the same day) 9 g. X. IX (33 g.) and 900 ml. concentrated HCl refluxed 3 hrs., the boiling solution decanted from a small amount tar, and diluted with an equal volume cold H2O gave 28.6 g. VIII (R = CO2H) (XI), m. 269-71° (decomposition) (aqueous MeOH); the acid was also obtained by similar acid hydrolysis of VIII (R = CN) and X. Treatment of X with 50% aqueous solns. of appropriate primary amines gave the corresponding amides VIII (R = CONHR') (R' and m.p. given): Me, 316-18° (decomposition) (MeOH); Et, 249-51° (MeOH); CH2CH2NEt2, 180-2° (aqueous EtOH); (CH2)3NEt2, 181-2° (aqueous EtOH); CH2CH2Ph, 248-50° (EtOH); NH2, above 350° (EtOH). XI (3.2 g.) refluxed 1 hr. with 30 ml. POCl3 containing 5 g. PCl5, concentrated in vacuo (H2O pump) on a steam bath, the residue cooled, treated with 80 ml. EtOH, the solution concentrated, the residual oil treated with cold H2O, extracted with Et2O, the extract washed with aqueous K2CO3 and H2O, dried, and evaporated gave crude XII (R = Cl), oil. Crude XII (R = Cl) in 10 ml. H2O and 150 ml. EtOH containing 2 g. 10% Pd-C hydrogenated 2 hrs. at 3 atmospheric at room temperature, filtered, the filtrate evaporated, the residual gum partitioned between Et2O and concentrated aqueous K2CO3, the Et2O layer separated, dried, and evaporated gave 1.5 g. XII (R = H), oil; picrate m. 147-8.5° (EtOH). XII (R = H) (1 g.) and 6 g. IV treated with MeONa (from 1.3 g. Na) in MeOH, the solution refluxed 0.5 hr., evaporated, and the residue treated with H2O gave the Me enol ether of Me 3-carbomethoxy-5-phenyl-6-pyridylpyruvate, m. 173-4° (MeOH); neutralization of the washed aqueous reaction solution and the extraction with Et2O gave 100 mg. corresponding enol, m. 152-3° (MeOH), λ 223, 287, 316, 343 mμ, λ 3.26, 5.78, 5.82, 6.16 μ. Treatment of the enol and its Me ether with polyphosphoric acid (1 hr. at 100°) gave no cyclization products. Crude V (55.5 g.) and 25 g. VII in 500 ml. MeOH heated gently on a steam bath, the solution treated with 27 ml. piperidine, boiled gently 10 min., cooled, treated with 31 ml. AcOH, kept overnight, and partially evaporated gave (in several crops) 31.3 g. mixture (XIII) of XIV (R = Me and Et), m. 182-5° (MeOH). XIII treated briefly with 20 ml. Ac2O and concentrated gave XIV (R = Me), m. 198-9° (MeOH-EtOAc). XIII treated with EtOH-EtONa and the solution acidified gave XIV (R = Et), m. 165-7° (EtOH). XIV (R = Me) and XIV (R = Et) treated 1 hr. at 100° with Ac2O gave apparently XV, decompose from 195° (Ac2O-EtOAc). Both XIV (R = Me) and XIV (R = Et) treated with IV in the presence of Na alkoxides under varying conditions gave chiefly unchanged compound XIII (37.5 g.) in 1400 ml. concentrated HCl refluxed 40 min. and the resulting mixture chilled gave 30.5 g. 5-phenyl-6-methyl-2-pyridone-3,4-dicarboxylic acid (XVI), m. 225-30° (decomposition); the corresponding anhydride (XVII) [obtained by heating (1.3 hrs.) 1 g. XVI in 50 ml. Ac2O] m. 240-3° (decomposition) (EtOAc); mono-Me ester (prepared by dissolving XVI or XVII in MeOH and keeping the solution several days) decompose from 215° (MeOH-EtOAc). XVI (or XIII) (2.8 g.) in 200 ml. concentrated HCl refluxed 2.5 hrs., the solution cooled, and treated with a little H2O gave 2.1 g. 5-phenyl-6-methyl-2-pyridone-4-carboxylic acid (XVIII), decomposing from 280° (MeOH); Me ester (prepared by refluxing 2 hrs. with MeOHHCl), m. 183-5° (EtOAc); Et ester (by converting to the acid chloride with POCl3 containing some PCl5, removing the excess POCl3, and treating the residue with EtOH), m. 154-5° (EtOH or EtOAc). XVIII (1 g.) in 150

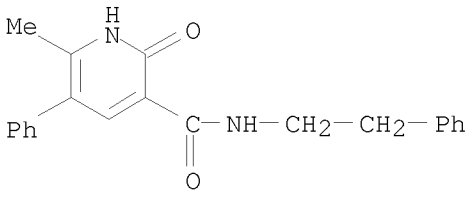
ml. AcOH containing 2 g. 10% Pd-C hydrogenated 1.5 hrs. at 45 lb./sq. in. at 75°, filtered, and the filtrate evaporated gave quant. 5-phenyl-6-methyl-2-piperidone-4-carboxylic acid, m. 196-7° (EtOAc), sensitive to alcs. and moisture (treatment with wet MeOH gave a compound, m. 218-20°, which appeared to be partly a hydrate of corresponding amino acid or acid ester; Me ester (by refluxing 3 hrs. with saturated MeOH-HCl) m. 157-9° (EtOAc). XI (20 g.) in 65 ml. (ClOC)2 (XIX) and 30 ml. POCl3 refluxed 40 min. (the solid was kept in contact with the liquid reagent), cooled, diluted with 100 ml. dry C6H6, the precipitate (24.6 g., apparently a P complex (XX) of the diacid chloride) collected, washed with C6H6, and ground up in cold H2O gave 22.3 g. crude 3-carboxy-5-phenyl-2-pyridone-6-pyruvic acid (XXI), decomposing from 190°; di-Et ester (by treating crude XX with absolute EtOH) m. 168-70° (MeOH). XVI (13.4 g.) in 40 ml. XIX and 40 ml. POCl3 refluxed 45 min., cooled, and diluted with 100 ml. dry C6H6 gave 10.2 g. XXII, m. 241-4° (decomposition). XXII treated with MeOH, H2O, or aqueous acids gave poorly defined products. Crude XXI (22.6 g.) and 250 ml. concentrated H2SO4 stirred until XXI dissolved (3-4 hrs.), the solution kept 2 days at room temperature, poured over 2 kg. chopped ice with stirring, the mixture stirred or kept until the ice melted and the precipitate became easily filterable, the precipitate collected, washed with several portions H2O, and triturated with MeOH gave 15.8 g. 3-hydroxybenzo[f]quinoline-2,6-dicarboxylic acid (XXIII), m. above 360° (MeOH); XXIII appeared to be slightly solvated. Crude XXI (2 g.) cyclized as above, the H2SO4 mixture(30 ml.) poured into 15 ml. absolute EtOH, the solution heated 0.5 hr. on a steam bath and the neutral product recrystd. from EtOH gave 0.5 g. di-Et ester (XXIV) of XXIII, m. 209-11°. XXIII (1.2 g.) refluxed 0.6 hrs. with 100 ml. SOCl2, concentrated, and the residue treated with EtNH2 gave the corresponding bis(N-ethylamide), m. above 360° (EtOH and EtOAc). XXIII (5.1 g.) in 100 ml. concentrated HNO3 refluxed gently 10 min., the solution filtered while warm [1.3 g. isomeric NO2 derivative (XXV) removed], and the filtrate diluted with cold H2O gave 4.4 g. 8-NO2 derivative (XXVI) of XXIII, decomposing from 280°. XXVI (9.2 g.) in 350 ml. H2O and 9 ml. concentrated aqueous NH3 containing 5 g. 10% Pd-C hydrogenated at 45 lb./sq. in. (7 lb./sq. in. H absorbed in 20 min.) and the filtered solution treated with concentrated HCl gave 8 g. 8-NH2 derivative of XXIII, m. above 360° (repptn. from concentrated H2SO4 with H2O); N-Ac derivative m. above 350°. Similar reduction of XXV (presumably the 10-NO2 isomer) gave quant. the amino acid, m. above 360°(MeOH). XXIII (20 g.) 50 g. PCl5, and 200 ml. POCl3swirled and warmed gently until the solids dissolved and evolution of HCl was finished (5 min.), the solution refluxed 4 hrs., concentrated in vacuo (H2O pump) on a steam bath, the residual crude chlorodiacid chloride (XXVII) chilled in ice, treated with 250 ml. MeOH, the mixture swirled briskly at below 45° (occasional brief immersion in an ice bath), after 5 min. kept 20-30 min. at 0°, the product collected, and washed with MeOH gave 16.5 g. 3-chlorobenzo[f]quinoline-2,6-dicarboxylic acid (XXVIII) di-Me ester(XXIX), m. 186-8° (EtOAc); the mother liquor kept several days at 0° deposited 1 g. apparently impure XXIII di-Me ester, m. 255-60°. Crude XXVII treated with EtOH as above, the crude product shaken with EtOAc and aqueous K2CO3, the EtOAc layer dried, and evaporated gave 65% XXVIII di-Et ester (XXX), m. 177-8° (EtOAc); the mother liquor kept several days at 0° deposited 20% XXIV, m. 207-9° (EtOH). Crude XXVII treated with appropriate anhydrous amines gave the following compds.: 3-dimethylamino-N,N,N',N'-

tetramethylbenzo[f]quinoline-2,6-dicarboxamide, m. 228-30° (EtOAc); 3-pyrrolidino-2,6-bispyrrolidinocarbonylbenzo[f]quinoline, m. 235-7° (EtOAc); 3-piperidino-2,6-dipiperidinocarbonylbenzo[f]quinoline, m. 196-7.5° (EtOAc); 3-ethylamino-N,N'-diethylbenzo[f]quinoline-2,6-dicarboxamide, m. 300-2° (decomposition) (EtOAc). Crude XXVII treated with excess 1:3 EtNH2-EtOH, the solution evaporated, the residue treated with H2O, and the product isolated with Et2O gave 3-chloro-N,N,N',N'-tetraethylbenzo[f]quinoline-2,6-dicarboxamide, m. 179-81° (cyclohexane-EtOAc); attempts to reduce this compound with NaBH4 in MeOH were unsuccessful. XXX (1.8 g.) in 150 ml. EtOH mixed with 2.5 g. 10% Pd-C in 80 ml. H2O, the mixture hydrogenated 3 hrs. at 45 lb./sq. in. at room temperature, filtered, the filtrate evaporated, the oily residue dissolved in Et2O, the solution shaken with aqueous K2CO3, separated, dried, evaporated, and the residue triturated with Et2O gave 0.8 g. benzo[f]quinoline-2,6-dicarboxylic acid (XXXI) di-Et ester, m. 96-8° (MeOH). XXX (5 g.) in 100 ml. EtOH treated with NaBH4 in small portions with stirring until there was no further exothermic effervescent reaction, the mixture treated with 5 g. addnl. NaBH4, concentrated on a steam cone during 1 hr. to small volume, cooled, and diluted with H2O gave 3 g. crude 1,4-dihydrobenzo[f]quinoline-2,6-dicarboxylic acid (XXXII) di-Et ester(XXXIII), m. 157-9° (EtOH, then MeOH). XXX (1.8 g.) in 80 ml. H2O and 80 ml. EtOH containing 2.5 g. 10% Pd-C hydrogenated 1.5 hrs. at 50°, the filtered solution evaporated, and the residue treated with aqueous K2CO3 gave 0. g. XXXIII. Similar reduction of XXX with NaBH4 in MeOH in lieu of EtOH ave a Me Et ester of XXXII, m. 182-5° (MeOH). XXIX (10 g.) reduced with NaBH4 in MeOH as above, the mixture concentrated, cooled, diluted with H2O, and the product (4.5 g.) triturated with MeOH gave 3.7 g. XXXII di-Me ester (XXXIV), m. 215-18° (decomposition) (MeOH). Triturated XXXIV (3.0 g.) and 2 g. 10% Pd-C in 350 ml. xylene distilled 5 min. to remove traces H2O, the residual mixture refluxed 1 hr., filtered while hot, the filtrate evaporated, and the residue triturated with a little MeOH gave 2.0 g. XXXI di-Me ester (XXXV), m. 145-7° (MeOH). Crude XXVII treated with excess PhCH2CH2NH2, concentrated, the residue treated with H2O, the gummy precipitate filtered off, and triturated with EtOAc gave crude 3-chloro-N,N'-di(β-phenylethyl)benzo[f]quinoline-2,6-dicarboxamide (XXXVI), m. 190° (decomposition). Crude XXXVI (4 g.) in MeOH treated portionwise with NaBH4 until spontaneous reaction ceased and then with 5 g. addnl. NaBH4, the mixture heated 0.3 hr. on a steam bath, concentrated, the residue diluted with H2O, extracted with EtOAc-Et2O, the extract dried and evaporated, the residual gummy solid refluxed 1 hr. in 350 ml. xylene containing 2.5 g. 10% Pd-C, the mixture filtered while hot, and the filtrate evaporated gave 0.3 g. N,N'-di(β-phenylethyl)benzo[f]quinoline-2,6-dicarboxamide, m. 217-19° (EtOAc). XXX (7.5 g.) in 300 ml. EtOH and 50 ml. H2O containing 8 g. 10% Pd-C hydrogenated at 45 lb./sq. in. at 70° (a pressure drop of 7 lb./sq. in. occurred gradually during 5.5 hrs.), filtered, the filtrate cooled, concentrated, the residue shaken with Et2O and aqueous K2CO3, the Et2O layer separated, dried, evaporated, the residual oil (6.2 g.) taken up in 30 ml. anhydrous N2H4, the solution refluxed 3 hrs., cooled, diluted with 200 ml. H2O, filtered, and the filtrate kept several days at 0° gave 4 g. hexa- or octahydrobenzo[f]quinoline-2,6-dicarboxylic acid dihydrazide hemihydrate, decomposing from 255° (EtOH). XXX (5.0

g.) treated with 40 ml. ice-cold 90% HNO_3 with stirring, the resulting solution kept at 15° by brief immersion in an ice bath during the exothermic reaction (5 min.), poured into 5 ml. ice and H_2O with stirring, the precipitate collected, washed with several portions H_2O, pressed dry, and triturated with warm EtOH gave 4.3 g. 3-chloro-7-nitrobenzo[f]quinoline-2,6-dicarboxylic acid (XXXVII) di-Et ester (XXXVIII), m. 192-4° (EtOH). XXIX (12.5 g.) nitrated with 115 ml. 90% HNO_3 as above except that the solution was allowed to stand and warmed gradually to 20° during 9 min. after the period of slightly exothermic reaction, the product isolated as above, and triturated while moist with MeOH gave 13.3 g. XXXVII di-Me ester (XXXIX), m. 229-31°(decomposition) (EtOAc). XXXV (2.0 g.) nitrated with 35 ml. 90% HNO_3 as above (the solution was swirled in an ice bath until the moderately exothermic reaction was complete), the solution kept 6 min., hydrolyzed with ice H_2O, and the product triturated with MeOH gave 1.8 g. 7-NO_2 derivative (XL) of XXXV, m. 202-4° (decomposition) (EtOAc). XXX (6.4 g.) and 2 g. 10% Pd-C in 400 ml. AcOH hydrogenated 0.5 hr. at 45 lb./sq. in. at 60-70° (when 3 mol. equivs. H were absorbed the reduction was interrupted), the mixture heated to 100°, filtered as rapidly as possible, the catalyst washed with several portions AcOH and EtOAc, the combined filtrate and washings evaporated, and the residue triturated with MeOH gave 2.4 g. 2 - carbomethoxy - 3 - chloro - 7 - aminobenzo [f] quinoline-6-carboxylic acid lactam (XLI), m. 304-6° (decomposition) (EtOAc); attempts to dechlorinate this compound were unsuccessful. XXXVIII (2.1 g.) and 3 g. 10% Pd-C in 150 ml. AcOH hydrogenated 1 hr. at 45 lb./sq. in. at 80° [absorption of H occurred in 2 stages, partly (3 moles) at room temperature and the remainder (1 mole) at the elevated temperature], the filtered solution evaporated, and the residue triturated with EtOH gave 0.4 g. 1,2-dihydro-2-carbethoxy-3-oxo-7-aminobenzo [f] quinoline-6-carboxylic acid lactam, m. 294-6° (decomposition) (treatment with dilute aqueous $NaHCO_3$, then EtOH). XXXVIII (4.2 g.) and 6 g. 10% Pd-C in 400 ml. EtOH hydrogenated at 45 lb./sq. in. at room temperature (4 moles H absorbed in 15 min.), then hydrogenated at 75° (an addnl. 3.5 moles H absorbed during 3 hrs.), the filtered solution evaporated, the residue treated with cold dilute aqueous $NaHCO_3$, the resulting semisolid extracted with 2 l. Et_2O, the extract dried, evaporated, the residual oil (1.6 g.) treated with a little EtOH, and the resulting solid triturated with EtOH gave 0.5 g. 1,2,3,4,4a,5,6,10b-octahydro-2-carbethoxy-7-aminobenzo[f]quinoline-6-carboxylic acid lactam, m. 232-4° (sinters at 223°) (EtOH); the compound appeared to be unstable. XL (1.8 g.) and 1 g. 10% Pd-C in 300 ml. AcOH hydrogenated 16 min. at 45 lb./sq. in. at room temperature, the filtered solution evaporated, and the crystalline residue triturated twice with MeOH gave 0.9 g. 2-carbomethoxy-7-aminobenzo[f]quinoline-6-carboxylic acid lactam (XLII), m. 304-5° (decomposition) (MeOH). MeOH-triturated XLII (0.3 g.) refluxed 0.5 hr. in 250 ml. xylene containing 0.5 g. 10% Pd-C, the mixture filtered hot, and the filtrate evaporated gave the purest sample of XLII, m. 305-6° (MeOH). XLI (1.0 g.) in 100 ml. MeOH treated with $NaBH_4$ in small portions, treated with more $NaBH_4$, the mixture heated 15 min. on a steam bath, cooled, and diluted with H_2O gave 0.9 g. XLIII, m. 257-9° (decomposition). XLV (0.5 g.) and 1 g. 10% Pd-C in 280 ml. xylene refluxed 1.5 hrs. and the filtered solution cooled gave 0.1 g. XLII. XLII (0.3 g.) and 100 ml. concentrated HCl refluxed 0.5 hr. gave 7-aminobenzo[f]quinoline-2,6-dicarboxylic acid lactam, m. above 360° (MeOH). Infrared data were given for the products.

IT 95003-39-7P, Nicotinamide, 2-hydroxy-6-methyl-N-phenethyl-5-phenyl-
RL: PREP (Preparation)

(preparation of)
RN 95003-39-7 CAPLUS
CN 3-Pyridinecarboxamide, 1,2-dihydro-6-methyl-2-oxo-5-phenyl-N-(2-phenylethyl)- (CA INDEX NAME)



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